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=> b reg
FILE 'REGISTRY' ENTERED AT 10:29:29 ON 16 AUG 2006
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STRUCTURE FILE UPDATES:   15 AUG 2006  HIGHEST RN 901654-60-2
DICTIONARY FILE UPDATES:  15 AUG 2006  HIGHEST RN 901654-60-2

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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> d que sta l2
L1              STR
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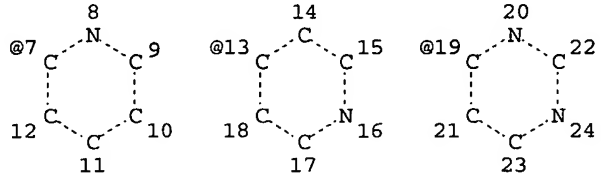


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VAR G3=7/13/19
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GGCAT   IS UNS  AT   4
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  24

STEREO ATTRIBUTES: NONE
L2         2366 SEA FILE=REGISTRY SSS FUL L1

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SEARCH TIME: 00.00.15


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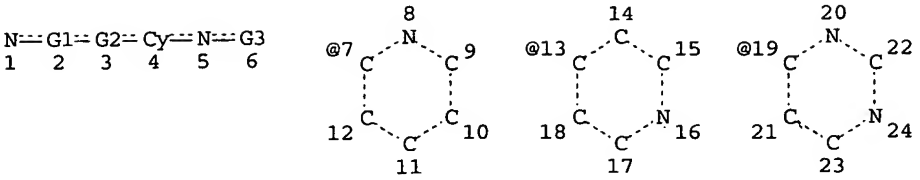

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VAR G3=7/13/19
NODE ATTRIBUTES:
NSPEC IS RC AT 1
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 4
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE
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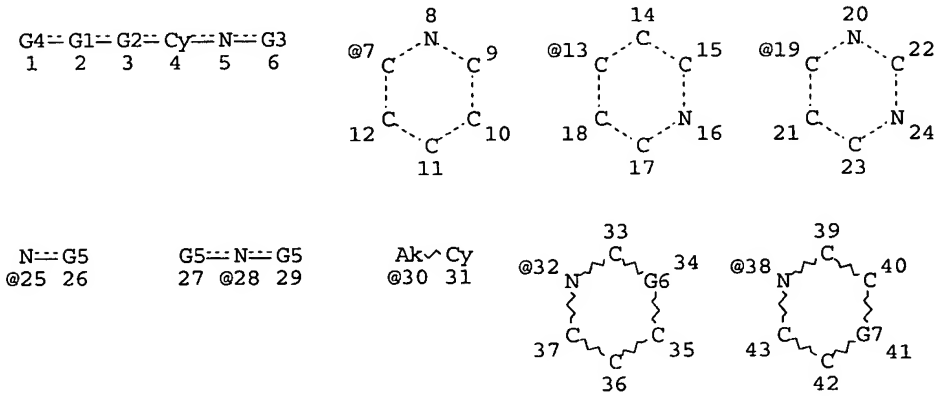

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GGCAT IS UNS AT 4
DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE
L9 2327 SEA FILE=REGISTRY SUB=L2 SSS FUL L7

100.0% PROCESSED 2366 ITERATIONS 2327 ANSWERS
SEARCH TIME: 00.00.01

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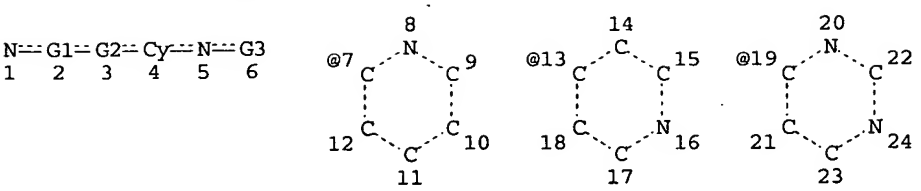


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GGCAT   IS UNS  AT   4
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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STEREO ATTRIBUTES: NONE
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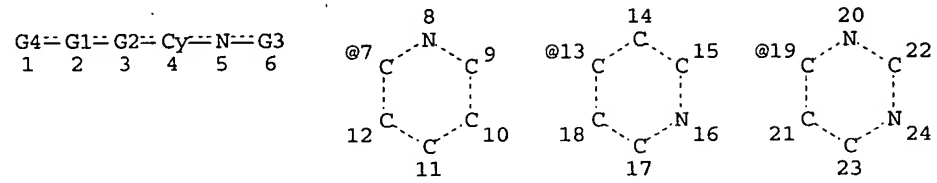

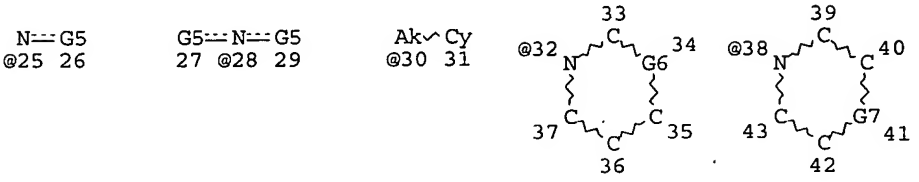


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REP G1=(1-6) C
VAR G2=O/S/N
VAR G3=7/13/19
VAR G4=N/25/28/32/38
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DEFAULT MLEVEL IS ATOM
GGCAT   IS UNS  AT   4
DEFAULT ECLEVEL IS LIMITED

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RING(S) ARE ISOLATED OR EMBEDDED
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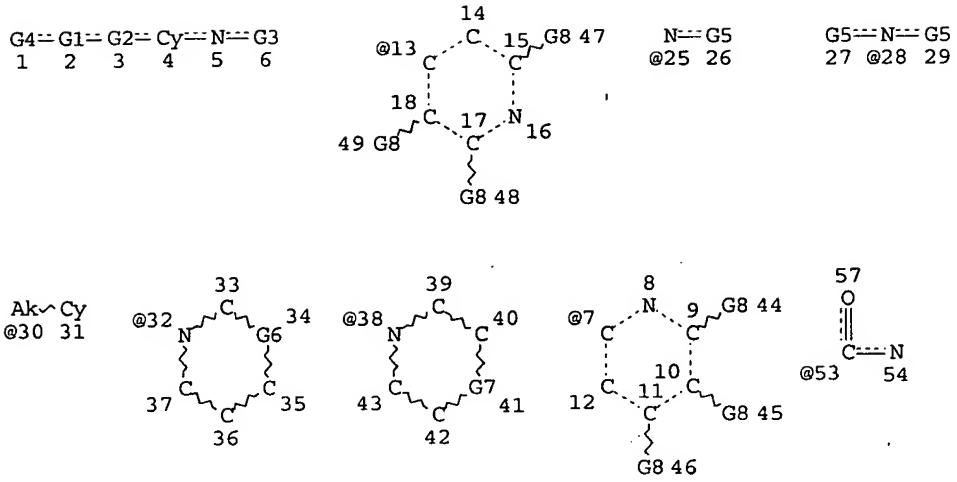
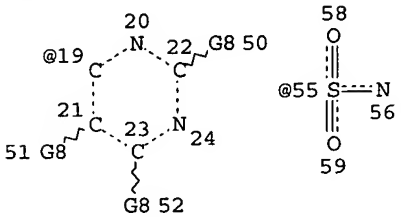


Page 1-A



Page 2-A
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VAR G3=7/13/19
VAR G4=N/25/28/32/38
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GGCAT IS UNS AT 4
DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 63

STEREO ATTRIBUTES: NONE
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100.0% PROCESSED 2327 ITERATIONS 694 ANSWERS
SEARCH TIME: 00.00.01

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FILE 'HCAPLUS' ENTERED AT 10:30:05 ON 16 AUG 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 16 Aug 2006  VOL 145 ISS 8
FILE LAST UPDATED: 15 Aug 2006  (20060815/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d bib abs fhitstr hitrn l35 tot

L35  ANSWER 1 OF 5  HCAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:220202  HCAPLUS
DN   142:298126
TI   Preparation of derivatives of pyridine, pyrimidine, quinoline,
     quinazoline, and naphthalene, useful as urotensin-II receptor antagonists
IN   Wu, Chengde; Anderson, C. Eric; Bui, Huong;
     Dupre, Brian; Gao, Daxin; Holland, George W.;
     Kassir, Jamal; Li, Wen; Wang, Junmei
PA   USA
SO   U.S. Pat. Appl. Publ., 118 pp., Cont.-in-part of U.S. Ser. No. 783,916.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   US2005054850        A1     20050310    2004US-0924181         20040823 <--
     US2004186102        A1     20040923    2004US-0783916         20040220 <--
PRAI 2003US-451089P      P      20030228  <--
     2004US-0783916      A2     20040220  <--
OS   MARPAT 142:298126
GI
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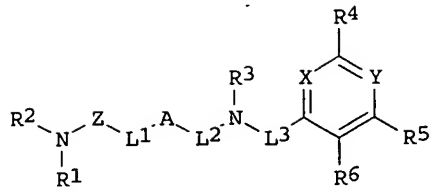

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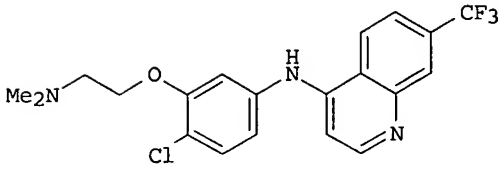


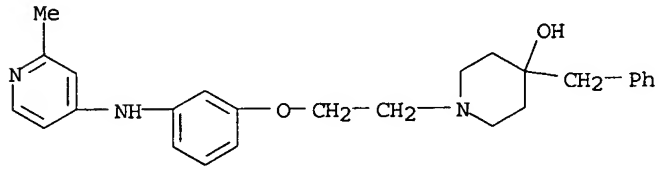
II

AB The invention relates to a preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene of formula I [wherein: A is (hetero)aryl, benzoheteroaryl, pyridone, pyridazinone, and pyrimidone; Z is (CH2)1-6; R1 and R2 are independently H, alkyl, or R1 and R2 along with N can form pyrrolidone or piperazine, etc.; R3 is H, alkyl, or arylalkyl; X and Y are independently C or N; R4, R5, and R6 are independently selected from H, alkyl, (hetero)aryl, halogen, or alkoxy, etc.; L1 is a single bond or O, C(O), SO2, or (hetero)arene; L2 and L3 are independently selected from a single bond, CH2, C(O), SO2, or NH], useful as urotensin-II receptor antagonists. Thus, e.g., II was prepared by substitution of a 4-halo-7-trifluoromethylquinoline with 3-(2-dimethylaminoethoxy)-4-chloroaniline. The prepared compds. were tested for inhibition of human [125I]-urotensin-II binding to urotensin-II receptor and inhibition of human urotensin-II-induced Ca2+ mobilization (for instance, for II IC50 was 6.5 μM).

IT **758712-45-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene, useful as urotensin-II receptor antagonists)

RN 758712-45-7 HCAPLUS

CN 4-Piperidinol, 1-[2-[3-[(2-methyl-4-pyridinyl)amino]phenoxy]ethyl]-4-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

IT **758712-45-7P 758712-57-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and

naphthalene, useful as urotensin-II receptor antagonists)

L35 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:754408 HCAPLUS
DN 141:277630
TI A preparation of derivatives of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene, useful as urotensin-II receptor antagonists
IN **Wu, Chengde; Anderson, C. Eric; Bui, Huong; Gao, Daxin; Holland, George W.; Kassir, Jamal; Li, Wen; Wang, Junmei; Dupre, Brian**
PA **Encysive** Pharmaceuticals Inc., USA
SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2004078114	A2	20040916	2004WO-US05150	20040220 <--
WO2004078114	A3	20050217		
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU2004218456	A1	20040916	2004AU-0218456	20040220 <--
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EP---1603884	A2	20051214	2004EP-0713383	20040220 <--
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OS MARPAT 141:277630
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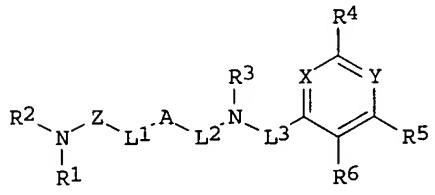

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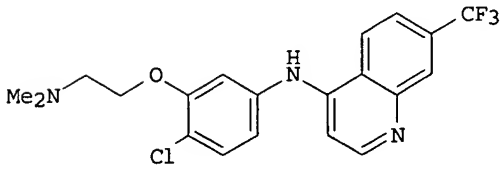


II

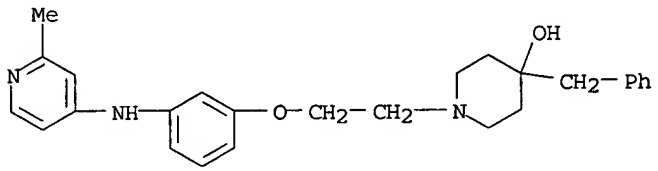
AB The invention relates to a preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene of formula I [wherein: A is (hetero)aryl, benzoheteroaryl, pyridone, pyridazinone, and pyrimidone; Z is (CH2)1-6; R1 and R2 are independently H, alkyl, or R1 and R2 along with

N can form pyrrolidone or piperazine, etc.; R3 is H, alkyl, or arylalkyl; X and Y are independently C or N; R4, R5, and R6 are independently selected from H, alkyl, (hetero)aryl, halogen, or alkoxy, etc.; L1 is a single bond or O, C(O), SO2, or (hetero)arene; L2 and L3 are independently selected from a single bond, CH2, C(O), SO2, or NH], useful as urotensin-II receptor antagonists. The prepared compds. were tested for inhibition of human [125I]-urotensin-II binding to urotensin-II receptor and inhibition of human urotensin-II-induced Ca2+ mobilization (for instance, for II IC50 was 6.5 μM).

IT **758712-45-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene, useful as urotensin-II receptor antagonists)

RN 758712-45-7 HCAPLUS

CN 4-Piperidinol, 1-[2-[3-[(2-methyl-4-pyridinyl)amino]phenoxy]ethyl]-4-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

IT **758712-45-7P 758712-57-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of derivs. of pyridine, pyrimidine, quinoline, quinazoline, and naphthalene, useful as urotensin-II receptor antagonists)

L35 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:269913 HCAPLUS
DN 140:287277
TI Preparation of carboxylic acid derivatives that inhibit the binding of integrins to their receptors
IN Biediger, Ronald J.; Chen, Qi; Decker, E. Radford; **Holland, George W.**; **Kassir, Jamal M.**; **Li, Wen**; Market, Robert V.; Scott, Ian L.; **Wu, Chengde**; Li, Jian
PA **Encysive** Pharmaceuticals Inc., USA
SO U.S. Pat. Appl. Publ., 98 pp., Cont.-in-part of U.S. Ser. No. 707,068.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US2004063955	A1	20040401	2001US-0973142	20011009
US---6972296	B2	20051206		
ZA2001008777	A	20030124	2001ZA-0008777	20011024
NZ----515252	A	20040130	2001NZ-0515252	20011102
NO2001005394	A	20020507	2001NO-0005394	20011105
EP---1203766	A2	20020508	2001EP-0125494	20011106
EP---1203766	A3	20041208		
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TR-200103179	A2	20020621	2001TR-0003179	20011106

	BR2001006840	A	20050201	2001BR-0006840	20011106
	AU2001097084	A5	20020207	2001AU-0097084	20011205
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	CA---2366800	AA	20030410	2002CA-2366800	20020107
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PRAI	1999US-132971P	P	19990507		
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OS MARPAT 140:287277

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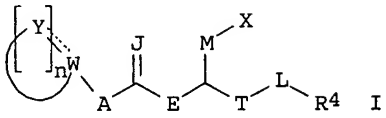

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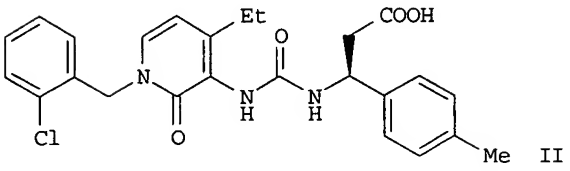


II

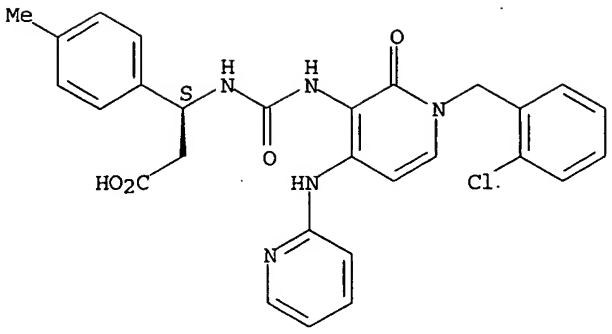
AB The invention relates to a method for the inhibition of the binding of α4β1 integrin to its receptors [e.g., VCAM-1 (vascular cell adhesion mol.-1) and fibronectin], compds. that inhibit this binding, and the use of such compds. for the control or prevention of diseases states in which α4β1 is involved. The claims include compds. of general formula I [n is 3-10; Y is CO, N, CR1, CR2R3, NR5, CH, O, S; A is O, S, CR16R17, NR6; E is CH2, O, S, NR7; J is O, S, NR8; T is CO, (CH2)0-3; M is R9R10, (CH2)0-3; L is O, NR11, S, (CH2)0-1; X is CO2B, PO3H2, SO3H, SO2NH2, SO2NHCOR12, OPO3H2, CONHCOR13, CONHSO2R14, OH, tetrazolyl, H; W is C, CR15, N; B, R1-R17 are H, halo, alkyl, alkoxy, acyl, CF3, CO2H, etc.]. Thus, pyridine-containing 3-aminopropionic acid derivative II was prepared by a multistep procedure and showed IC50 = 10 nM in a fibronectin inhibition assay.

IT **307521-32-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxylic acid derivs. that inhibit the binding of integrins to their receptors)

RN 307521-32-0 HCAPLUS

CN Benzenepropanoic acid, β-[[[[1-[(2-chlorophenyl)methyl]-1,2-dihydro-2-oxo-4-(2-pyridinylamino)-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **307521-32-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxylic acid derivs. that inhibit the binding of integrins to their receptors)
RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:349146 HCAPLUS
DN 136:369608
TI Preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of α4β1 integrin binding
IN Biediger, Ronald J.; Chen, Qi; **Holland, George W.**; **Kassir, Jamal M.**; **Li, Wen**; Market, Robert V.; Scott, Ian L.; **Wu, Chengde**; Decker, Radford E.; Li, Jian
PA Texas Biotechnology Corporation, USA
SO Eur. Pat. Appl., 131 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP---1203766	A2	20020508	2001EP-0125494	20011106
	EP---1203766	A3	20041208		
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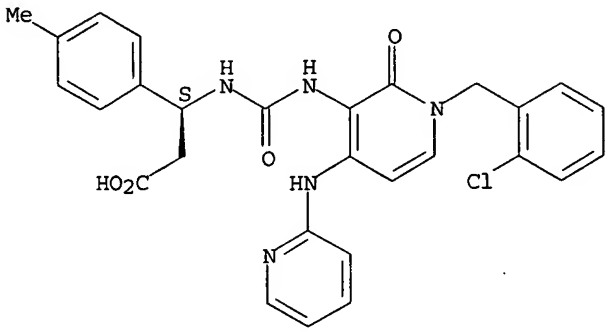
	BR2001006840	A	20050201	2001BR-0006840	20011106
PRAI	2000US-0707068	A	20001106		
	2001US-0973142	A	20011009		
	1999US-132971P	P	19990507		
	2000US-0565920	A2	20000505		

OS MARPAT 136:369608
AB Title compds. were prepared Thus, 2-ClC6H4CH2ZNH2 (Z = 4-ethyl-2-oxo-1,2-dihydropyridine-1,3-diyl)(preparation given) was condensed with (S)-4-MeC6H4CH(NH2)CH2CO2Et and COCl2 to give, after saponification, (S)-2-ClC6H4CH2ZNHCONHCH(C6H4Me-4)CH2CO2H (Z as above). Data for biol. activity of title compds. were given.
IT **307521-32-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of α4β1 integrin binding)
RN 307521-32-0 HCAPLUS

CN Benzenepropanoic acid, β-[[[[1-[(2-chlorophenyl)methyl]-1,2-dihydro-2-oxo-4-(2-pyridinylamino)-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **307521-32-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of α4β1 integrin binding)

L35 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:814302 HCAPLUS
DN 133:362963
TI Preparation of β-amino acid derivatives that inhibit the binding of integrins to their receptors
IN Biediger, Ronald J.; Chen, Qi; **Holland, George W.**; **Kassir, Jamal M.**; **Li, Wen**; Market, Robert V.; Scott, Ian L.; **Wu, Chengde**
PA Texas Biotechnology Corporation, USA
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2000067746	A1	20001116	2000WO-US12303	20000505
	WO2000067746	C2	20020829		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	EP---1176956	A1	20020206	2000EP-0937527	20000505
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
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	BR2000010293	A	20020716	2000BR-0010293	20000505
	TR-200201920	T2	20020923	2002TR-0001920	20000505
	JP2002544161	T2	20021224	2000JP-0616772	20000505
	NZ----515248	A	20040130	2000NZ-0515248	20000505
	RU---2263109	C2	20051027	2001RU-0133360	20000505
	ZA2001008774	A	20030124	2001ZA-0008774	20011024

	NO2001005418	A	20011221	2001NO-0005418	20011106
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	AU----782616	B2	20050811		
PRAI	1999US-132971P	P	19990507		
	2000AU-0052679	A3	20000505		
	2000WO-US12303	W	20000505		

OS MARPAT 133:362963

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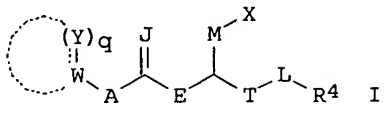

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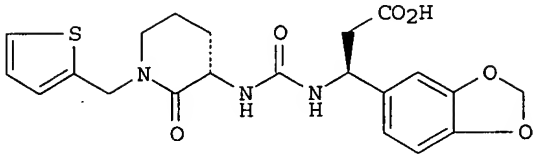


II

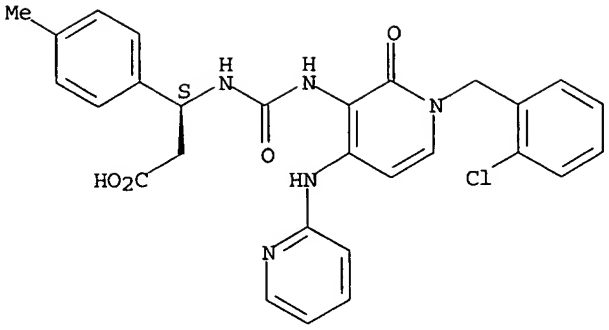
AB Title compds. I [Y, at each occurrence, independently = CO, N, CR1, CR2R3, NR5, CH, O, or S; q = 3-10; A = O, S, CR16R17, NR6; E = CH2, O, S, NR7; J = O, S, NR8; M = CR9R10 or (CH2)0-3; T = CO or (CH2)0-3; L = O, NR11, S, (CH2)0-1; X = CO2B, PO3H2, SO3H, SO2NH2, SO2NHCOR12, OPO3H2, CONHCOR13, CONHSO2R14, tetrazolyl, hydroxyl, H; W = C, CR15, N; B, R1-17 = H, halo, hydroxyl, alkyl, alkoxy, aliphatic acyl, CF3, nitro, cycloalkyl, alkylheteroaryl, sulfonyl, carboxyl, etc.] or their pharmaceutically acceptable salts were prepared for inhibition of the binding of α4β1 integrin to its receptors. Thus, II was prepared and assayed (IC50 = 0.2 μM) for its ability to suppress binding using a 26-amino acid peptide containing the CS-1 sequence of fibronectin with N-terminal cysteine coupled to maleimide activated ovalbumin.

IT **307521-32-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. that inhibit the binding of integrins to their receptors)

RN 307521-32-0 HCAPLUS

CN Benzenepropanoic acid, β-[[[[1-[(2-chlorophenyl)methyl]-1,2-dihydro-2-oxo-4-(2-pyridinylamino)-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **307521-32-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. that inhibit the binding of integrins to their receptors)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l39 tot

L39 ANSWER 1 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1004351 HCAPLUS
DN 143:306328
TI Preparation of 4-pyrimidinamines as neuroprotectants.
IN Benjamin, Elfrida R.; Brown, Frank K.; Zivin, Robert Allan; McMillan, Michael Kurt; Zhong, Zhong; Reitz, Allen B.; Ross, Tina Morgan
PA USA
SO U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S. Ser. No. 922,874, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US2005203092	A1	20050915	2004US-0987562	20041112 <--
	US2003008883	A1	20030109	2001US-0922874	20010806 <--
	US2003212079	A1	20031113	2003US-0396158	20030325 <--
	US2004006094	A1	20040108	2003US-0395971	20030325 <--
PRAI	2000US-223791P	P	20000808	<--	
	2001US-0922874	B2	20010806	<--	

OS MARPAT 143:306328
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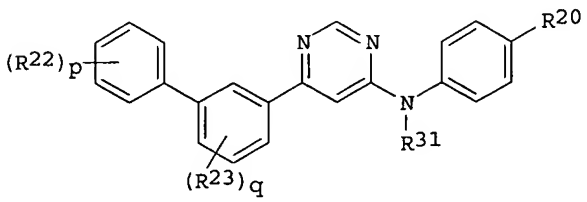


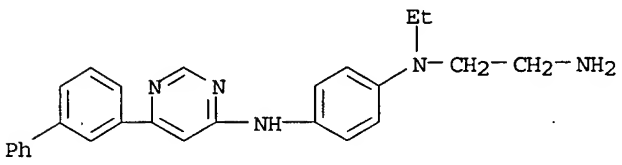
I

AB This invention provides novel neuroprotective 4-pyrimidineamine derivs. (I, variables defined below) and neuroprotective pharmaceutical compns. comprising 4-pyrimidinamines. This invention also provides methods of using these compns. to prevent ischemic cell death, particularly neuronal cell death, and reduce the likelihood of neuronal cell death in a subject due to a traumatic event. Thus, a mixture of N-(2-aminoethyl)-N'-(6-biphenyl-3-ylpyrimidin-4-yl)-N-ethylbenzene-1,4-diamine (preparation given), N-benzoylalanine, diisopropylethylamine, HBTU, and DMF was stirred overnight at room temperature to give N-[1-[[2-[4-(6-biphenyl-3-ylpyrimidin-4-ylamino)phenyl]ethylamino]ethylcarbamoyl]ethyl]benzamide. Tested compds. in a differentiated P19 cell assay using 3 mM glutamate showed neuroprotectant activity with IC50 = 0.07 μM to >1 μM. For I the variables are: R20 = disubstituted amino; R21 = H, alkyl, aryl, aralkyl, alkylcarbonyl, arylcarbonyl and aralkylcarbonyl, wherein the aryl portion is optionally substituted; p = 0-3; q = 0-3; R22 and R23 = halogen, alkyl, alkoxy, amino, alkylamino, dialkylamino, nitro, cyano, carboxy, alkoxycarbonyl, aryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl and dialkylaminocarbonyl.

IT **397850-40-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 4-pyrimidinamines as neuroprotectants)

RN 397850-40-7 HCAPLUS

CN 1,4-Benzenediamine, N-(2-aminoethyl)-N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl- (9CI) (CA INDEX NAME)



IT **397850-34-9P 397850-35-0P 397850-36-1P**
397850-37-2P 397850-38-3P 397850-41-8P
397850-42-9P 397850-43-0P 397850-44-1P
397850-45-2P 397850-46-3P 397850-47-4P
397850-48-5P 397850-49-6P 397850-50-9P
397850-51-0P 397850-52-1P 397850-53-2P
397850-54-3P 397850-55-4P 397850-56-5P
397850-57-6P 397850-58-7P 397850-59-8P
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397850-87-2P 397850-88-3P 397850-89-4P
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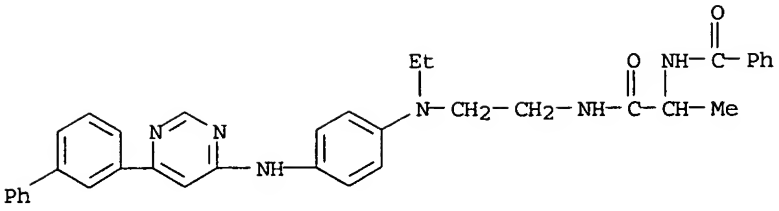
397851-00-2P 397851-01-3P 397851-02-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-pyrimidinamines as neuroprotectants)

RN 397850-34-9 HCAPLUS

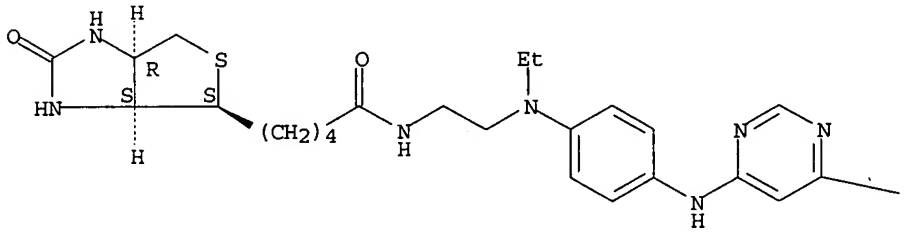
CN Benzamide, N-[2-[[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)



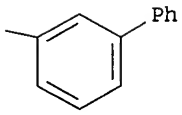
RN 397850-35-0 HCAPLUS
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]hexahydro-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

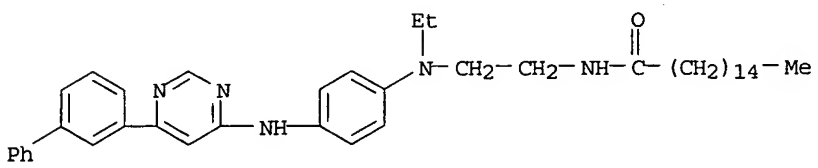
PAGE 1-A



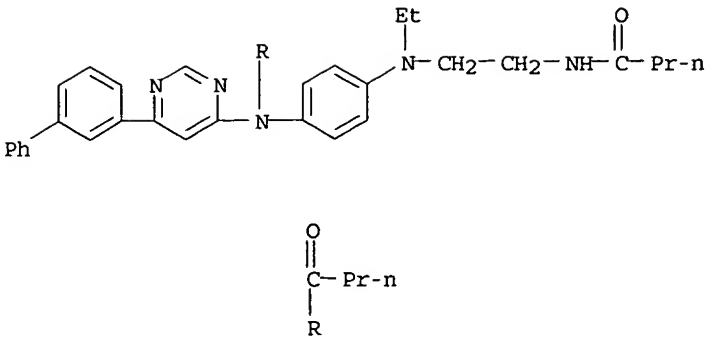
PAGE 1-B



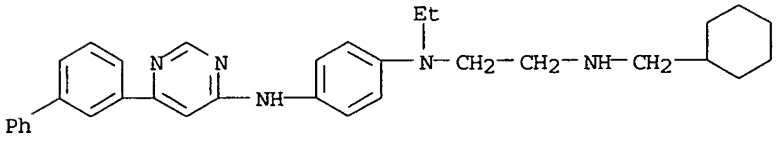
RN 397850-36-1 HCAPLUS
CN Hexadecanamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]- (9CI) (CA INDEX NAME)



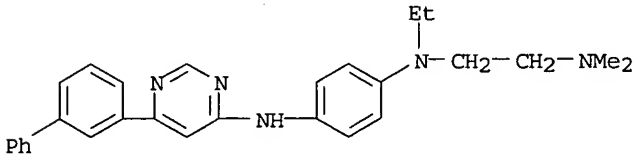
RN 397850-37-2 HCAPLUS
CN Butanamide, N-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[4-[ethyl[2-[(1-oxobutyl)amino]ethyl]amino]phenyl]- (9CI) (CA INDEX NAME)



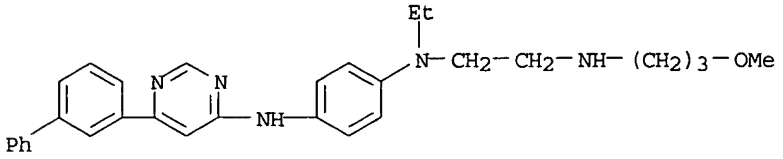
RN 397850-38-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[(cyclohexylmethyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



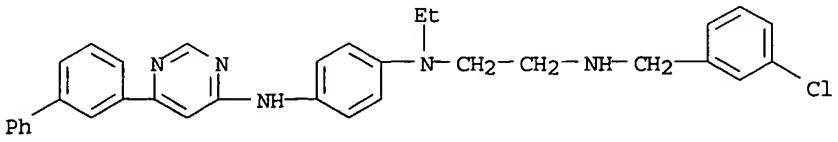
RN 397850-41-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-(dimethylamino)ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



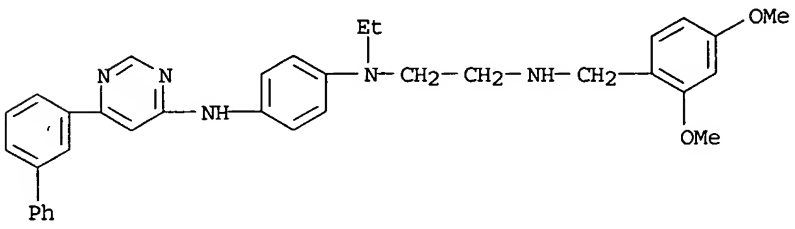
RN 397850-42-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(3-methoxypropyl)amino]ethyl]- (9CI) (CA INDEX NAME)



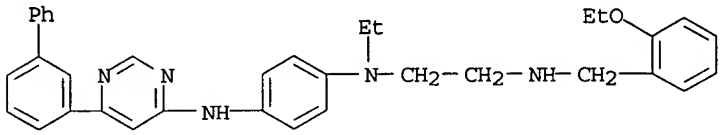
RN 397850-43-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(3-chlorophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



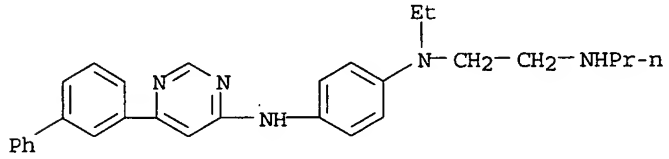
RN 397850-44-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(2,4-dimethoxyphenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



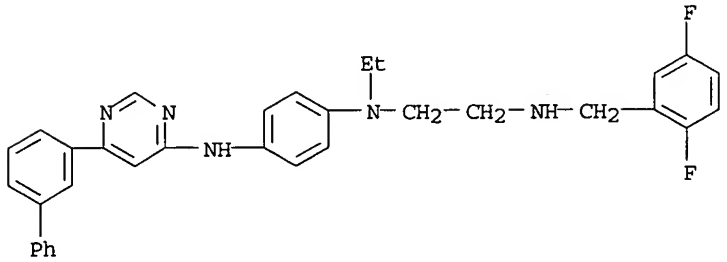
RN 397850-45-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(2-ethoxyphenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-46-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(propylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 397850-47-4 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(2,5-difluorophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



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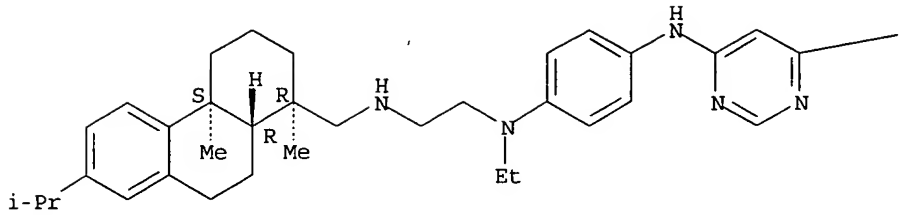
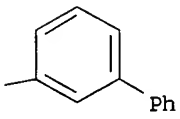
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[[(1R,4aS,10aR)-1,2,3,4,4a,9,10,10a-octahydro-1,4a-dimethyl-7-(1-methylethyl)-1-phenanthrenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

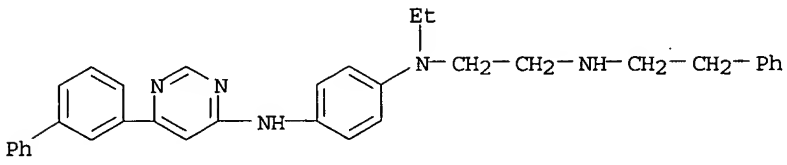
PAGE 1-A



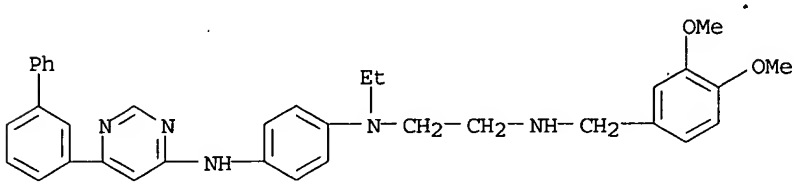
PAGE 1-B



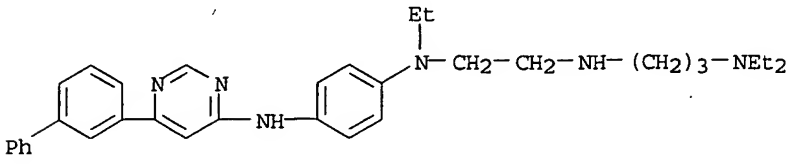
RN 397850-49-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2-phenylethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 397850-50-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(3,4-dimethoxyphenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)

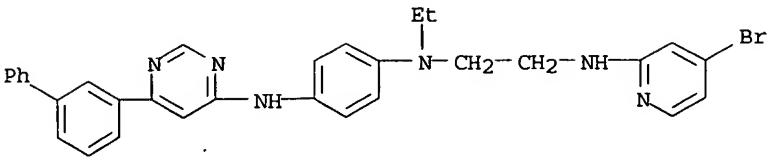


RN 397850-51-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[3-(diethylamino)propyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)

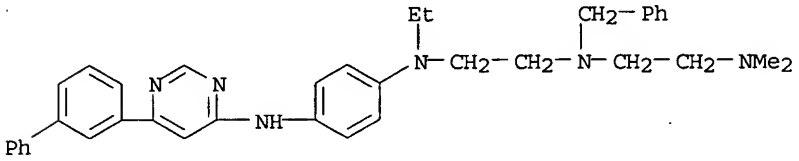


RN 397850-52-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[(4-

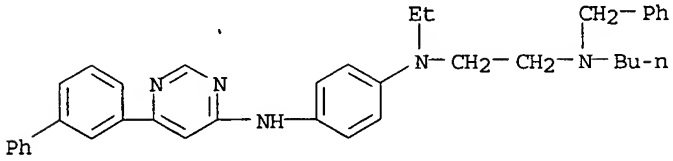
bromo-2-pyridinyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



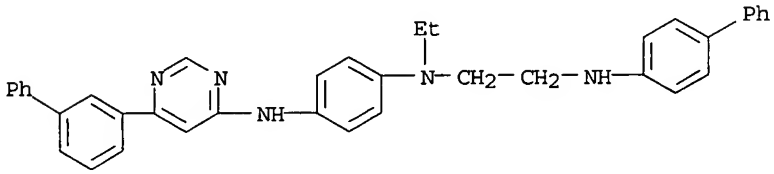
RN 397850-53-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[2-(dimethylamino)ethyl](phenylmethyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



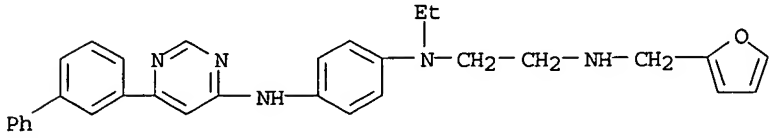
RN 397850-54-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[butyl(phenylmethyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-55-4 HCAPLUS
CN 1,4-Benzenediamine, N-[2-([1,1'-biphenyl]-4-ylamino)ethyl]-N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl- (9CI) (CA INDEX NAME)

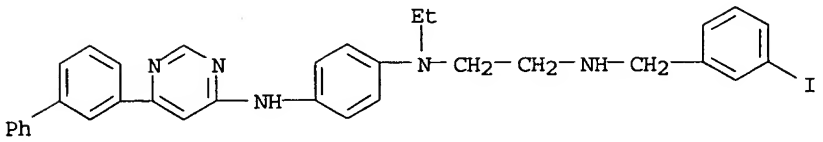


RN 397850-56-5 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2-furanylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

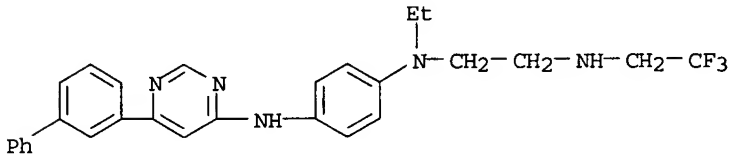


RN 397850-57-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-

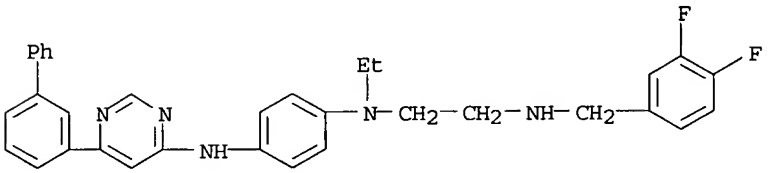
[[(3-iodophenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



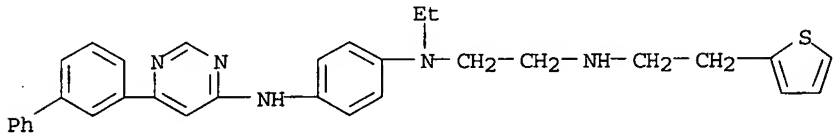
RN 397850-58-7 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2,2,2-trifluoroethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



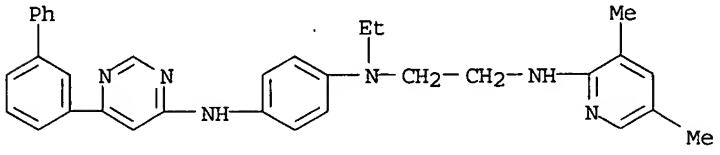
RN 397850-59-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(3,4-difluorophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



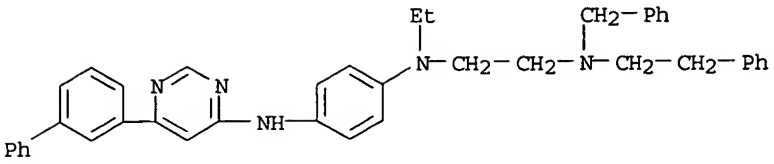
RN 397850-60-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[2-(2-thienyl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



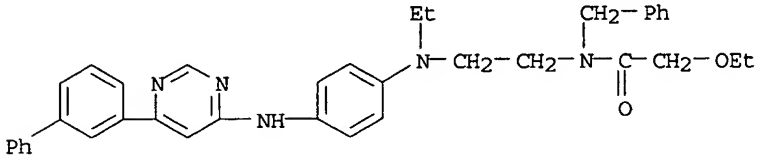
RN 397850-61-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[(3,5-dimethyl-2-pyridinyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



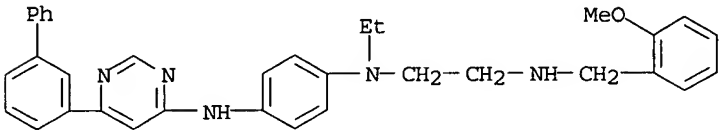
RN 397850-62-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2-phenylethyl)(phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



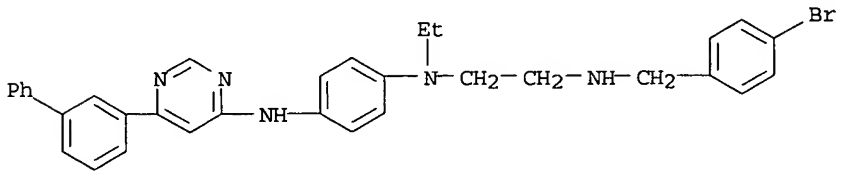
RN 397850-63-4 HCAPLUS
CN Acetamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-2-ethoxy-N-(phenylmethyl)-(9CI) (CA INDEX NAME)



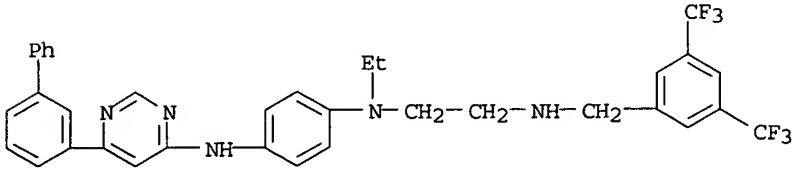
RN 397850-64-5 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(2-methoxyphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 397850-65-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(4-bromophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-66-7 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-67-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-

[[2-(3-methoxyphenyl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)

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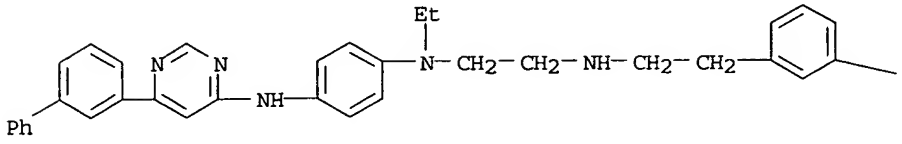

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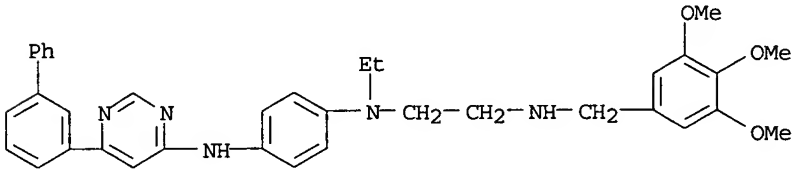
RN 397850-68-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(3,4,5-trimethoxyphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 397850-69-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[2-(4-methoxyphenyl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)

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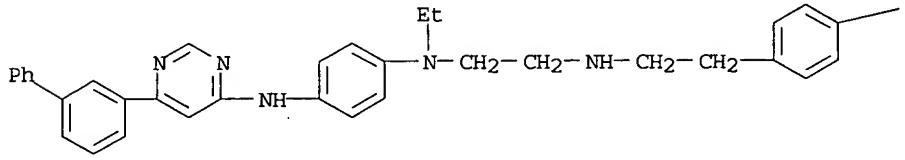

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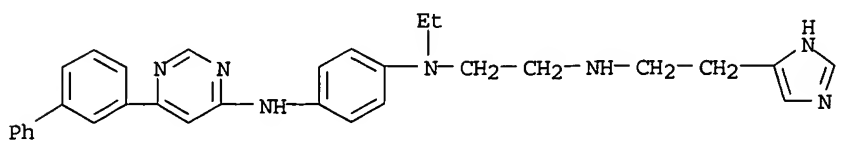
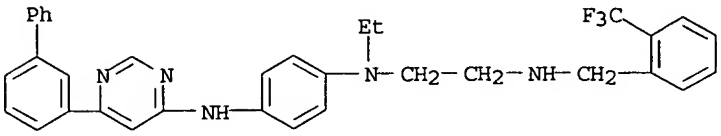
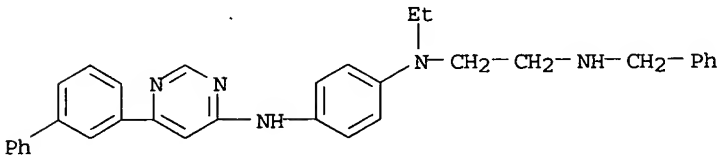
RN 397850-70-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[2-(1H-imidazol-4-yl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



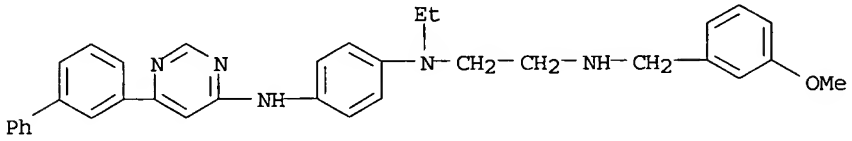
RN 397850-71-4 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[[2-(trifluoromethyl)phenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



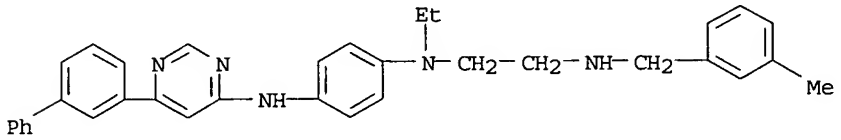
RN 397850-72-5 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



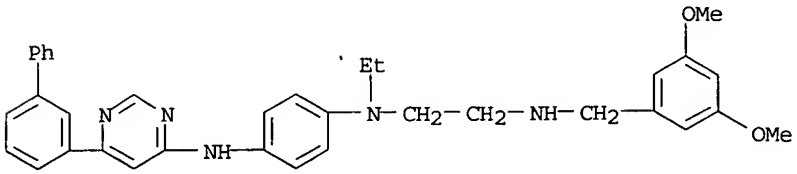
RN 397850-73-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(3-methoxyphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



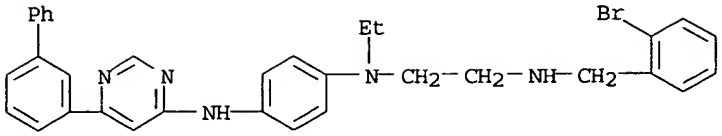
RN 397850-74-7 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(3-methylphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 397850-75-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(3,5-dimethoxyphenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-76-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(2-bromophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-77-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[2-(4-bromophenyl)ethyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)

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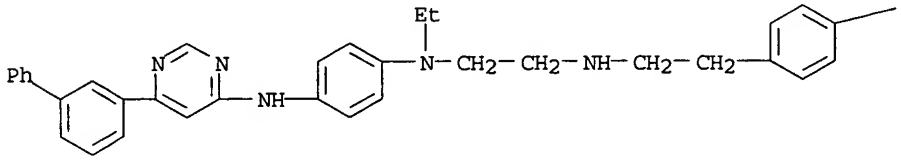

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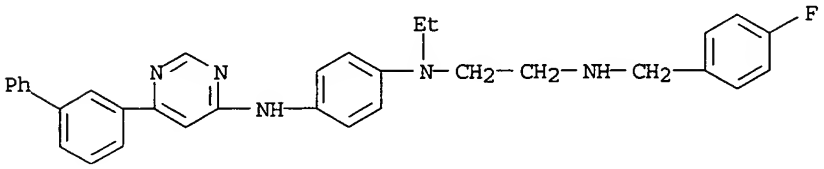
Br

RN 397850-78-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(4-fluorophenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 397850-79-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(1-naphthalenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

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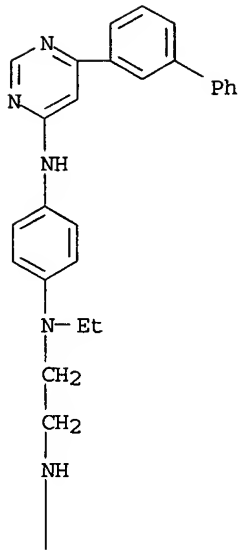

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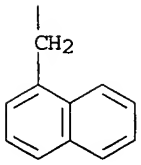
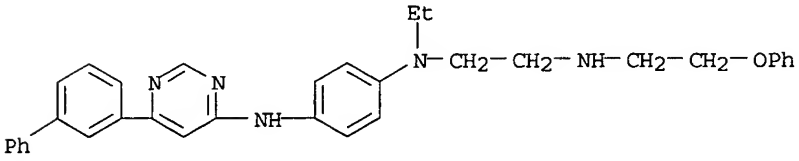
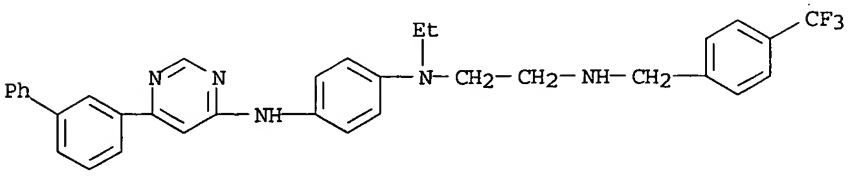


RN 397850-80-5 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2-phenoxyethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

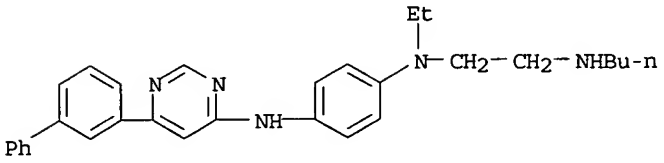


RN 397850-81-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[[4-(trifluoromethyl)phenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

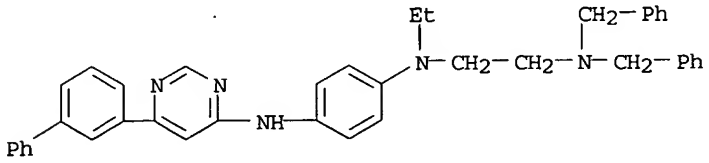


RN 397850-82-7 HCAPLUS

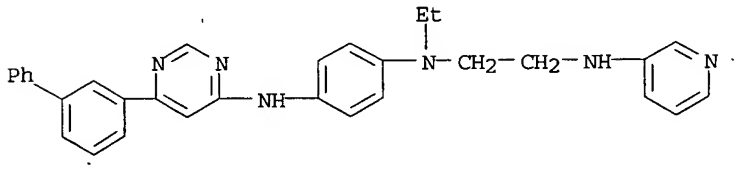
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-(butylamino)ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



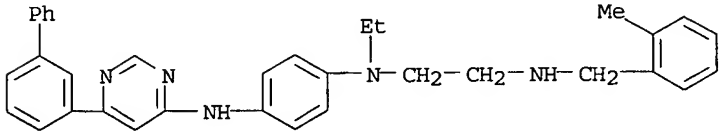
RN 397850-83-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[bis(phenylmethyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



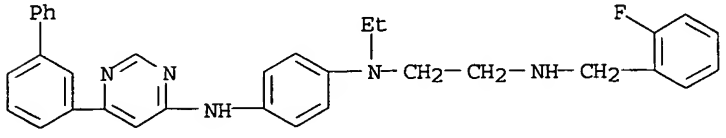
RN 397850-84-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(3-pyridinylamino)ethyl]- (9CI) (CA INDEX NAME)



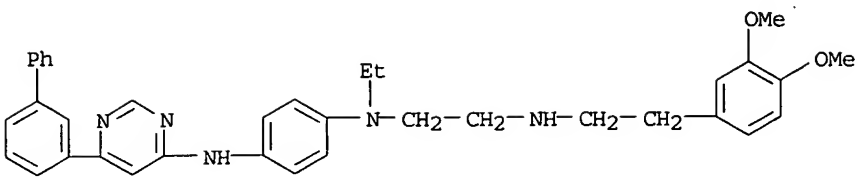
RN 397850-85-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(2-methylphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



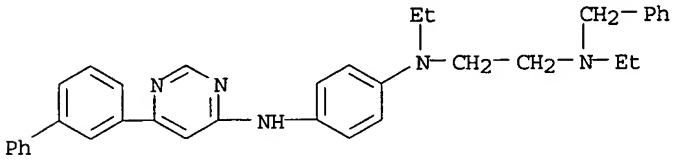
RN 397850-86-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(2-fluorophenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



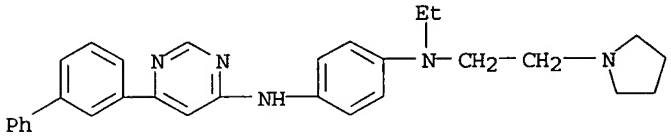
RN 397850-87-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



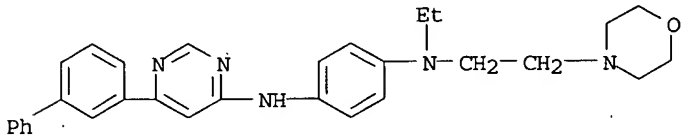
RN 397850-88-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[ethyl(phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



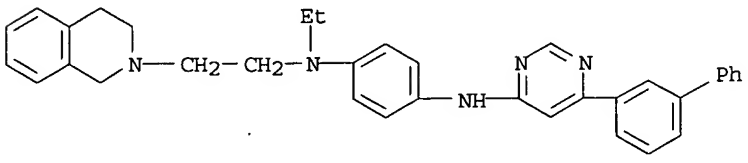
RN 397850-89-4 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



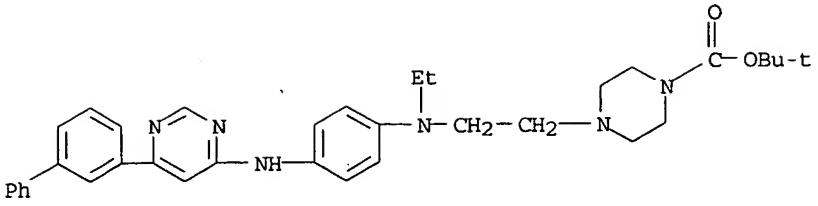
RN 397850-90-7 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



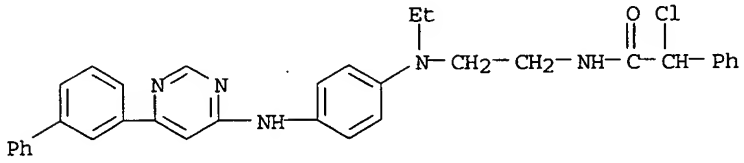
RN 397850-92-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-(3,4-dihydro-2(1H)-isoquinolinyl)ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



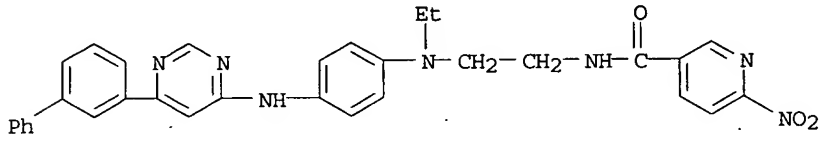
RN 397850-94-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



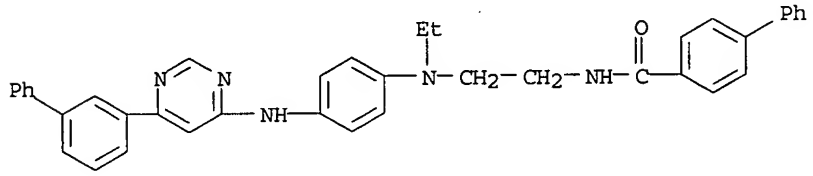
RN 397850-97-4 HCAPLUS
CN Benzeneacetamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-α-chloro- (9CI) (CA INDEX NAME)



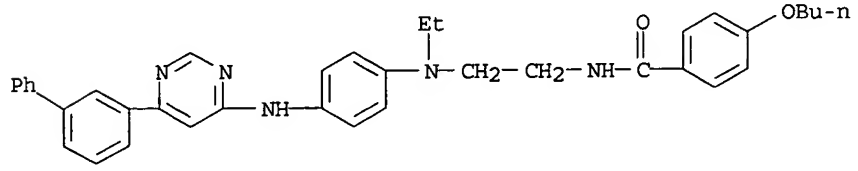
RN 397850-98-5 HCAPLUS
CN 3-Pyridinecarboxamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-6-nitro- (9CI) (CA INDEX NAME)



RN 397850-99-6 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]- (9CI) (CA INDEX NAME)

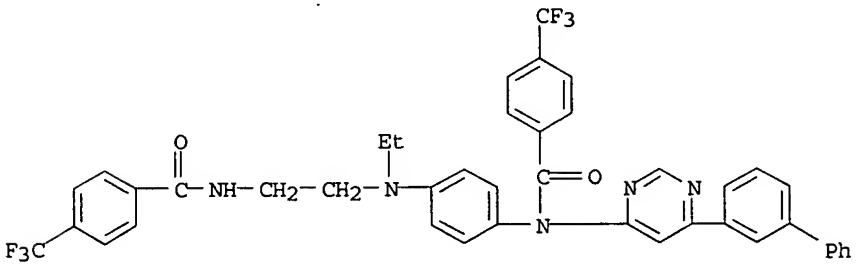


RN 397851-00-2 HCAPLUS
CN Benzamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-4-butoxy- (9CI) (CA INDEX NAME)

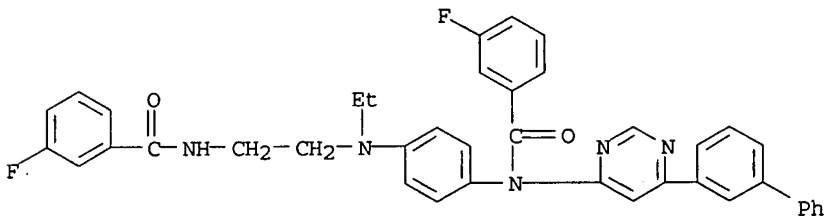


RN 397851-01-3 HCAPLUS

CN Benzamide, N-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[4-[ethyl[2-[[4-(trifluoromethyl)benzoyl]amino]ethyl]amino]phenyl]-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)



RN 397851-02-4 HCAPLUS
CN Benzamide, N-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[4-[ethyl[2-[(3-fluorobenzoyl)amino]ethyl]amino]phenyl]-3-fluoro- (9CI) (CA INDEX NAME)



L39 ANSWER 2 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:260034 HCAPLUS
DN 142:336376
TI Preparation of pharmaceutically active 4,6-disubstituted aminopyrimidine derivatives as modulators of protein kinases
IN Choidas, Axel; Backes, Alexander; Cotten, Matt; Engkvist, Ola; Felber, Beatrice; Freisleben, Achim; Godl, Klaus; Greff, Zoltan; Habenberger, Peter; Hafenbradl, Doris; Hartung, Christian; Herget, Thomas; Hoppe, Edmund; Klebl, Bert; Missio, Andrea; Mueller, Gerhard; Schwab, Wilfried; Zech, Birgit; Bravo, Jose; Harris, John; Le, Joelle; Macritchie, Jackie; Savic, Vladimir; Sherborne, Brad; Simpson, Don; Simpson, Don
PA Axxima Pharmaceuticals AG, Germany
SO PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2005026129	A1	20050324	2004WO-EP10353	20040915 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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PRAI 2003EP-0020888 A 20030915 <--
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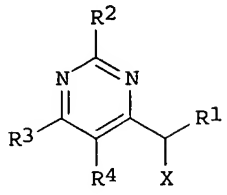
OS MARPAT 142:336376

GI



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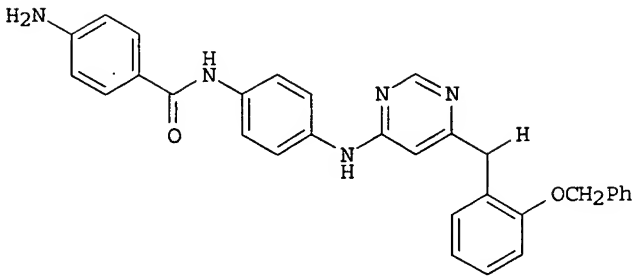


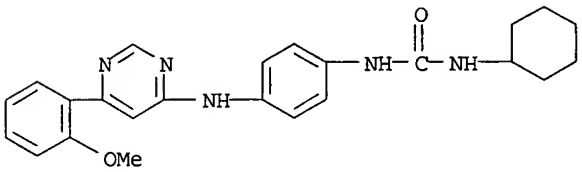
II

AB The invention is related to the preparation of title compds. I, and/or stereoisomeric forms and/or pharmaceutically acceptable salts [wherein R1 = H, (un)substituted alk(en/yn)yl; R2, R4 = independently H, F, Cl, Br, I, CN, NH2, NO2, (un)substituted alk(en/yn)yl; R3 = F, Cl, Br, I, (un)substituted hetero/aryl, etc.; X = R5-[LR6]m; R5 = (un)substituted hetero/aryl, heterocyclyl, cycloalkyl, etc.; R6 = H, (un)substituted alkyl, hetero/aryl, heterocyclyl, etc.; L = NRSO2, NRSO; R = H, (un)substituted alkyl, SO2-alkyl, etc.] as protein kinase inhibitors for use in the prophylaxis and/or treatment of infectious diseases, including opportunistic diseases, prion diseases, immunol. diseases, autoimmune diseases, bipolar and clin. disorders, cardiovascular diseases, cell proliferative diseases, diabetes, inflammation, transplant rejections, erectile dysfunction, neurodegenerative diseases and stroke. The invention is also related to a medium comprising at least one of compds. I in an immobilized form and its use for enriching, purifying and/or depleting nucleotide binding proteins which bind to the immobilized I. General preparation procedures and 5 individual synthetic examples are given. I have an inhibitory effect on the protein kinase activity of various protein kinases, such as Abl, CDK1, CDK5, etc. Selected I had an inhibitory effect on CDK9 and CDK2 with IC50 values in the range of 1 to 1000 nM. I were potent inhibitors of HIV and HCMV replication in cell cultures; for example II showed inhibition of HCMV replication in HFF cells.

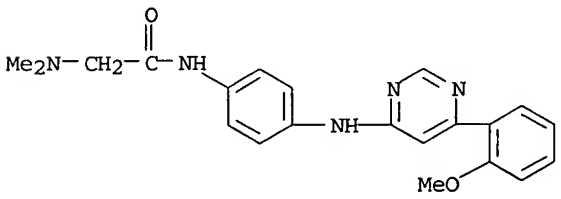
IT **848636-51-1P**, 1-Cyclohexyl-3-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenyl]urea **848636-59-9P**, 2-Dimethylamino-N-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenyl]acetamide **848636-66-8P**, N-[4-[6-(2-Methoxyphenyl)pyrimidin-4-ylamino]phenyl]guanidine **848636-77-1P**, 3-Diethylamino-N-[4-[6-(2-methoxyphenyl)pyrimidin-4-

ylamino]phenyl]propionamide **848636-94-2P**, (S)-2-Amino-N-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenyl]-2-phenylethanamide **848636-95-3P**, (S)-N-[4-[6-(2-Methoxyphenyl)pyrimidin-4-ylamino]phenyl]-2-methylamino-2-phenylethanamide **848637-14-9P**, 2-Dimethylamino-N-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenyl]-2-phenylacetamide **848637-15-0P**, 3-Amino-N-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenyl]propionamide **848637-24-1P**, 1-(Benzodioxol-5-yl)-3-[4-[[6-(2-methoxyphenyl)pyrimidin-4-yl]amino]phenyl]urea **848637-25-2P**, 1-[4-[6-(2-Methoxyphenyl)pyrimidin-4-ylamino]phenyl]-3-(4-methylbenzyl)urea **848637-26-3P**, 1-tert-Butyl-3-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenyl]urea **848637-31-0P**, N-[4-[6-(2-Methoxyphenyl)pyrimidin-4-ylamino]phenyl]-2-methyl-2-methylaminopropionamide **848637-52-5P**, (2S,3S)-2-Amino-3-methylpentanoic acid N-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenyl]amide **848637-55-8P**, (S)-2-Amino-N-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenyl]-3-methylbutanamide **848637-58-1P**, 2-Amino-N-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenyl]-2-(naphthalen-2-yl)acetamide **848638-98-2P**, 1-Dimethylamino-3-[4-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]phenoxy]-3-propan-2-ol **848639-24-7P**, 1-[4-[[6-(2-Benzyloxyphenyl)pyrimidin-4-yl]amino]phenoxy]-3-dimethylaminopropan-2-ol **848639-87-2P**, 1-(4-Chloro-3-trifluoromethylphenyl)-3-[5-[[6-(2-methoxyphenyl)pyrimidin-4-yl]amino]-2-methylphenyl]urea **848639-88-3P**, 1-Cyclohexyl-3-[5-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]-2-methylphenyl]urea **848639-91-8P**, 1-Isopropyl-3-[5-[6-(2-methoxyphenyl)pyrimidin-4-ylamino]-2-methylphenyl]urea **848639-92-9P**, 1-[5-[6-(2-Methoxyphenyl)pyrimidin-4-ylamino]-2-methylphenyl]-3-[2-(morpholin-4-yl)ethyl]urea **848639-93-0P**, 1-(2-Dimethylaminoethyl)-3-[5-[[6-(2-methoxyphenyl)pyrimidin-4-yl]amino]-2-methylphenyl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 4,6-disubstituted aminopyrimidines as modulators of protein kinases)

RN 848636-51-1 HCAPLUS
CN Urea, N-cyclohexyl-N'-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

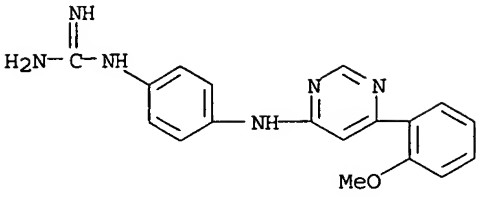


RN 848636-59-9 HCAPLUS
CN Acetamide, 2-(dimethylamino)-N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

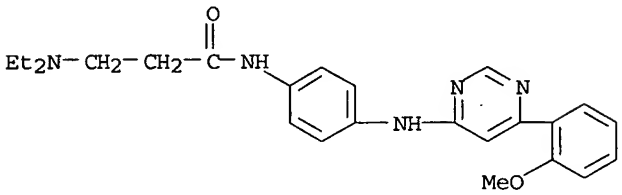


RN 848636-66-8 HCAPLUS
CN Guanidine, [4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (9CI)

(CA INDEX NAME)

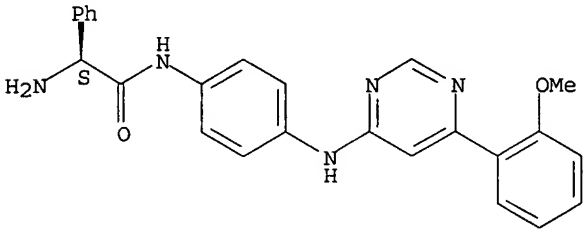


RN 848636-77-1 HCAPLUS
CN Propanamide, 3-(diethylamino)-N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



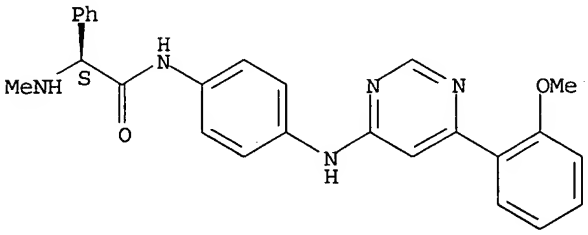
RN 848636-94-2 HCAPLUS
CN Benzeneacetamide, α-amino-N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

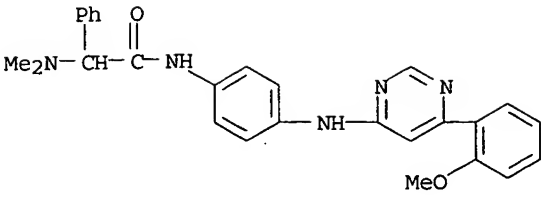


RN 848636-95-3 HCAPLUS
CN Benzeneacetamide, N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]-α-(methylamino)-, (αS)- (9CI) (CA INDEX NAME)

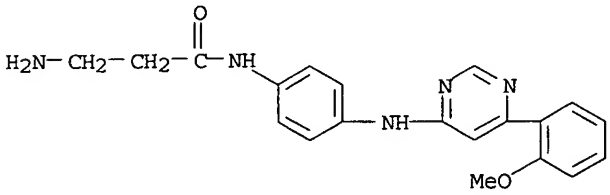
Absolute stereochemistry.



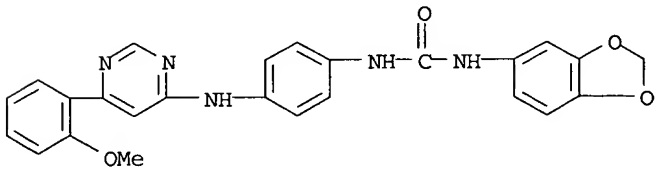
RN 848637-14-9 HCAPLUS
CN Benzeneacetamide, α-(dimethylamino)-N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



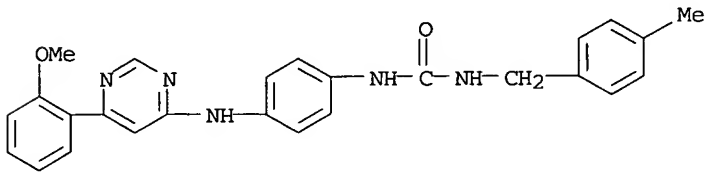
RN 848637-15-0 HCAPLUS
CN Propanamide, 3-amino-N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



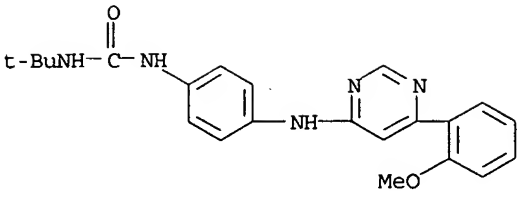
RN 848637-24-1 HCAPLUS
CN Urea, N-1,3-benzodioxol-5-yl-N'-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



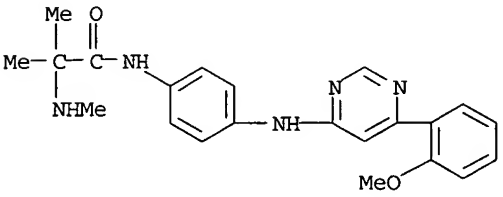
RN 848637-25-2 HCAPLUS
CN Urea, N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]-N'-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 848637-26-3 HCAPLUS
CN Urea, N-(1,1-dimethylethyl)-N'-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

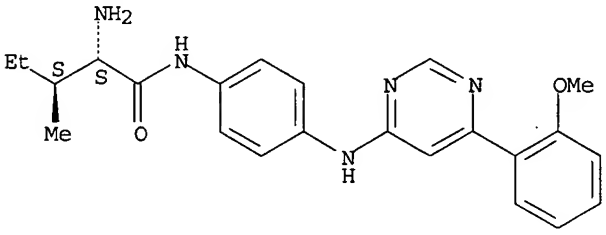


RN 848637-31-0 HCAPLUS
CN Propanamide, N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]-2-methyl-2-(methylamino)- (9CI) (CA INDEX NAME)



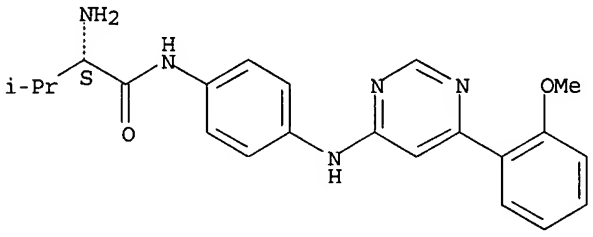
RN 848637-52-5 HCAPLUS
CN Pentanamide, 2-amino-N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

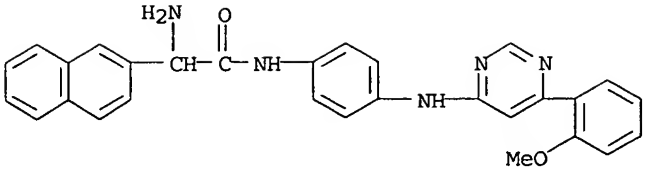


RN 848637-55-8 HCAPLUS
CN Butanamide, 2-amino-N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

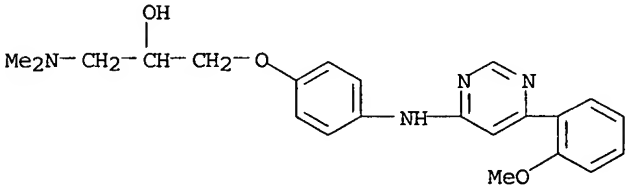
Absolute stereochemistry.



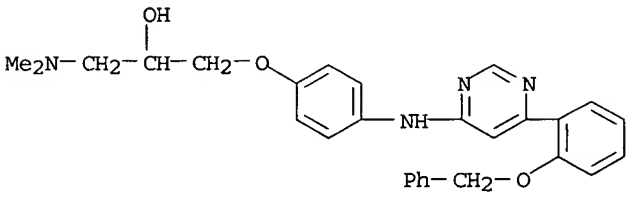
RN 848637-58-1 HCAPLUS
CN 2-Naphthaleneacetamide, α-amino-N-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



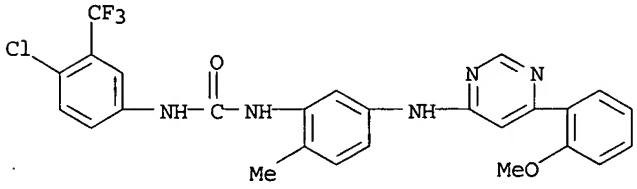
RN 848638-98-2 HCAPLUS
CN 2-Propanol, 1-(dimethylamino)-3-[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



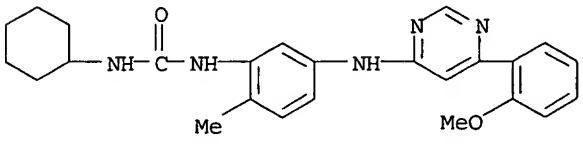
RN 848639-24-7 HCAPLUS
CN 2-Propanol, 1-(dimethylamino)-3-[4-[[6-[2-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 848639-87-2 HCAPLUS
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[5-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)

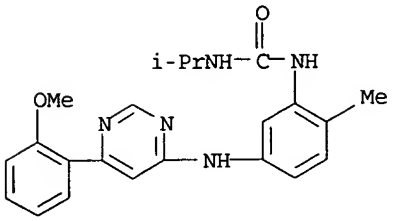


RN 848639-88-3 HCAPLUS
CN Urea, N-cyclohexyl-N'-[5-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)

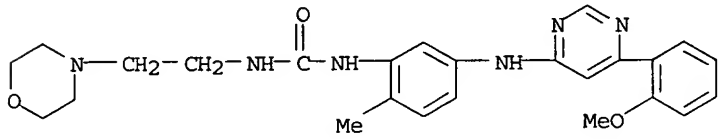


RN 848639-91-8 HCAPLUS

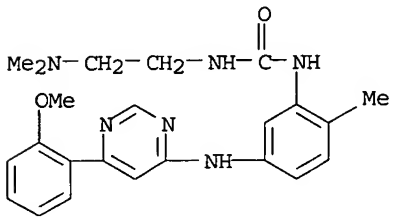
CN Urea, N-[5-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]-2-methylphenyl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)



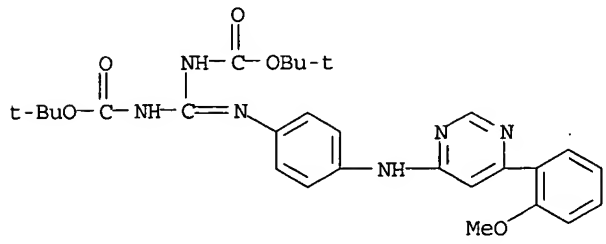
RN 848639-92-9 HCAPLUS
CN Urea, N-[5-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]-2-methylphenyl]-N'-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 848639-93-0 HCAPLUS
CN Urea, N-[2-(dimethylamino)ethyl]-N'-[5-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)



IT **848639-99-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4,6-disubstituted aminopyrimidines as modulators of protein kinases)
RN 848639-99-6 HCAPLUS
CN Carbamic acid, [[4-[[6-(2-methoxyphenyl)-4-pyrimidinyl]amino]phenyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

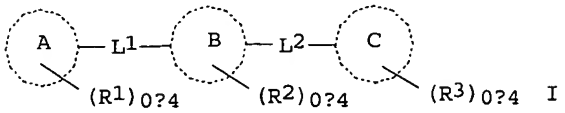


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 3 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:216619 HCAPLUS
DN 142:297864
TI Preparation of aniline derivatives and related compounds as c-kit modulators
IN Cheng, Wei; Co, Erick Wang; Kim, Moon Hwan; Klein, Rhett Ronald; Le Donna, T.; Lew, Amy; Nuss, John M.; Xu, Wei; Bajjalieh, William
PA Exelixis, Inc., USA
SO PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005020921	A2	20050310	2004WO-US28001	20040827 <--
	WO2005020921	A3	20051006		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU2004268621	A1	20050310	2004AU-0268621	20040827 <--
	CA---2536954	AA	20050310	2004CA-2536954	20040827 <--
	EP---1663204	A2	20060607	2004EP-0782473	20040827 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRAI	2003US-499224P	P	20030829 <--		
	2004WO-US28001	W	20040827		

OS MARPAT 142:297864
GI



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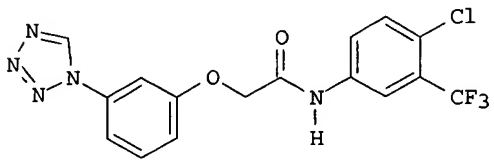


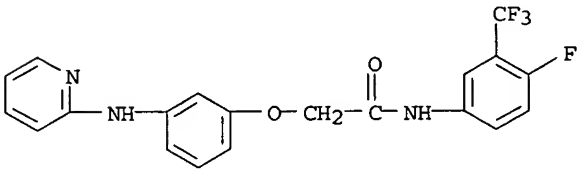
II

AB Compds. I [wherein ring A is a five- to fourteen-membered heteroaryl; R1, R2 and R3 are H, halo, trihalomethyl, cyano, nitro, etc.; L1 is a single bond, (un)substituted alkylene, O, CH2O, etc.; ring B is five- to ten-membered aryl or heterocyclyl; ring C is five- to ten-membered (hetero)aryl; L2 is alkylene, alkylidene, alkylidyne, etc.; with some limitations and exclusions, and pharmaceutically acceptable salts, hydrates or prodrugs thereof], as exemplified by carbonyl compds. of anilines, were prepared as c-Kit kinase modulators. For example, 3-aminophenoxyacetic acid, which was obtained from the corresponding nitro

compound in 76% yield via catalytic hydrogenation, was treated with HC(OEt)3 and NaN3 in AcOH followed by NaNO2/HCl to give a tetrazole in 61% yield. This acid was coupled with 5-amino-2-chlorobenzotrifluoride in the presence of HATU to afford acetamide II in 46% yield, which showed inhibition against c-Kit kinase with a IC50 of < 50 nM. Therefore, I and pharmaceutical compns. thereof are useful for modulating c-Kit kinase activity and for treating diseases or disorders associated with uncontrolled, abnormal, and/or unwanted cellular activities.

IT **847607-75-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(modulator; preparation of anilines and related compds. as C-kit modulators)

RN 847607-75-4 HCAPLUS
CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[3-(2-pyridinylamino)phenoxy]- (9CI) (CA INDEX NAME)

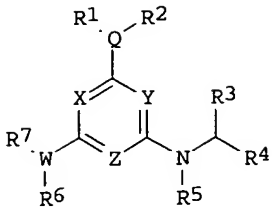


L39 ANSWER 4 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:29318 HCAPLUS
DN 142:134918
TI Preparation of novel 2,4,6-trisubstituted heterocycle amino acid derivatives for treatment of neurological disorders
IN Brown, Dean; Cacciola, Joseph; Jacobs, Robert T.; McLaren, Frances M.; Shenvi, Ashokkumar Bhikkappa; Smith, Reed W., Jr.
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

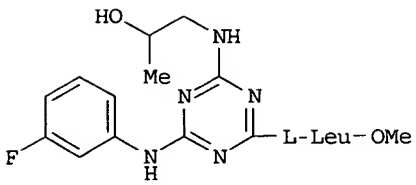
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2005003103	A2	20050113	2004WO-GB02723	20040624 <--
WO2005003103	A3	20051103		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI 2003US-484250P P 20030630 <--
OS MARPAT 142:134918
GI



I



II

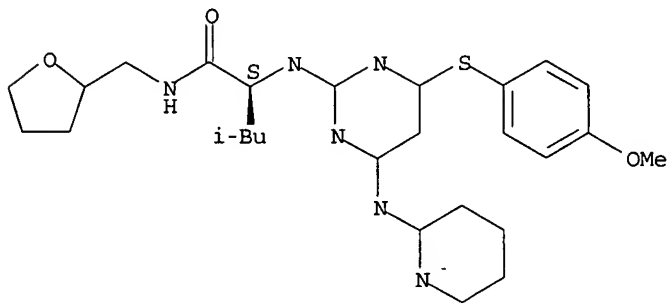
AB Triazine, pyrimidine and pyridine amino acid derivs. I [Q is C, CH or N; W is N or S (R6 not present); X, Y, Z are independently C or N (at least one is N); R1, R2 are independently H, Me, (un)substituted alkyl, carbocyclyl or heterocyclyl or R1 and R2 in combination can form an (un)substituted heterocycle or carbocycle; R3 is H or (un)substituted alkyl; R4 is H, (un)substituted alkyl or carbocyclyl, CO2Me, CONHCH2Me or CONHCH2-heterocyclyl; R5 is H or Me; R6 is H; R7 is (un)substituted carbocyclyl] were prepared for the treatment of neurol. disorders related to amyloid β protein production, e.g., Alzheimer's disease. Thus, treatment of cyanuric chloride with 3-fluoroaniline in THF in the presence of DIEA for 1 h and then leucine Me ester hydrochloride at reflux for 4 h afforded Me N-[4-[(3-fluorophenyl)amino]-6-chloro-1,3,5-triazin-2-yl]-L-leucinate. The latter formed a resin with polystyrene-hydroxybenzotriazole and was reacted with 2-hydroxy-1-propylamine to afford compound II. Compds. of the invention inhibit amyloid β production (IC50 = 0.010-5.50 μM in the γ-secretase detergent extract assay).

IT **825647-27-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazine, pyrimidine and pyridine amino acid derivs. for treatment of neurol. disorders)

RN 825647-27-6 HCAPLUS

CN Pentanamide, 2-[[4-[(4-methoxyphenyl)thio]-6-(2-pyridinylamino)-2-pyrimidinyl]amino]-4-methyl-N-[(tetrahydro-2-furanyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L39 ANSWER 5 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:965255 HCAPLUS

DN 141:410950

TI Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines as selective PDE5 inhibitors useful in the treatment of hypertension

IN Bell, Andrew Simon; Brown, David Graham; Fox, David Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian; Palmer, Michael John; Winslow, Carol Ann

PA Pfizer Limited, UK; Pfizer Inc.

SO PCT Int. Appl., 279 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2004096810	A1	20041111	2004WO-IB01433	20040422 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU2004234158	A1	20041111	2004AU-0234158	20040422 <--
CA---2523831	AA	20041111	2004CA-2523831	20040422 <--
EP---1620437	A1	20060201	2004EP-0728868	20040422 <--
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BR2004009903	A	20060425	2004BR-0009903	20040422 <--
CN---1780841	A	20060531	CN 2004-80011467	20040422 <--
NL---1026074	A1	20041101	2004NL-1026074	20040428 <--
NL---1026074	C2	20050809		
US2005043325	A1	20050224	2004US-0834484	20040429 <--
NO2005004404	A	20051124	2005NO-0004404	20050922 <--
PRAI 2003GB-0009780	A	20030429 <--		
2003GB-0027748	A	20031128 <--		
2003US-476678P	P	20030606 <--		
2004US-538147P	P	20040120		
2004WO-IB01433	A	20040422		

OS MARPAT 141:410950
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

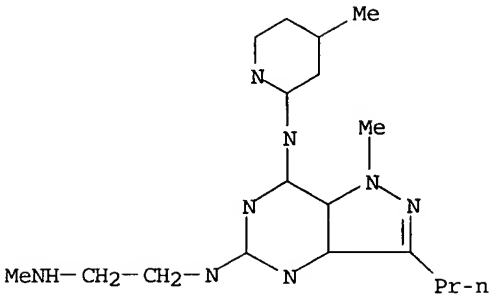
AB Title compds. I [wherein R1 = (un)substituted cycloalkyl, cycloalkenyl, (un)substituted pyridin-2-yl, (un)fused Ph, etc.; R2 = H, alkyl; R3, R4 = independently (un)substituted alkyl, alkenyl, cycloalkyl, etc.; or NR3R4 = piperazin-1-yl, monocyclic, saturated polycyclic; R5 = (un)substituted halo/alkyl, alkenyl, alkynyl, cycloalkyl; R6 = H, (un)substituted alkyl, haloalkyl, alkenyl, alkynyl, etc.] were prepared as selective PDE5 inhibitors. For example, II•2HCl was prepared from (4-Methylpyridin-2-yl)amine, dichloride III (general preparation given), and tert-Bu piperazine-1-carboxylate. I gave IC50 values < 10,000 nM in an in vitro assay for PDE5 inhibition. Thus, I are used for treating hypertension.

IT **792967-25-0P 792967-27-2P 792967-41-0P**
792967-43-2P 792967-45-4P 792967-47-6P
792967-49-8P 792968-03-7P 792968-08-2P
792969-14-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PDE5 inhibitor; preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines as selective PDE5 inhibitors useful in treatment of hypertension)

RN 792967-25-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-methyl-N5-[2-(methylamino)ethyl]-N7-(4-methyl-2-pyridinyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

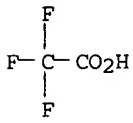
CRN 792967-24-9
CMF C18 H26 N8



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

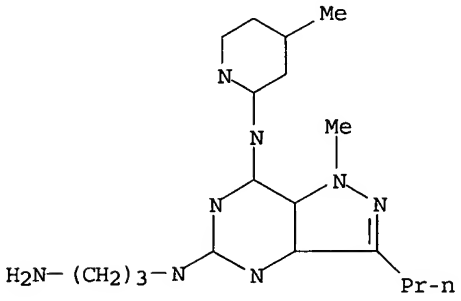
CRN 76-05-1
CMF C2 H F3 O2



RN 792967-27-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, N5-(3-aminopropyl)-1-methyl-N7-(4-methyl-2-pyridinyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

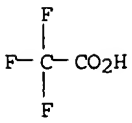
CRN 792967-26-1
CMF C18 H26 N8



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

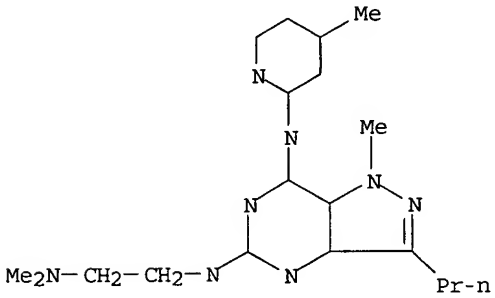
CRN 76-05-1
CMF C2 H F3 O2



RN 792967-41-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, N5-[2-(dimethylamino)ethyl]-1-methyl-N7-(4-methyl-2-pyridinyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

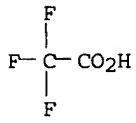
CRN 792967-40-9
CMF C19 H28 N8



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

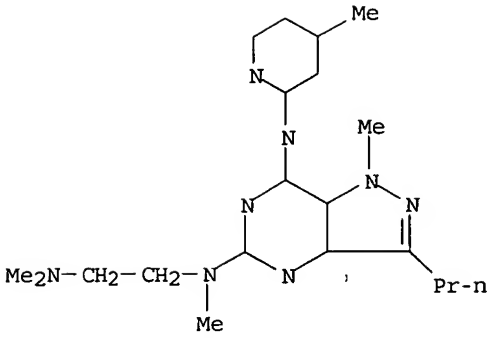
CRN 76-05-1
CMF C2 H F3 O2



RN 792967-43-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, N5-[2-(dimethylamino)ethyl]-N5,1-dimethyl-N7-(4-methyl-2-pyridinyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

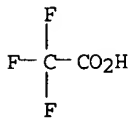
CRN 792967-42-1
CMF C20 H30 N8



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

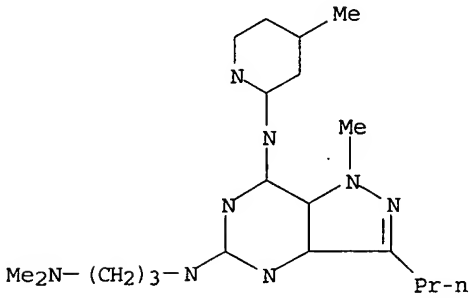
CRN 76-05-1
CMF C2 H F3 O2



RN 792967-45-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, N5-[3-(dimethylamino)propyl]-1-methyl-N7-(4-methyl-2-pyridinyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

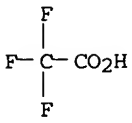
CRN 792967-44-3
CMF C20 H30 N8



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

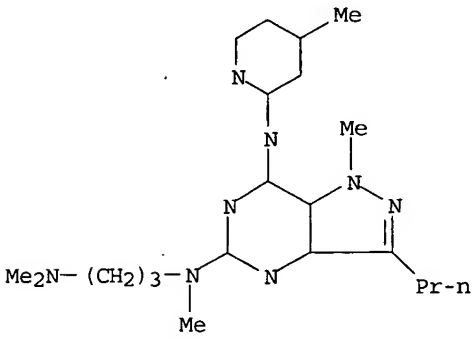
CRN 76-05-1
CMF C2 H F3 O2



RN 792967-47-6 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, N5-[3-(dimethylamino)propyl]-N5,1-dimethyl-N7-(4-methyl-2-pyridinyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

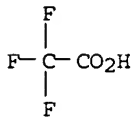
CRN 792967-46-5
CMF C21 H32 N8



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

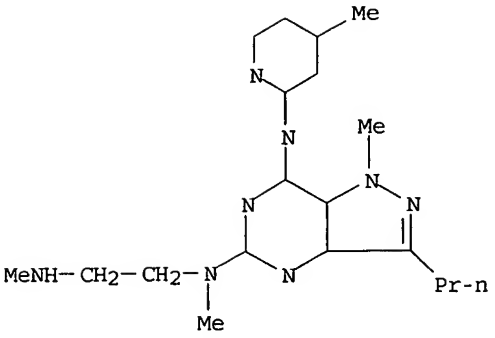
CRN 76-05-1
CMF C2 H F3 O2



RN 792967-49-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, N5,1-dimethyl-N5-[2-(methylamino)ethyl]-N7-(4-methyl-2-pyridinyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

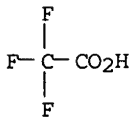
CRN 792967-48-7
CMF C19 H28 N8



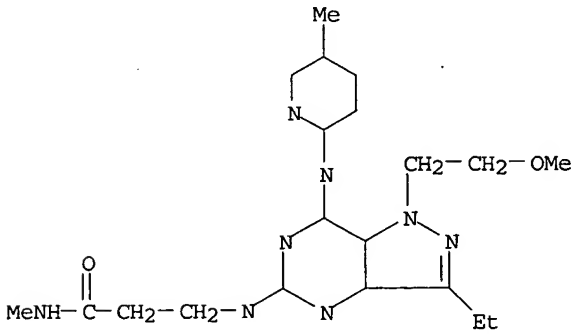
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

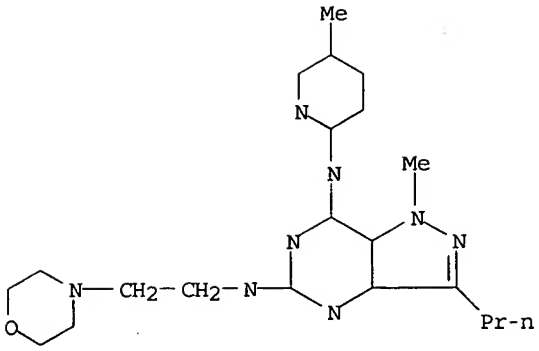
CRN 76-05-1
CMF C2 H F3 O2



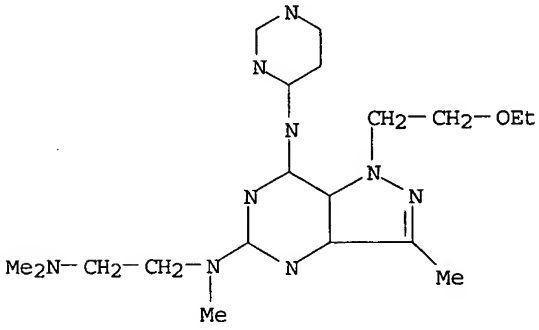
RN 792968-03-7 HCAPLUS
CN Propanamide, 3-[[3-ethyl-1-(2-methoxyethyl)-7-[(5-methyl-2-pyridinyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-5-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 792968-08-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, 1-methyl-N7-(5-methyl-2-pyridinyl)-N5-[2-(4-morpholinyl)ethyl]-3-propyl- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 792969-14-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5,7-diamine, N5-[2-(dimethylamino)ethyl]-1-(2-ethoxyethyl)-N5,3-dimethyl-N7-4-pyrimidinyl- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 6 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:817889 HCAPLUS
DN 141:332200
TI N,N'-Di(hetero)aryl(thio)ureas useful as positive allosteric modulators of the α7 subunit of the nicotinic acetylcholine receptor, and their pharmaceutical compositions, uses, and preparation
IN Rogers, Bruce Nelsen; Piotrowski, David Walter; Margolis, Brandon Jerome; Myers, Jason Kenneth; Groppi, Vincent Edward, Jr.; Rudmann, Daniel Gregory
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004085433	A2	20041007	2004WO-IB00838	20040315 <--
	WO2004085433	A3	20041216		

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA---2519265 AA 20041007 2004CA-2519265 20040315 <--

EP---1611128 A2 20060104 2004EP-0720670 20040315 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

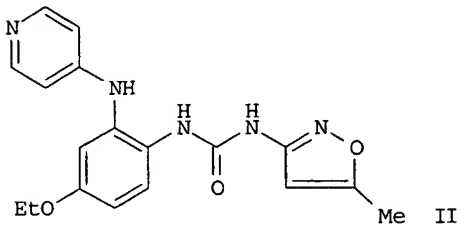
BR2004008815 A 20060404 2004BR-0008815 20040315 <--

PRAI 2003US-458766P P 20030328 <--

2004WO-IB00838 W 20040315

OS MARPAT 141:332200

GI



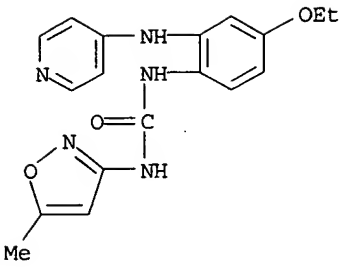
II

AB The invention provides di(hetero)arylureas A-NH-C(:X)-NH-B [I; X = O or S; A = certain (un)substituted 6-membered (hetero)aryl rings containing 0-4 N atoms, e.g., Ph, pyridinyl; B = certain (un)substituted 5- or 6-membered (hetero)aromatic rings containing O, NH or derivs., N, or S, particularly 6-membered rings with 0-4 N as cited of A, or 5-membered azole-type heterocycles bound at C or N]. These compds. may be in the form of pharmaceutical salts or compns., or may be in pure enantiomeric form or racemic mixts. I are useful in pharmaceuticals used to treat a wide variety of diseases or conditions in which the α7 subunit of the nicotinic acetylcholine receptor (α7nAChR) is known to be involved. I may be used in combination with a variety of other agents, including antipsychotics, agents which increase brain acetylcholine levels, or which inhibit acetylcholinesterase, or which activate production of acetylcholine, or monoamine reuptake inhibitors, psychostimulants, or α7nAChR agonists. A total of 25 compds. are described, 23 with preparatory details. Using a FLIPR, cell-based, Ca flux assay with mutated α7nAChR expressed in SHEP-1 cells, the example compds. had activity between 10 nM and 10 μM. For instance, invention compound II was prepared in 4 steps. Thus, ethanolysis of 2-bromo-4-fluoro-1-nitrobenzene with NaOEt in EtOH (68%), and Pd complex-catalyzed coupling of the resultant 2-bromo-4-ethoxy-1-nitrobenzene with 4-aminopyridine (84%) gave N-(5-ethoxy-2-nitrophenyl)pyridin-4-amine. Hydrogenation of the nitro group to amino (89%) and carbamoylation by Ph (5-methylisoxazol-3-yl)carbamate (81%) gave II.

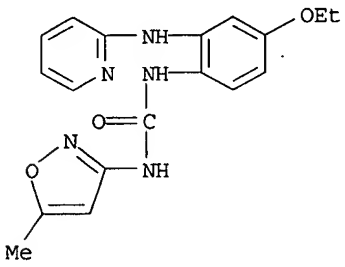
IT **769921-65-5P**, N-[4-Ethoxy-2-(pyridin-4-ylamino)phenyl]-N'-(5-methylisoxazol-3-yl)urea **769921-68-8P**, N-[4-Ethoxy-2-(pyridin-2-ylamino)phenyl]-N'-(5-methylisoxazol-3-yl)urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of diheteroarylureas and analogs as pos. allosteric modulators of α7 subunit of nicotinic acetylcholine receptors)

RN 769921-65-5 HCAPLUS

CN Urea, N-[4-ethoxy-2-(4-pyridinylamino)phenyl]-N'-(5-methyl-3-isoxazolyl)-(9CI) (CA INDEX NAME)



RN 769921-68-8 HCAPLUS
CN Urea, N-[4-ethoxy-2-(2-pyridinylamino)phenyl]-N'-(5-methyl-3-isoxazolyl)-(9CI) (CA INDEX NAME)



L39 ANSWER 7 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:780693 HCAPLUS
DN 141:296042
TI Preparation of quinazolines non-receptor tyrosine kinase inhibitors as antitumor agents
IN Barlaam, Bernard
PA AstraZeneca AB, Swed.; AstraZeneca UK Limited
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004081000	A1	20040923	2004WO-GB00942	20040305 <--

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI 2003EP-0290581 A 20030310 <--
OS MARPAT 141:296042
GI

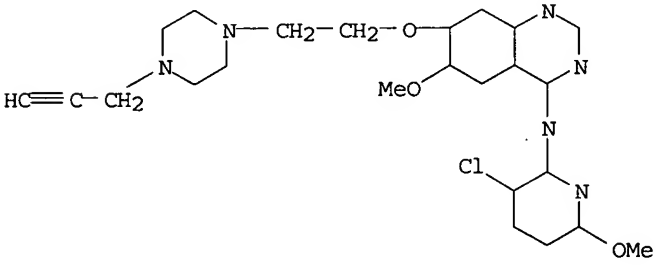
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title quinazolines I [wherein Z = O, S, SO, SO2, NR2, CR2R3; R2, R3 = independently H, alkyl; m = 1-3; R1 = independently halo, CF3, CN, NC, NO2, OH, SH, NH2, CHO, CO2H, carbamoyl, sulfamoyl, alk(en/yn)yl, etc.; Ra = H, halo; Rb, Rc = independently H, halo, alkyl, alkoxy; Rd = alkoxy; or their pharmaceutically acceptable salts thereof] were prepared as non-receptor tyrosine kinase inhibitors. For example, 4-chloro-7-(2-chloroethoxy)-6-methoxyquinazoline (preparation given) was coupled with 2-amino-3-chloro-6-methoxypyridine using sodium hexamethyldisilazane in DMF to give II. Selected I inhibited the phosphorylation of a tyrosine containing polypeptide substrate by human recombinant c-Src kinase (IC50 in the range of 0.001-0.5 μM), suppressed the proliferation of mouse 3T3 fibroblast cells stably-transfected with an activating mutant of human c-Src (IC50 in the range of 0.1-5 μM), and inhibited the migration of the human tumor cell line A549 (IC50 in the range of 0.1-5 M). In addition, no physiol. unacceptable toxicity was observed at the ED for compds. tested in an in vivo A549 xenograft growth assay using athymic nude mice. Thus, I and pharmaceutical compns. containing them are useful as anti-invasive agents in the containment and/or treatment of solid tumor disease.

IT **763123-55-3P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[2-(4-prop-2-ynylpiperazin-1-yl)ethoxy]quinazoline **763123-56-4P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[3-(4-prop-2-ynylpiperazin-1-yl)propoxy]quinazoline **763123-58-6P**, 7-[2-(4-Acetylpiperazin-1-yl)ethoxy]-4-[(3-chloro-6-methoxypyridin-2-yl)amino]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-62-2P**, 7-[2-(4-Acetylpiperazin-1-yl)ethoxy]-4-[(3-chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxyquinazoline **763123-63-3P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[2-(morpholino)ethoxy]quinazoline **763123-64-4P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[2-(pyrrolidin-1-yl)ethoxy]quinazoline **763123-65-5P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[2-(piperidino)ethoxy]quinazoline **763123-66-6P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[2-(4-methylpiperazin-1-yl)ethoxy]quinazoline **763123-67-7P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[2-(4-acetylpiperazin-1-yl)ethoxy]-6-methoxyquinazoline **763123-68-8P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[3-(pyrrolidin-1-yl)propoxy]quinazoline **763123-69-9P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[3-(piperidino)propoxy]quinazoline **763123-70-2P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[3-(morpholino)propoxy]quinazoline **763123-71-3P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline **763123-72-4P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[3-(4-acetylpiperazin-1-yl)propoxy]-6-methoxyquinazoline **763123-76-8P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[2-(morpholino)ethoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-77-9P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[2-(pyrrolidin-1-yl)ethoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-79-1P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[2-(piperidino)ethoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-80-4P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[2-(4-methylpiperazin-1-yl)ethoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-81-5P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[2-(4-prop-2-ynylpiperazin-1-yl)ethoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-82-6P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[3-(pyrrolidin-1-yl)propoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-83-7P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[3-(piperidino)propoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-84-8P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[3-(morpholino)propoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-85-9P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[3-(4-methylpiperazin-1-yl)propoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-86-0P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[3-(4-acetylpiperazin-1-yl)propoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-87-1P**

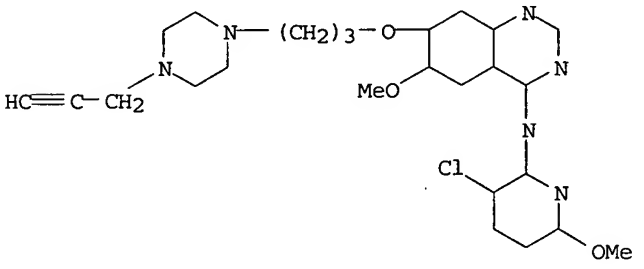
, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[3-(4-prop-2-ynylpiperazin-1-yl)propoxy]-5-[(tetrahydropyran-4-yl)oxy]quinazoline **763123-88-2P**
, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[2-(pyrrolidin-1-yl)ethoxy]quinazoline **763123-89-3P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[2-(piperidino)ethoxy]quinazoline **763123-90-6P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[2-(morpholino)ethoxy]quinazoline **763123-91-7P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[2-(4-methylpiperazin-1-yl)ethoxy]quinazoline **763123-92-8P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[2-(4-prop-2-ynylpiperazin-1-yl)ethoxy]quinazoline **763123-93-9P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[3-(pyrrolidin-1-yl)propoxy]quinazoline **763123-94-0P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[3-(piperidino)propoxy]quinazoline **763123-95-1P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[3-(morpholino)propoxy]quinazoline **763123-96-2P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline **763123-97-3P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-7-[3-(4-acetylpiperazin-1-yl)propoxy]-5-isopropoxyquinazoline **763123-98-4P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-5-isopropoxy-7-[3-(4-prop-2-ynylpiperazin-1-yl)propoxy]quinazoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of quinazolines c-Src kinase inhibitors as antitumor agents)

RN 763123-55-3 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[2-[4-(2-propynyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

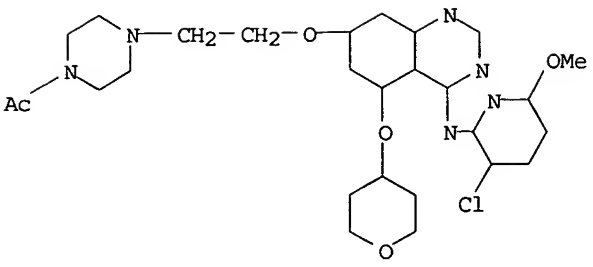
RN 763123-56-4 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[3-[4-(2-propynyl)-1-piperazinyl]propoxy]- (9CI) (CA INDEX NAME)



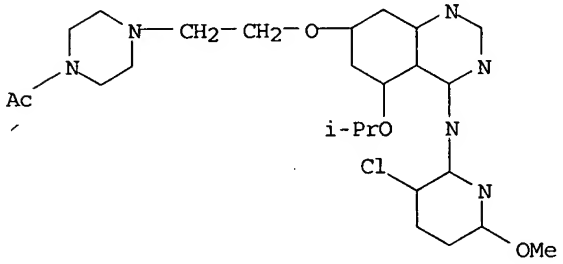
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 763123-58-6 HCAPLUS
CN Piperazine, 1-acetyl-4-[2-[[4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-5-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA

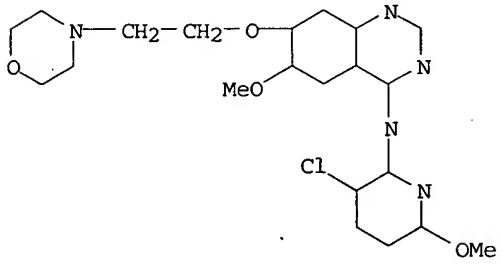
INDEX NAME)



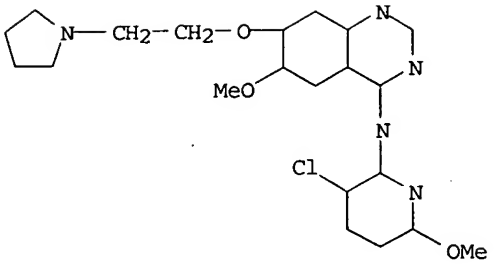
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-62-2 HCAPLUS
CN Piperazine, 1-acetyl-4-[2-[[4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-5-(1-methylethoxy)-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



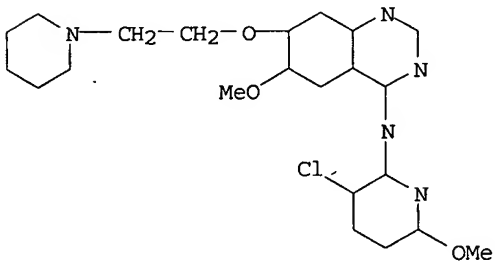
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-63-3 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



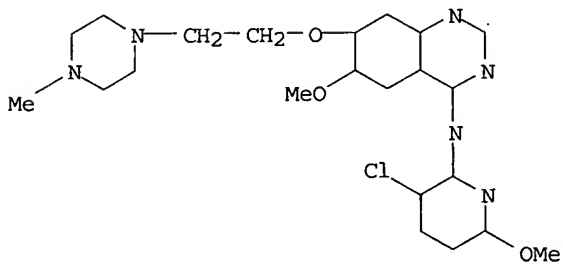
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-64-4 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



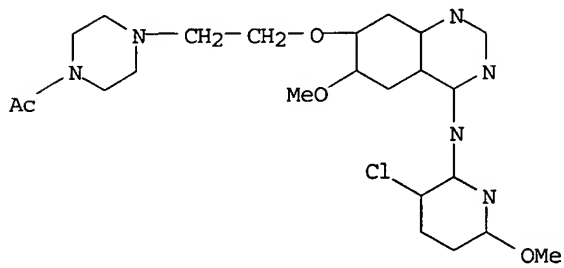
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-65-5 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



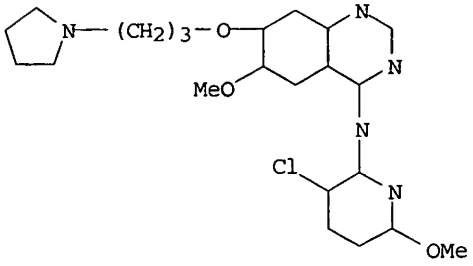
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-66-6 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[2-(4-methyl-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



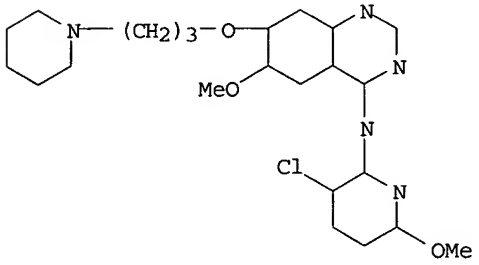
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-67-7 HCAPLUS
CN Piperazine, 1-acetyl-4-[2-[[4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



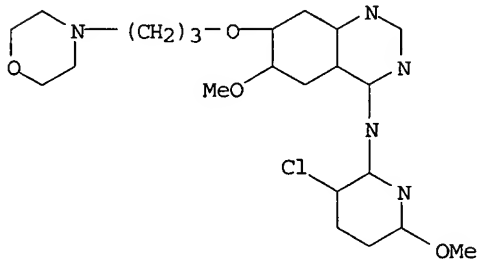
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-68-8 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



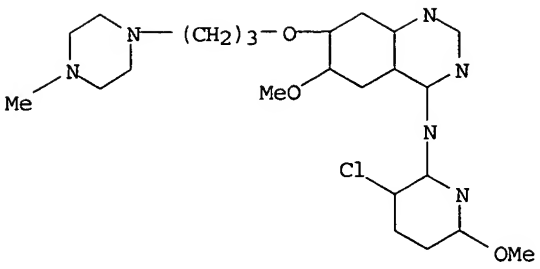
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-69-9 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



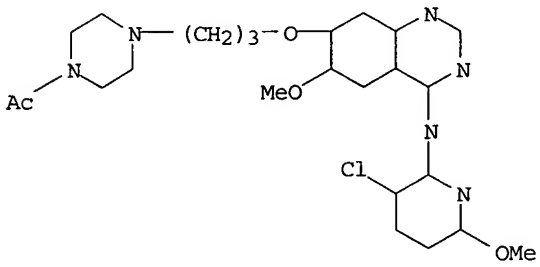
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-70-2 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



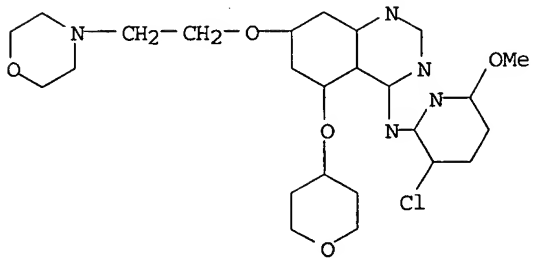
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-71-3 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



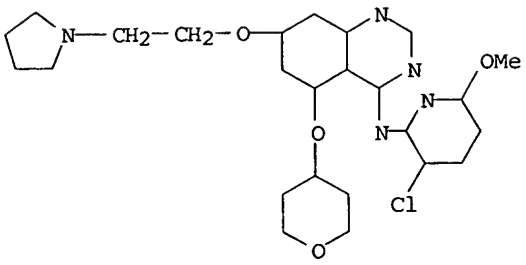
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-72-4 HCAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-. (9CI) (CA INDEX NAME)



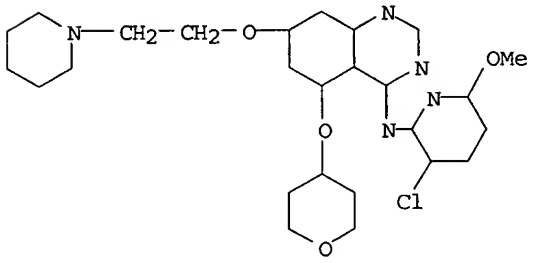
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-76-8 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[2-(4-morpholinyl)ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



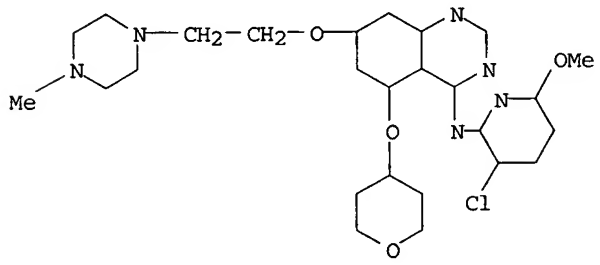
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-77-9 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[2-(1-pyrrolidinyl)ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



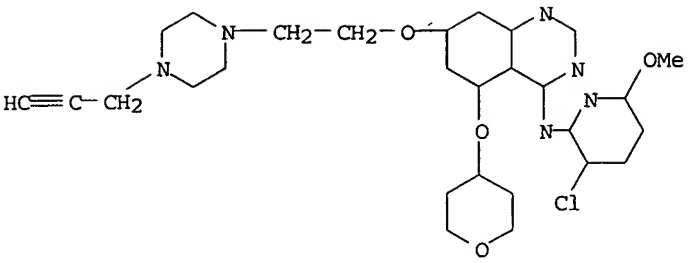
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-79-1 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[2-(1-piperidinyl)ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



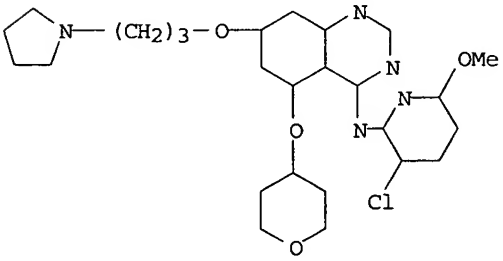
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-80-4 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[2-(4-methyl-1-piperazinyl)ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



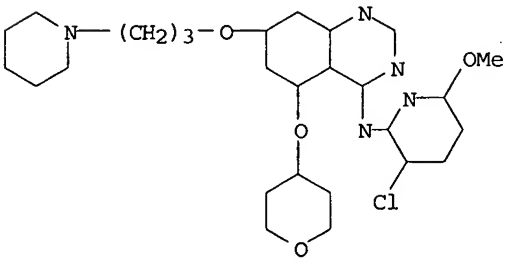
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-81-5 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[2-[4-(2-propynyl)-1-piperazinyl]ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



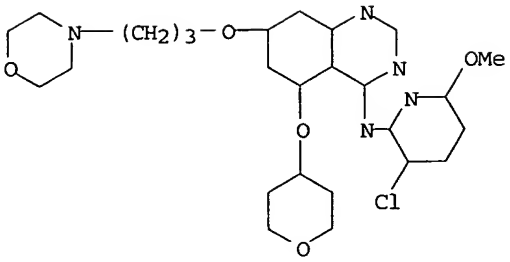
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-82-6 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[3-(1-pyrrolidinyl)propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



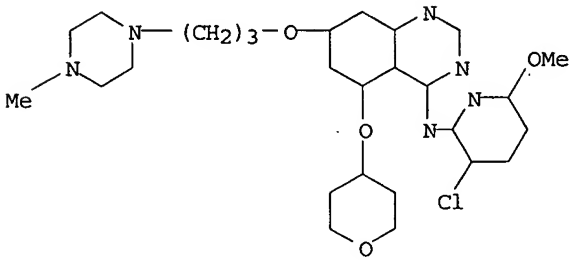
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-83-7 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[3-(1-piperidinyl)propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



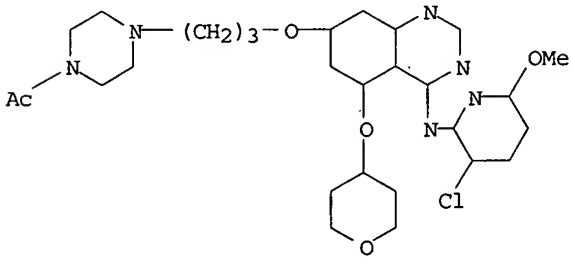
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-84-8 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[3-(4-morpholinyl)propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



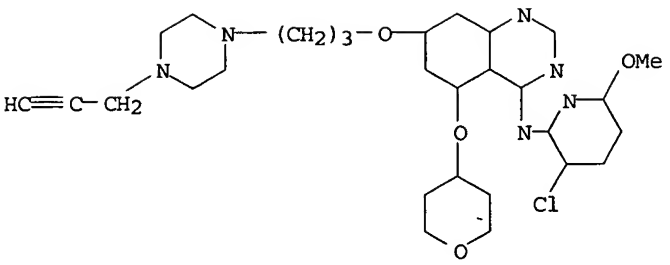
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-85-9 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[3-(4-methyl-1-piperazinyl)propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



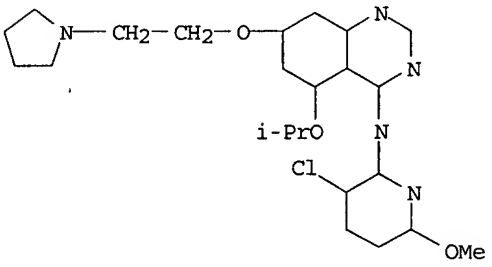
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-86-0 HCAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-5-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



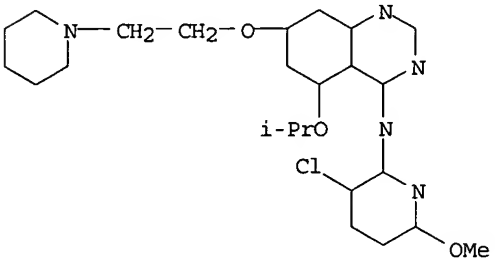
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-87-1 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-7-[3-[4-(2-propynyl)-1-piperazinyl]propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



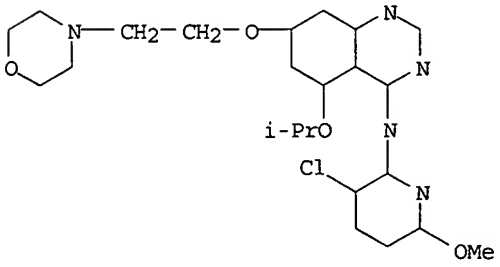
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-88-2 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



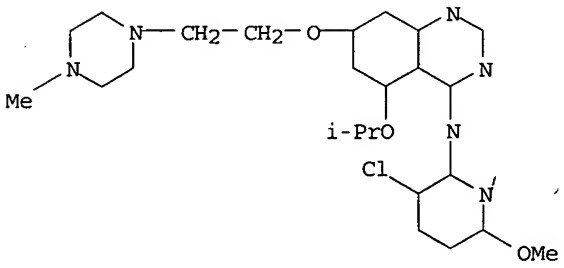
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-89-3 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-90-6 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-91-7 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[2-(4-methyl-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



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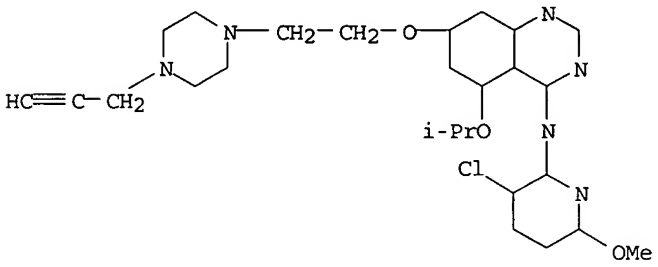
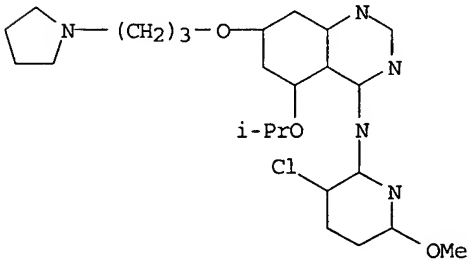
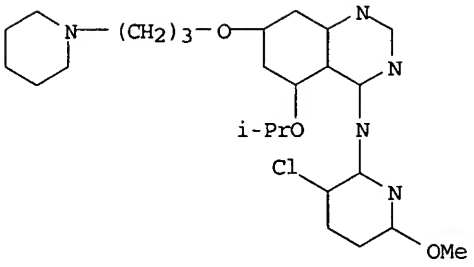
RN 763123-92-8 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[2-[4-(2-propynyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



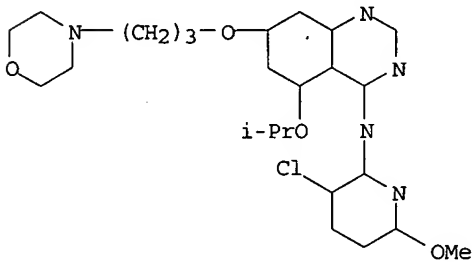
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-93-9 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



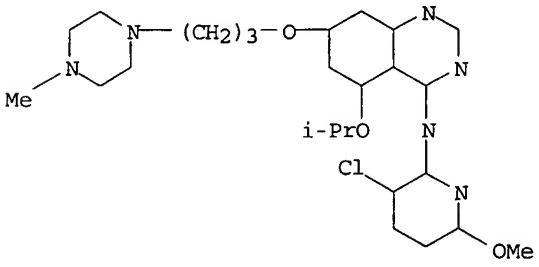
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-94-0 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



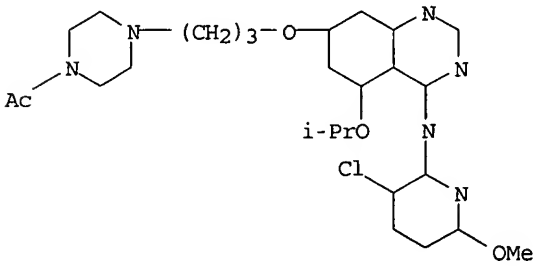
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-95-1 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



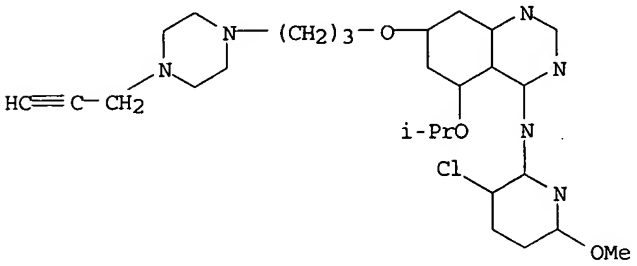
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-96-2 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-97-3 HCAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-5-(1-methylethoxy)-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 763123-98-4 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-6-methoxy-2-pyridinyl)-5-(1-methylethoxy)-7-[3-[4-(2-propynyl)-1-piperazinyl]propoxy]- (9CI) (CA INDEX NAME)



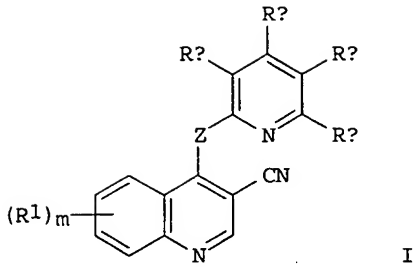
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 8 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:681574 HCAPLUS
DN 141:207069
TI Preparation of 3-cyanoquinoline non-receptor tyrosine kinase inhibitors as antitumor agents
IN Barlaam, Bernard
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2004069250	A1	20040819	2004WO-GB00396	20040130 <--

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI 2003EP-0290261 A 20030203 <--
OS MARPAT 141:207069
GI



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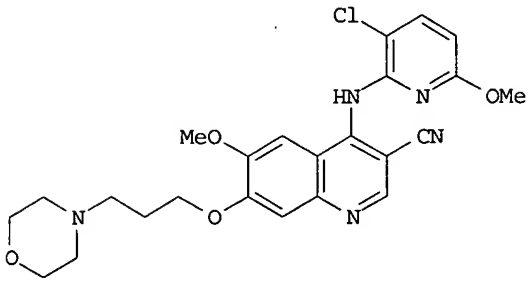


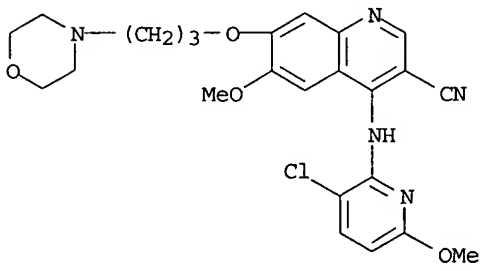
II

AB Title quinolinenitriles I [wherein Z = O, S, SO, SO2, NR2, CR2R3; R1 = independently halo, CF3, CN, NC, NO2, OH, SH, NH2, CHO, CO2H, carbamoyl, sulfamoyl, alkyl, alkenyl, alkynyl, etc.; R2, R3 = independently H, alkyl; m = 1-3; Ra = H, halo; Rb, Rc = independently H, halo, alkyl, alkoxy; Rd = alkoxy; or RaRb, RbRc, or RcRd = alkylenedioxy; or pharmaceutically acceptable salts thereof] were prepared as non-receptor tyrosine kinase inhibitors. For example, 2-amino-3-chloro-6-methoxypyridine (preparation given) was coupled with 4-chloro-3-cyano-6-methoxy-7-(3-morpholinopropoxy)quinoline using sodium hexamethyldisilazane in DMF to give II. The latter inhibited the phosphorylation of a tyrosine containing polypeptide substrate by human recombinant c-Src kinase (IC50 = 0.005 μM), suppressed the proliferation of mouse 3T3 fibroblast cells stably-transfected with an activating mutant of human c-Src (IC50 = 0.2 μM), and inhibited the migration of the human tumor cell line A549 (IC50 = 0.005 μM). In addition, no physiol. unacceptable toxicity was observed at the ED for compds. tested in an in vivo A549 xenograft growth assay using athymic nude mice. Thus, I and pharmaceutical compns. containing them are useful as anti-invasive agents in the containment and/or treatment of solid tumor disease.

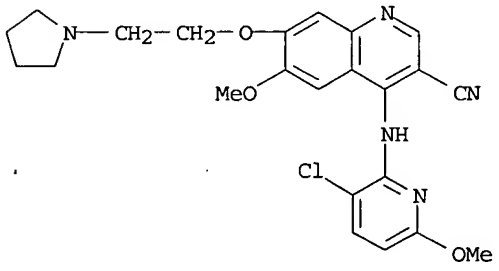
IT **742070-72-0P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[3-(morpholino)propoxy]quinoline **742070-77-5P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[2-(pyrrolidin-1-yl)ethoxy]quinoline **742070-78-6P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[2-(piperidino)ethoxy]quinoline **742070-79-7P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[2-(morpholino)ethoxy]quinoline **742070-80-0P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[2-(4-methylpiperazin-1-yl)ethoxy]quinoline **742070-81-1P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[2-[4-(prop-2-ynyl)piperazin-1-yl]ethoxy]quinoline **742070-82-2P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[2-(4-acetylpiperazin-1-yl)ethoxy]quinoline **742070-83-3P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[3-(pyrrolidin-1-yl)propoxy]quinoline **742070-84-4P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[3-(piperidino)propoxy]quinoline **742070-85-5P**, 4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinoline **742070-86-6P**,

4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[3-[4-(prop-2-ynyl)piperazin-1-yl]propoxy]quinoline **742070-87-7P**,
4-[(3-Chloro-6-methoxypyridin-2-yl)amino]-3-cyano-6-methoxy-7-[3-(4-acetylpiperazin-1-yl)propoxy]quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of quinolinenitrile c-Src kinase inhibitors as antitumor agents)

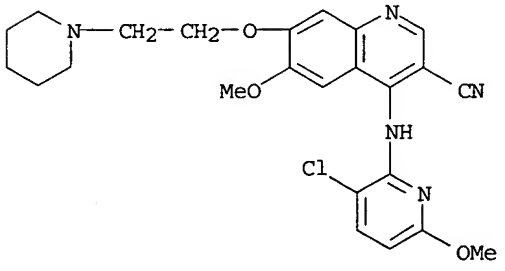
RN 742070-72-0 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



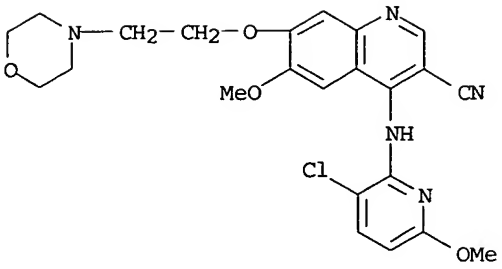
RN 742070-77-5 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



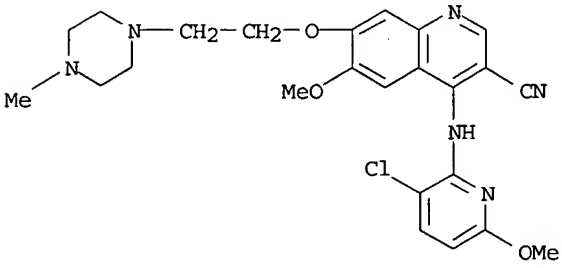
RN 742070-78-6 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



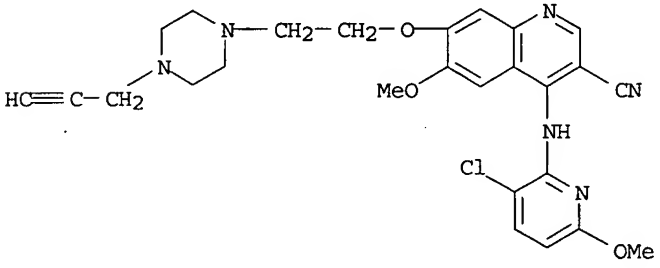
RN 742070-79-7 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



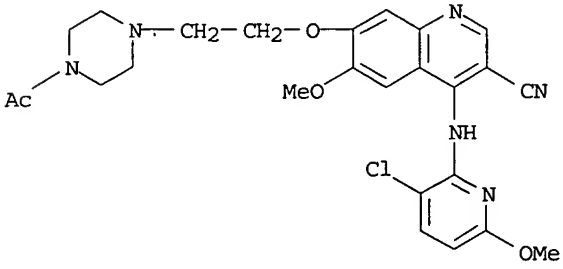
RN 742070-80-0 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-[2-(4-methyl-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 742070-81-1 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-[2-[4-(2-propynyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

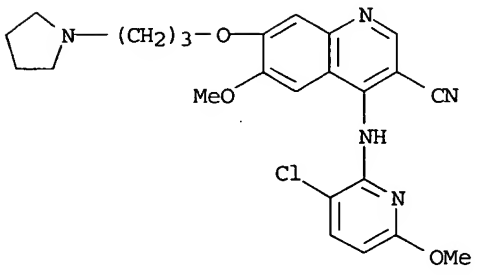


RN 742070-82-2 HCAPLUS
CN Piperazine, 1-acetyl-4-[2-[[4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-3-cyano-6-methoxy-7-quinolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

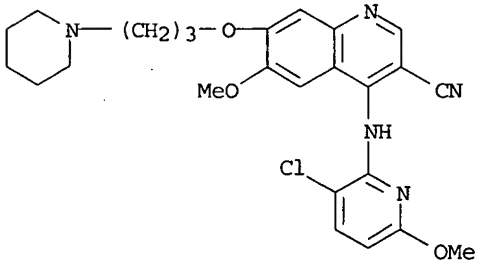


RN 742070-83-3 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-

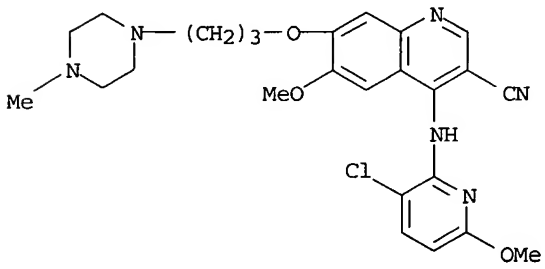
methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



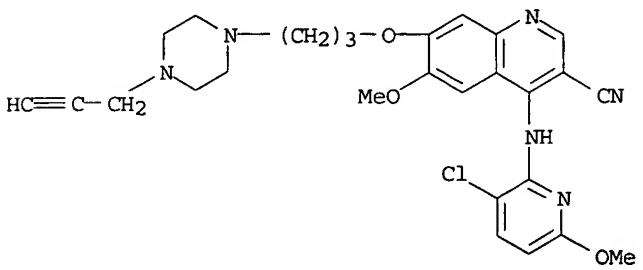
RN 742070-84-4 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



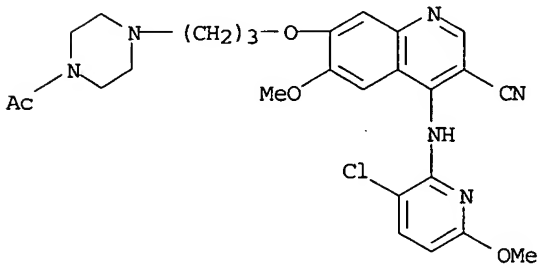
RN 742070-85-5 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 742070-86-6 HCAPLUS
CN 3-Quinolinecarbonitrile, 4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-6-methoxy-7-[3-[4-(2-propynyl)-1-piperazinyl]propoxy]- (9CI) (CA INDEX NAME)



RN 742070-87-7 HCAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(3-chloro-6-methoxy-2-pyridinyl)amino]-3-cyano-6-methoxy-7-quinolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)

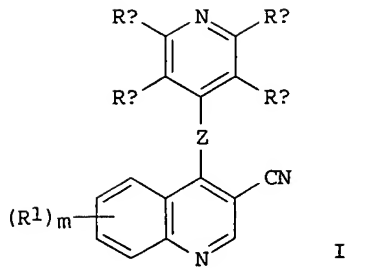


L39 ANSWER 9 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:681573 HCAPLUS
DN 141:207068
TI Preparation of 3-cyanoquinoline non-receptor tyrosine kinase inhibitors as antitumor agents
IN Barlaam, Bernard
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

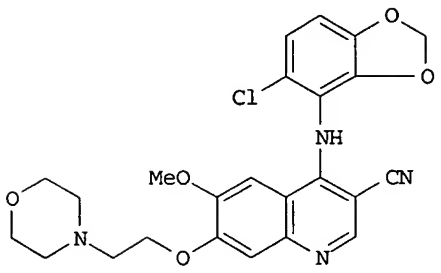
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2004069249	A1	20040819	2004WO-GB00367	20040130 <--

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI 2003EP-0290260 A 20030203 <--
OS MARPAT 141:207068
GI



I



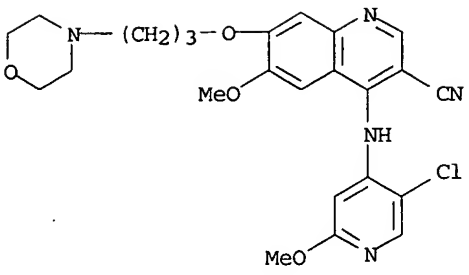
II

AB Title quinolinenitriles I [wherein Z = O, S, SO, SO2, NR2, CR2R3; R1 = independently halo, CF3, CN, NC, NO2, OH, SH, NH2, CHO, CO2H, carbamoyl, sulfamoyl, alkyl, alkenyl, alkynyl, etc.; R2, R3 = independently H, alkyl; m = 1-3; Ra = H, halo; Rb, Rd = independently H, halo, alkyl, alkoxy; Rc = alkoxy; or RcRd = alkylenedioxy; or pharmaceutically acceptable salts thereof] were prepared as non-receptor tyrosine kinase inhibitors. For example, reaction of 7-(2-chloroethoxy)-4-(5-chloro-2,3-methylenedioxypyridin-4-ylamino)-3-cyano-6-methoxyquinoline with morpholine using KI in DMA gave II. The latter inhibited the phosphorylation of a tyrosine containing polypeptide substrate by human recombinant c-Src kinase (IC50 = 0.01 μM), suppressed the proliferation of mouse 3T3 fibroblast cells stably-transfected with an activating mutant of human c-Src (IC50 = 0.2 μM), and inhibited the migration of the human tumor cell line A549 (IC50 = 0.7 μM). In addition, no physiol. unacceptable toxicity was observed at the ED for compds. tested in an in vivo A549 xenograft growth assay using athymic nude mice. Thus, I and pharmaceutical compns. containing them are useful as anti-invasive agents in the containment and/or treatment of solid tumor disease.

IT **742072-77-1P**, 4-[(5-Chloro-2-methoxypyridin-4-yl)amino]-3-cyano-6-methoxy-7-[3-(morpholino)propoxy]quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of quinolinenitrile c-Src kinase inhibitors as antitumor agents)

RN 742072-77-1 HCAPLUS

CN 3-Quinolinecarbonitrile, 4-[(5-chloro-2-methoxy-4-pyridinyl)amino]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



L39 ANSWER 10 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:648322 HCAPLUS
DN 141:173872
TI A large-scale synthesis of 4-amino-2-butenoyl chlorides, useful as intermediates in preparation of [(dimethylaminocrotonyl)amido]quinoline derivatives
IN Considine, John Leo; Daigneault, Sylvain; Chew, Warren; Iera, Silvio; Duncan, Scott Mason; Ren, Jianxin
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004066919	A2	20040812	2004WO-US01133	20040116 <--
	WO2004066919	A3	20050127		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	US2004162442	A1	20040819	2004US-0758187	20040115 <--
	AU2004207475	A1	20040812	2004AU-0207475	20040116 <--
	CA---2514550	AA	20040812	2004CA-2514550	20040116 <--
	EP---1585479	A2	20051019	2004EP-0702974	20040116 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN---1761644	A	20060419	CN 2004-80007723	20040116 <--
	NO2005003890	A	20051020	2005NO-0003890	20050819 <--
PRAI	2003US-441470P	P	20030121 <--		
	2004WO-US01133	W	20040116		

OS CASREACT 141:173872; MARPAT 141:173872
GI

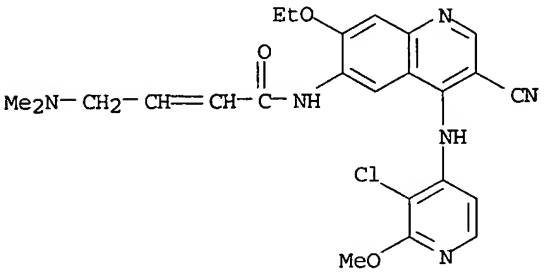
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a compds. of formula I [wherein: S1 and S2 are independently selected from H, alk(en/yn)yl, arylalkyl, (un)substituted aryl, or S1 and S2 together with the nitrogen to which they are attached form a N-containing heteroaryl] as intermediates in preparation of derivs. of [(dimethylaminocrotonyl)amido]quinoline, useful as protein kinase inhibitors. For instance, [(dimethylaminocrotonyl)amido]quinoline derivative II was prepared via amination of the obtained aminocrotonic acid chloride I•HCl (S1 = S2 = H) by aminoquinoline derivative III and subsequent recrystn. (example 1, no yield data).
IT **736156-77-7P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)
(large-scale synthesis of (dimethylamino)butenoyl chloride, useful as intermediate in preparation of [(dimethylaminocrotonyl)amido]quinoline derivs.)

RN 736156-77-7 HCAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-2-methoxy-4-pyridinyl)amino]-3-cyano-7-ethoxy-6-quinolinyl]-4-(dimethylamino)- (9CI) (CA INDEX NAME)



L39 ANSWER 11 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:546501 HCAPLUS

DN 141:106486

TI Preparation of 4-(pyridin-4-ylamino)quinazolines as antitumor agents

IN Barlaam, Bernard

PA Astrazeneca AB, Swed.; Astrazeneca UK Limited

SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004056812	A1	20040708	2003WO-GB05534	20031218 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU2003292435	A1	20040714	2003AU-0292435	20031218 <--
PRAI	2002EP-0293220	A	20021223 <--		
	2003WO-GB05534	W	20031218 <--		

OS MARPAT 141:106486

GI

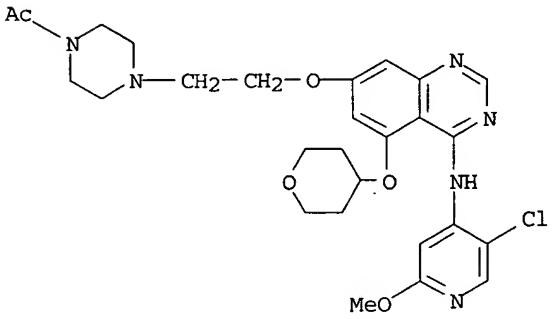
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinazolines I [Z = O, S, SO, SO2, (un)substituted NH2, CH2; m =1, 2 3; R1 = halogen, CF3, CN, NO2, (un)substituted OH, SH, NH2, CHO, CO2H, CONH2, alkyl, alkenyl, alkynyl, SO2NH2; R2 = H, halogen; R3, R5 = H, halogen, alkyl, alkoxy; R4 = alkoxy] were prepared for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease (no data). Thus, 5-chloro-2-methoxypyridine was converted to its N-oxide, nitrated to 5-chloro-2-methoxy-4-nitropyridine and reduced to the amine which was treated with the 4-chloroquinazoline fragment to give the quinazoline II.

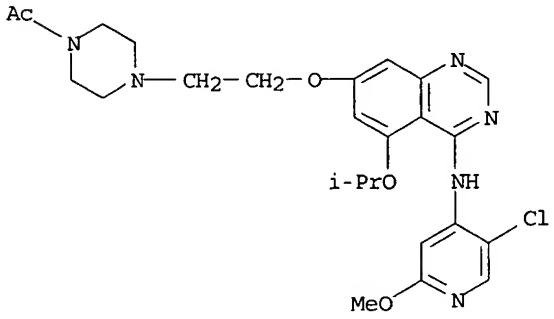
The chloroquinazoline fragment was prepared by treating 5,7-difluoro-3,4-dihydroquinazolin-4-one with 4-tetrahydropyranol followed by 1-(2-hydroxyethyl)piperazine and acetylation.

IT **719304-91-3P 719304-95-7P 719304-97-9P**
719305-01-8P 719305-03-0P 719305-04-1P
719305-08-5P 719305-09-6P 719305-10-9P
719305-11-0P 719305-12-1P 719305-13-2P
719305-14-3P 719305-15-4P 719305-16-5P
719305-17-6P 719305-18-7P 719305-19-8P
719305-20-1P 719305-21-2P 719305-22-3P
719305-23-4P 719305-24-5P 719305-25-6P
719305-26-7P 719305-27-8P 719305-28-9P
719305-29-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(pyridin-4-ylamino)quinazolines as antitumor agents)

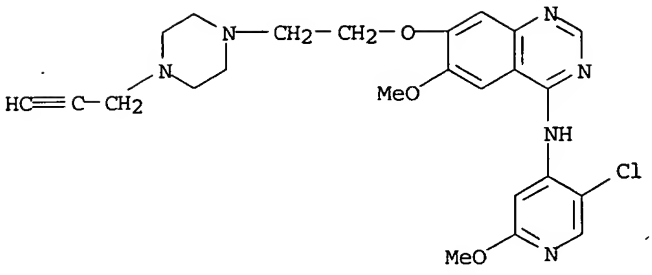
RN 719304-91-3 HCAPLUS
CN Piperazine, 1-acetyl-4-[2-[[4-[(5-chloro-2-methoxy-4-pyridinyl)amino]-5-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



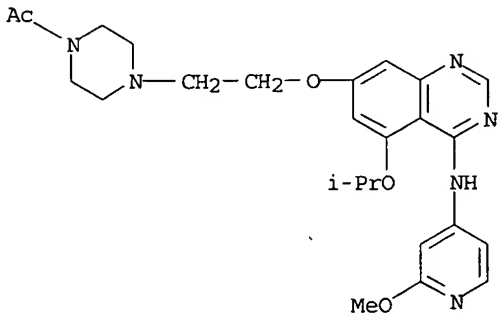
RN 719304-95-7 HCAPLUS
CN Piperazine, 1-acetyl-4-[2-[[4-[(5-chloro-2-methoxy-4-pyridinyl)amino]-5-(1-methylethoxy)-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



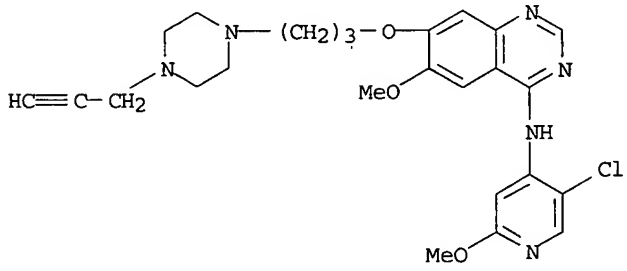
RN 719304-97-9 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-6-methoxy-7-[2-[4-(2-propynyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



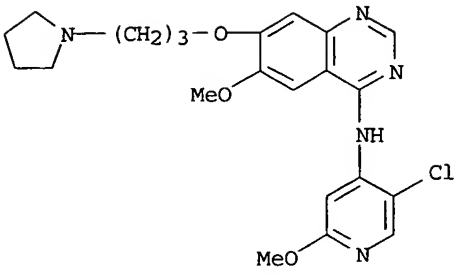
RN 719305-01-8 HCAPLUS
CN Piperazine, 1-acetyl-4-[2-[[4-[(2-methoxy-4-pyridinyl)amino]-5-(1-methylethoxy)-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



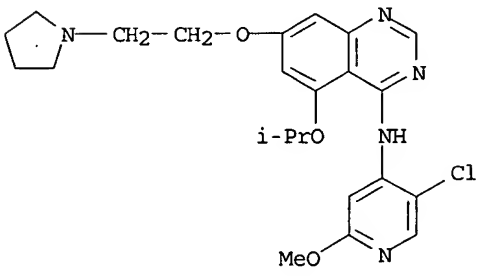
RN 719305-03-0 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-6-methoxy-7-[3-[4-(2-propynyl)-1-piperazinyl]propoxy]- (9CI) (CA INDEX NAME)



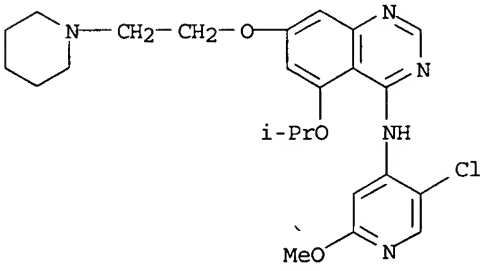
RN 719305-04-1 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



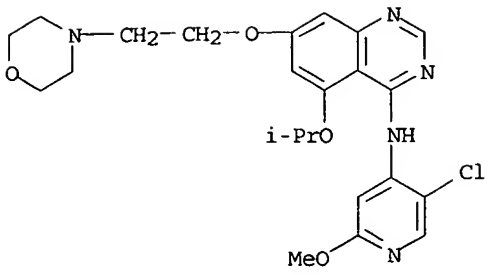
RN 719305-08-5 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



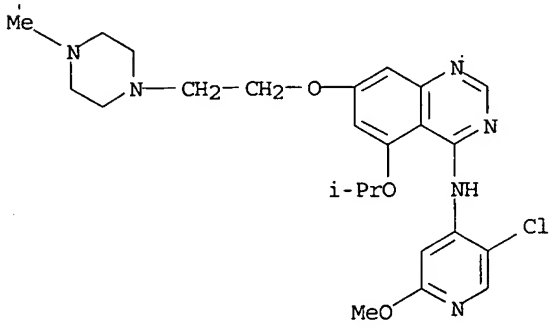
RN 719305-09-6 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



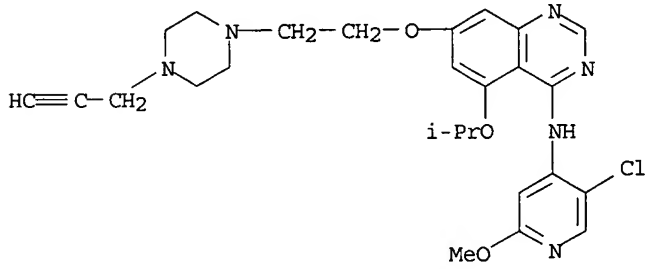
RN 719305-10-9 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



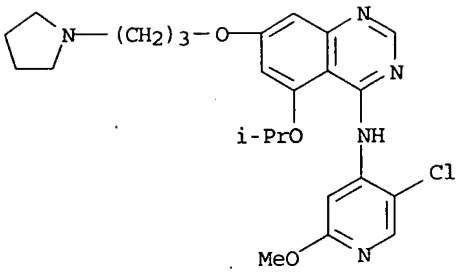
RN 719305-11-0 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[2-(4-methyl-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



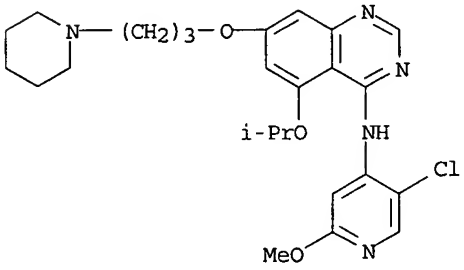
RN 719305-12-1 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[2-[4-(2-propynyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



RN 719305-13-2 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 719305-14-3 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



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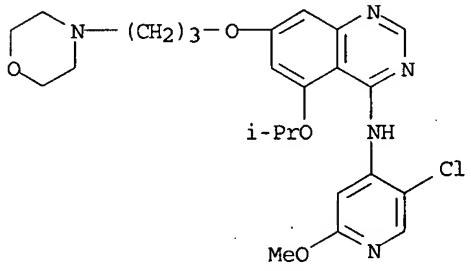
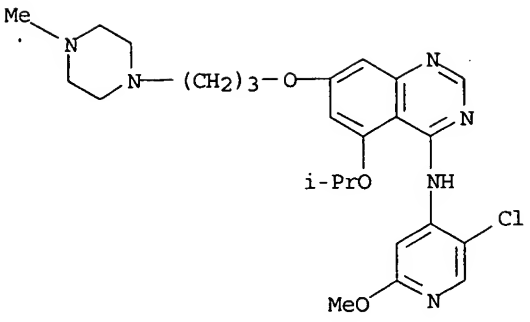
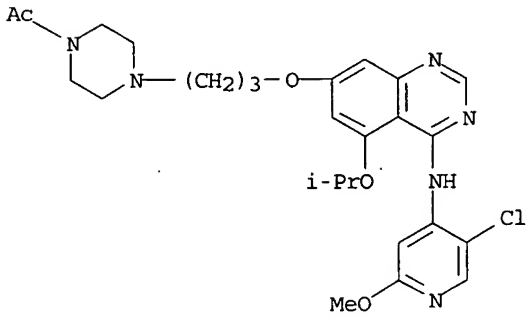
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



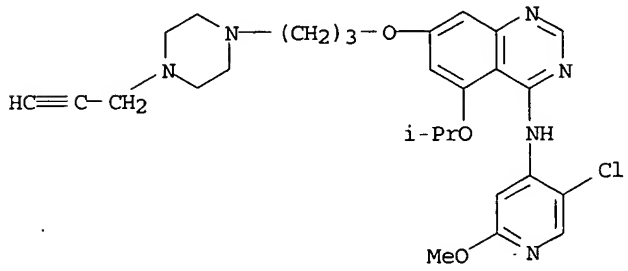
RN 719305-16-5 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



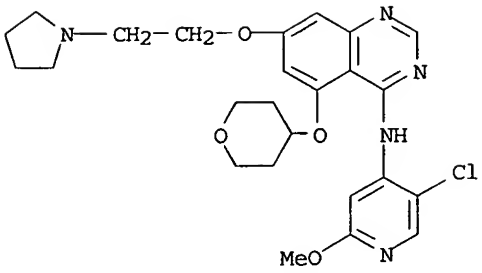
RN 719305-17-6 HCAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(5-chloro-2-methoxy-4-pyridinyl)amino]-5-(1-methylethoxy)-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



RN 719305-18-7 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-5-(1-methylethoxy)-7-[3-[4-(2-propynyl)-1-piperazinyl]propoxy]- (9CI) (CA INDEX NAME)



RN 719305-19-8 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-7-[2-(1-pyrrolidinyl)ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



RN 719305-20-1 HCAPLUS
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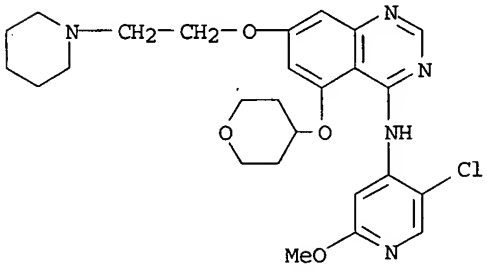
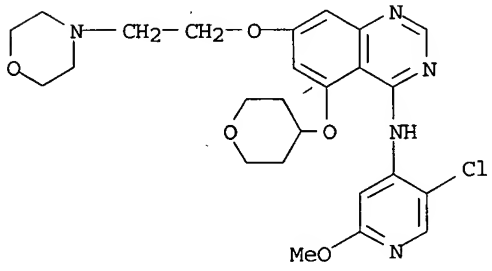
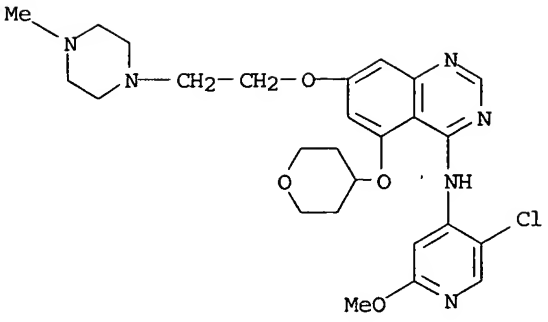


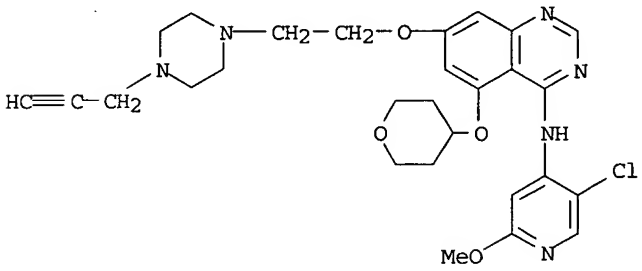
RN 719305-21-2 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-7-[2-(4-morpholinyl)ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



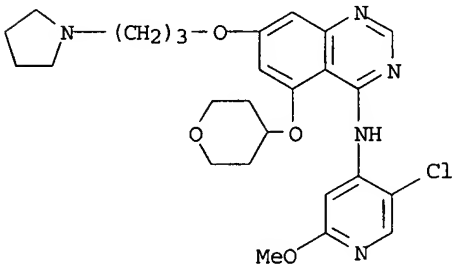
RN 719305-22-3 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-7-[2-(4-methyl-1-piperazinyl)ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



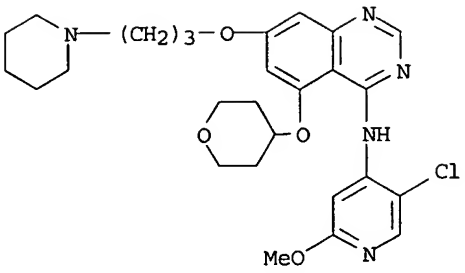
RN 719305-23-4 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-7-[2-[4-(2-propynyl)-1-piperazinyl]ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



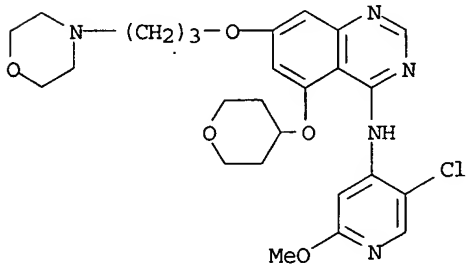
RN 719305-24-5 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-7-[3-(1-pyrrolidinyl)propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



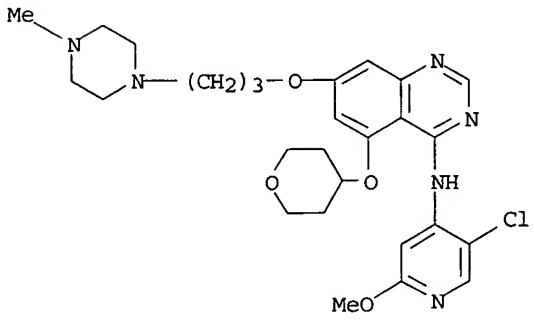
RN 719305-25-6 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-7-[3-(1-piperidinyl)propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



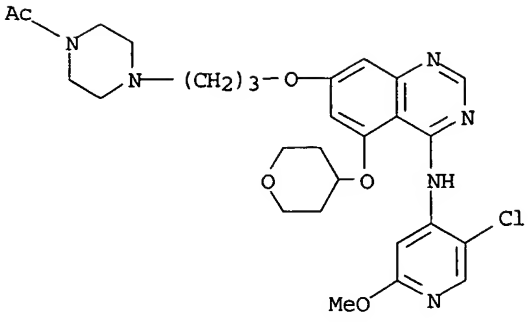
RN 719305-26-7 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-7-[3-(4-morpholinyl)propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



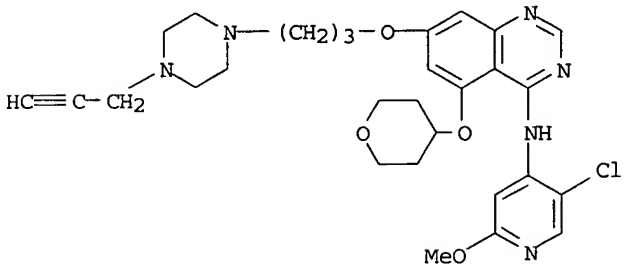
RN 719305-27-8 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-7-[3-(4-methyl-1-piperazinyl)propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



RN 719305-28-9 HCAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(5-chloro-2-methoxy-4-pyridinyl)amino]-5-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



RN 719305-29-0 HCAPLUS
CN 4-Quinazolinamine, N-(5-chloro-2-methoxy-4-pyridinyl)-7-[3-[4-(2-propynyl)-1-piperazinyl]propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)

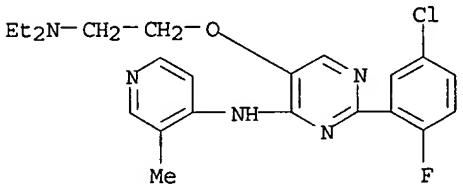


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

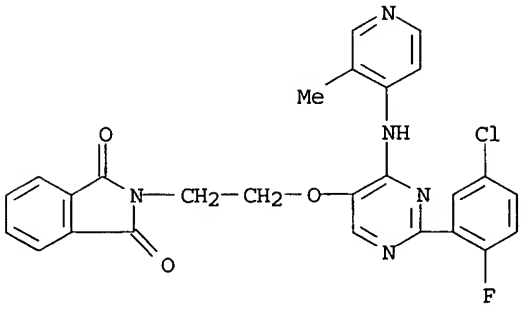
L39 ANSWER 12 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:252350 HCAPLUS
DN 140:264537
TI Pyrimidine and triazine compounds as inhibitors of TGFβ, preparation thereof, and therapeutic use
IN Axon, Jonathan; Chakravarty, Sarvajit; Dugar, Sundeep; McEnroe, Glen; Murphy, Alison
PA Scios Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2004024159	A1	20040325	2003WO-US28590	20030910 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA---2498460	AA	20040325	2003CA-2498460	20030910 <--
AU2003272324	A1	20040430	2003AU-0272324	20030910 <--
US2004132730	A1	20040708	2003US-0660115	20030910 <--

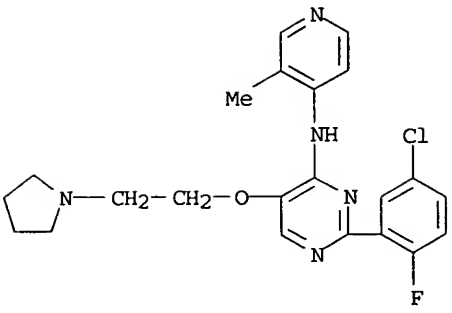
EP---1549316 A1 20050706 2003EP-0754501 20030910 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR2003014196 A 20050726 2003BR-0014196 20030910 <--
CN---1694708 A 20051109 2003CN-0824984 20030910 <--
JP2006503043 T2 20060126 2004JP-0536518 20030910 <--
PRAI 2002US-409870P P 20020910 <--
2003WO-US28590 W 20030910 <--
OS MARPAT 140:264537
AB Substituted pyrimidines and triazines are useful in the treatment to conditions associated with enhanced TGFβ activity. Compound preparation is included.
IT **674794-22-0 674794-23-1 674794-25-3 674794-29-7 674794-61-7**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrimidine and triazine compds. as inhibitors of TGFβ, preparation, and therapeutic use)
RN 674794-22-0 HCAPLUS
CN 4-Pyrimidinamine, 2-(5-chloro-2-fluorophenyl)-5-[2-(diethylamino)ethoxy]-N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



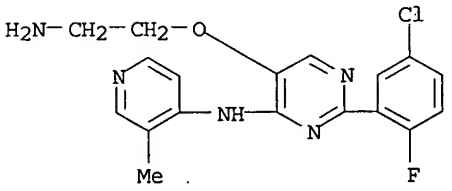
RN 674794-23-1 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[[2-(5-chloro-2-fluorophenyl)-4-[(3-methyl-4-pyridinyl)amino]-5-pyrimidinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



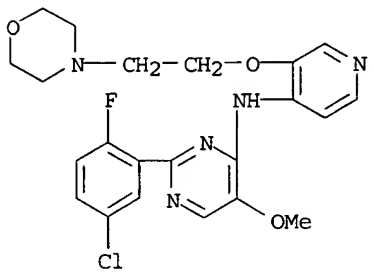
RN 674794-25-3 HCAPLUS
CN 4-Pyrimidinamine, 2-(5-chloro-2-fluorophenyl)-N-(3-methyl-4-pyridinyl)-5-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 674794-29-7 HCAPLUS
CN 4-Pyrimidinamine, 5-(2-aminoethoxy)-2-(5-chloro-2-fluorophenyl)-N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 674794-61-7 HCAPLUS
CN 4-Pyrimidinamine, 2-(5-chloro-2-fluorophenyl)-5-methoxy-N-[3-[2-(4-morpholinyl)ethoxy]-4-pyridinyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 13 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:20494 HCAPLUS
DN 140:77140
TI Preparation of thiazolyl aryl ureas as activators of glucokinase
IN Polisetti, Dharma Rao; Kodra, Janos Tibor; Lau, Jesper; Bloch, Paw; Valcarce-Lopez, Maria Carmen; Blume, Niels; Guzel, Mustafa; Santhosh, Kalpathy Chidambareswaran; Mjalli, Adnan M. M.; Andrews, Robert Carl; Subramanian, Govindan; Ankersen, Michael; Vedso, Per; Murray, Anthony; Jeppesen, Lone
PA Novo Nordisk A/S, Den.; Valcarce-Lopez,mariacarmen; et al.
SO PCT Int. Appl., 600 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 9

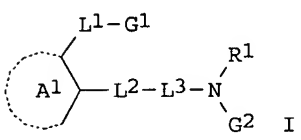
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2004002481	A1	20040108	2003WO-DK00449	20030627 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA---2488642	AA	20040108	2003CA-2488642	20030627	<--
AU2003243921	A1	20040119	2003AU-0243921	20030627	<--
BR2003012023	A	20050322	2003BR-0012023	20030627	<--
EP---1531815	A1	20050525	2003EP-0761446	20030627	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN---1678311	A	20051005	2003CN-0820170	20030627	<--
JP2005537333	T2	20051208	2004JP-0548878	20030627	<--
US2004122235	A1	20040624	2003US-0679887	20031006	<--
NO2005000426	A	20050329	2005NO-0000426	20050126	<--
PRAI 2002DK-0000999	A	20020627	<--		
2002US-394144P	P	20020703	<--		
2003DK-0000286	A	20030225	<--		
2003US-452228P	P	20030305	<--		
2003WO-DK00449	W	20030627	<--		

OS MARPAT 140:77140

GI



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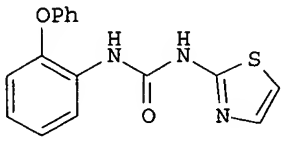


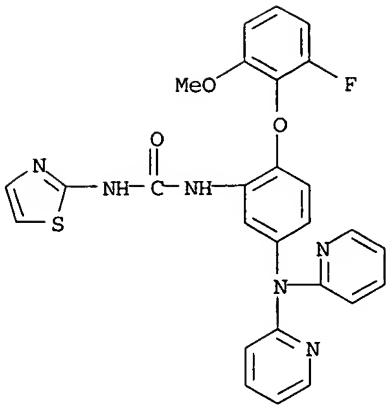
II

AB The title compds. [I; A1 = arylene, heteroarylene, fused cycloalkylarylene, etc.; L1 = a bond, O, S, SO, etc.; G1 = alkyl, cycloalkyl, cycloalkylalkylene, etc.; L2 = a bond, alkylene, alkenylene, etc.; L3 = CO, COCO, COCH2CO, SO2; R1 = alkyl, alkenyl, alkynyl, etc.; G2 = heteroaryl, fused heterocyclylheteroaryl, cycloalkylheteroaryl, etc.] which are activators of glucokinase and may be useful for the management, treatment, control, or adjunct treatment of diseases, where increasing glucokinase activity is beneficial (no data), were prepared and formulated. Thus, reacting 2-phenoxyaniline with 2-aminothiazole and 1,1'-carbonyldiimidazole afforded 95% the urea II.

IT **640765-59-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolyl aryl ureas as glucokinase activators)

RN 640765-59-9 HCAPLUS

CN Urea, N-[5-(di-2-pyridinylamino)-2-(2-fluoro-6-methoxyphenoxy)phenyl]-N'-2-thiazolyl- (9CI) (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 14 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:855655 HCAPLUS
DN 139:350636
TI Preparation of amino heteroaryl amides for use in pharmaceutical compositions for the treatment of angiogenesis mediated diseases such as cancer
IN Patel, Vinod F.; Askew, Benny; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Germain, Julie; Habgood, Gregory J.; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Riahi, Babak; Yuan, Chester Chenguang; Elbaum, Daniel
PA Amgen Inc., USA
SO U.S. Pat. Appl. Publ., 148 pp., Cont.-in-part of U.S. Ser. No. 46,622.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US2003203922	A1	20031030	2002US-0197918	20020717 <--
	US2003195230	A1	20031016	2002US-0046622	20020110 <--
	CN---1538836	A	20041020	2002CN-0806467	20020111 <--
	ZA2003005198	A	20040630	2003ZA-0005198	20030704 <--
	CA---2492045	AA	20040122	2003CA-2492045	20030715 <--
	WO2004007481	A2	20040122	2003WO-US22275	20030715 <--
	WO2004007481	A3	20040219		
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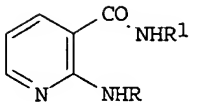
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU2003263784	A1	20040202	2003AU-0263784	20030715 <--
	EP---1562933	A2	20050817	2003EP-0764755	20030715 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP2006502118	T2	20060119	2004JP-0521922	20030715 <--
PRAI	2001US-261882P	P	20010112 <--		
	2001US-323808P	P	20010919 <--		
	2002US-0046622	A2	20020110 <--		
	2002US-0197918	A	20020717 <--		

2003WO-US22275 W 20030715 <--
OS MARPAT 139:350636
GI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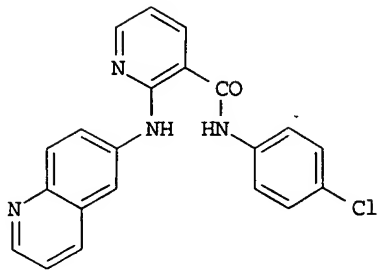
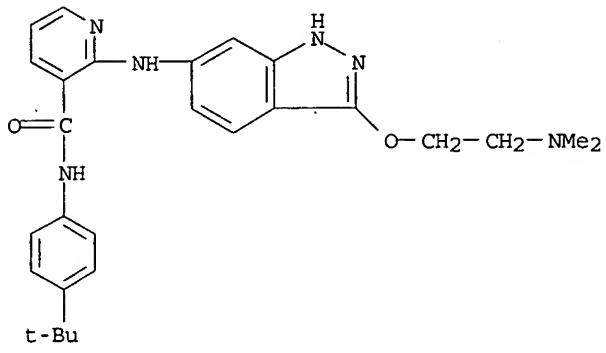

II

AB Amino substituted heteroaryl amides, such as I [R = nitrogen containing heteroaryl, such as quinolinyl, isoquinolinyl, indazolyl; R1 = aryl, cycloalkyl, heteroaryl, heterocyclyl], were prepared for therapeutic use. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of cancer, angiogenesis related disorders, KDR-related disorders, cell proliferation related disorders, inflammation, reducing blood flow in tumors, reducing tumor size and diabetic retinopathy. Thus, amide II was prepared via an amination reaction of 2-chloronicotinic acid with 6-aminoquinoline followed by an amidation reaction of the aminonicotinic acid derivative thus formed with 4-chloroaniline. Biol. evaluations included HUVEC proliferation assay, inhibition of angiogenesis in the rat corneal neovascularization micropocket model, and antitumor activity using A431 rat tumor cells.

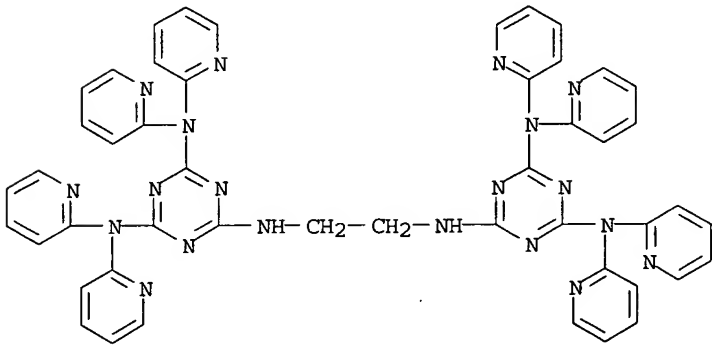
IT **454481-07-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyridinecarboxamides for therapeutic use in treatment of angiogenesis mediated diseases such as cancer)

RN 454481-07-3 HCAPLUS
CN 3-Pyridinecarboxamide, 2-[[3-[2-(dimethylamino)ethoxy]-1H-indazol-6-yl]amino]-N-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 15 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:544805 HCAPLUS
DN 139:373643
TI Coordination compounds from 1,3,5-triazine-derived multi-directional ligands: application in oxidation catalysis

AU Gamez, Patrick; de Hoog, Paul; Lutz, Martin; Spek, Anthony L.; Reedijk, Jan
CS Gorlaeus Laboratories, Leiden Institute of Chemistry, Leiden University, Leiden, 2300 RA, Neth.
SO Inorganica Chimica Acta (**2003**), 351, 319-325
CODEN: ICHAA3; ISSN: 0020-1693
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 139:373643
AB 1,3,5-Triazine- and 2,2'-dipyridylamine-based ligands were prepared and the crystal structure from one of them, N,N',N'',N'''-tetrakis(2,4-bis(di-2-pyridylamino)-1,3,5-triazin-6-yl)-1,4,8,11-tetraazacyclotetradecane (2e), was solved. The coordination of these dendritic multi-directional ligands with various metal salts led to attractive supramol. architectures. In particular, an unprecedented 1-dimensional ladder coordination polymer resulted from the reaction of copper(II) nitrate and tris(di-2-pyridylamino)-1,3,5-triazine (2b). Reaction of nickel(II) perchlorate with 2b gave a new dinuclear nickel complex, [Ni2(2b)2(H2O)2(MeOH)2](ClO4)4(MeOH)6, for which the crystal structure is discussed. Finally, several polynucleating ligands were used with copper(II) nitrate to catalyze the oxidation of 3,5-di-tert-butylcatechol. The best catalytic system was obtained when the 1st-generation dendrimer 2e was employed as a ligand for Cu(II), showing that the stability of the catalyst could be improved by incorporating 2,2'-dipyridylamine into a dendritic material.
IT **498568-60-8**
RL: CAT (Catalyst use); USES (Uses)
(catecholase activity of triazine- and dipyridylamine-based ligands and copper for oxidation of di-tert-butylcatechol)
RN 498568-60-8 HCAPLUS
CN 1,3,5-Triazine-2,4,6-triamine, N,N'''-1,2-ethanediylbis[N',N',N'',N''-tetra-2-pyridinyl- (9CI) (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

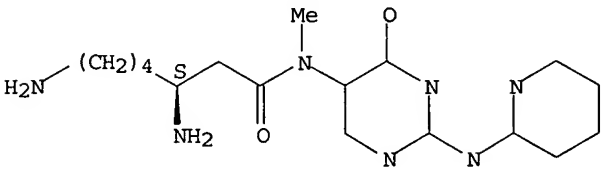
L39 ANSWER 16 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:535048 HCAPLUS
DN 139:304399
TI Pyrimidinone antibiotics - heterocyclic analogues with improved antibacterial spectrum
AU Brands, Michael; Cancho Grande, Yolanda; Endermann, Rainer; Gahlmann, Reinhold; Kruger, Jochen; Raddatz, Siegfried
CS Business Group Pharma, Research, BAYER AG, Wuppertal, D-42096, Germany
SO Bioorganic & Medicinal Chemistry Letters (**2003**), 13(16), 2641-2645
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal

LA English
AB We report the synthesis and pharmacol. evaluation of new derivs. of the natural dipeptide antibiotic TAN 1057 A,B containing heterocycles either in the β-amino acid side chain or as mimics of the urea function. In the course of this program, we identified novel analogs that display activity towards a broader panel of Gram-pos. bacteria.
IT **437754-68-2 610796-46-8 610796-74-2**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(heterocyclic pyrimidinone antibiotic analogs with improved antibacterial spectrum)
RN 437754-68-2 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, (3S)-, diacetate (9CI) (CA INDEX NAME)

CM 1

CRN 437754-67-1
CMF C17 H27 N7 O2

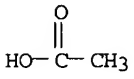
Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 64-19-7
CMF C2 H4 O2

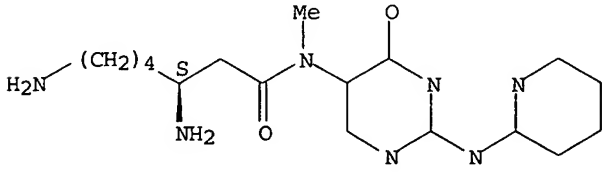


RN 610796-46-8 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, (3S)-, triacetate (9CI) (CA INDEX NAME)

CM 1

CRN 437754-67-1
CMF C17 H27 N7 O2

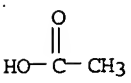
Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 64-19-7
CMF C2 H4 O2

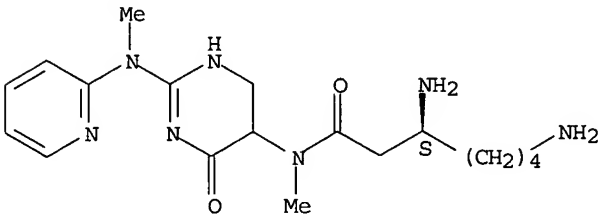


RN 610796-74-2 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-2-(methyl-2-pyridinylamino)-4-oxo-5-pyrimidinyl]-, (3S)-, diacetate (9CI) (CA INDEX NAME)

CM 1

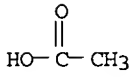
CRN 610796-73-1
CMF C18 H29 N7 O2

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 17 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:491188 HCAPLUS
DN 139:69057
TI Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders
IN Ebdrup, Soren; Hansen, Holger Claus; Vedso, Per; Cornelis De Jong, Johannes; Jacobsen, Poul
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 390 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2003051842	A2	20030626	2002WO-DK00853	20021213 <--
	WO2003051842	A3	20040603		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	AU2002351732	A1	20030630	2002AU-0351732	20021213 <--
	US2003166690	A1	20030904	2002US-0319212	20021213 <--
	US---7067517	B2	20060627		
	US2003166644	A1	20030904	2002US-0319885	20021213 <--
	EP---1458375	A2	20040922	2002EP-0787449	20021213 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
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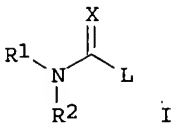
	JP2005518377	T2	20050623	2003JP-0552729	20021213 <--
	ZA2004004324	A	20050721	2004ZA-0004324	20040602 <--
PRAI	2001DK-0001879	A	20011214 <--		
	2002DK-0000645	A	20020430 <--		
	2002DK-0001000	A	20020627 <--		
	2002DK-0001562	A	20021011 <--		
	2002US-346909P	P	20020103 <--		
	2002US-384253P	P	20020510 <--		
	2002US-393068P	P	20020628 <--		
	2002US-418481P	P	20021015 <--		
	2002WO-DK00853	W	20021213 <--		

OS MARPAT 139:69057
GI



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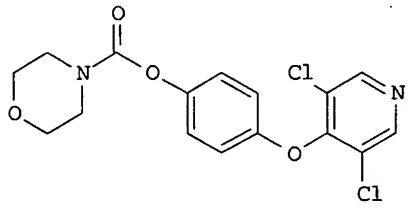


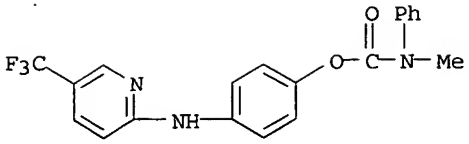
II

AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT **548763-95-7P**, N-Methyl-N-phenylcarbamic acid 4-(5-trifluoromethylpyridin-2-ylamino)phenyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 548763-95-7 HCAPLUS

CN Carbamic acid, methylphenyl-, 4-[[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl ester (9CI). (CA INDEX NAME)



L39 ANSWER 18 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:491187 HCAPLUS
DN 139:69056
TI Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders
IN Ebdrup, Soren; Cornelis De Jong, Johannes; Jacobsen, Poul; Hansen, Holger Claus; Vedso, Per
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 519 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2003051841	A2	20030626	2002WO-DK00852	20021213 <--
	WO2003051841	A3	20040624		
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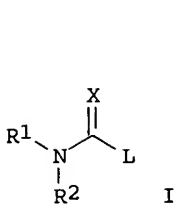
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA---2468413	AA	20030626	2002CA-2468413	20021213 <--
	AU2002351731	A1	20030630	2002AU-0351731	20021213 <--
	US2003166690	A1	20030904	2002US-0319212	20021213 <--
	US---7067517	B2	20060627		
	US2003166644	A1	20030904	2002US-0319885	20021213 <--
	EP---1458374	A2	20040922	2002EP-0787448	20021213 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	CN---1602191	A	20050330	2002CN-0828075	20021213 <--
	BR2002014967	A	20050510	2002BR-0014967	20021213 <--
	JP2005518376	T2	20050623	2003JP-0552728	20021213 <--
	ZA2004004324	A	20050721	2004ZA-0004324	20040602 <--
	NO2004002962	A	20040908	2004NO-0002962	20040713 <--
PRAI	2001DK-0001879	A	20011214 <--		
	2002DK-0000645	A	20020430 <--		
	2002DK-0001000	A	20020627 <--		
	2002DK-0001562	A	20021011 <--		
	2002US-346909P	P	20020103 <--		
	2002US-384253P	P	20020510 <--		
	2002US-393068P	P	20020628 <--		
	2002US-418481P	P	20021015 <--		
	2002WO-DK00852	W	20021213 <--		

OS MARPAT 139:69056
GI



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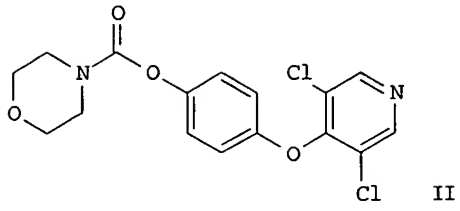


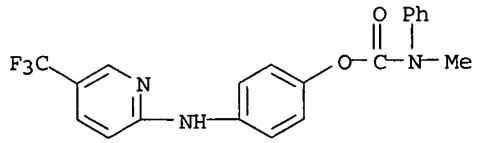
II

AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT **548763-95-7P 549511-30-0P**, Piperidine-1-carboxylic acid 4-[(5-trifluoromethylpyridin-2-yl)amino]phenyl ester **549512-68-7P**, Morpholine-4-carboxylic acid 4-[(5-trifluoromethylpyridin-2-yl)amino]phenyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

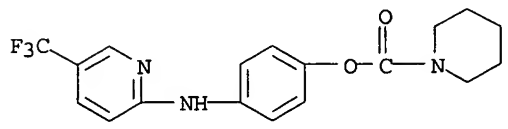
RN 548763-95-7 HCAPLUS

CN Carbamic acid, methylphenyl-, 4-[[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl ester (9CI) (CA INDEX NAME)



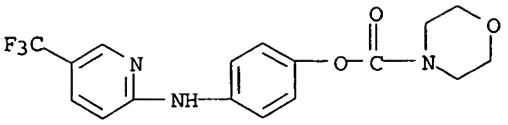
RN 549511-30-0 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl ester (9CI) (CA INDEX NAME)



RN 549512-68-7 HCAPLUS

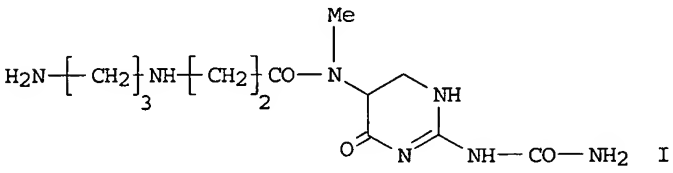
CN 4-Morpholinecarboxylic acid, 4-[[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl ester (9CI) (CA INDEX NAME)



L39 ANSWER 19 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:56007 HCAPLUS
DN 138:90075
TI Synthesis of β-alanine derivatives for use as anti-bacterial medicaments in humans and animals
IN Kruger, Joachim; Brands, Michael; Endermann, Rainer; Gahlmann, Reinhold; Geschke, Frank-Ulrich; Kroll, Hein-Peter; Raddatz, Siegfried; Stoltefuss, Jurgen
PA Bayer AG, Germany
SO Ger. Offen., naples fl24 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE--10133277	A1	20030123	2001DE-1033277	20010709 <--
PRAI 2001DE-1033277		20010709 <--		

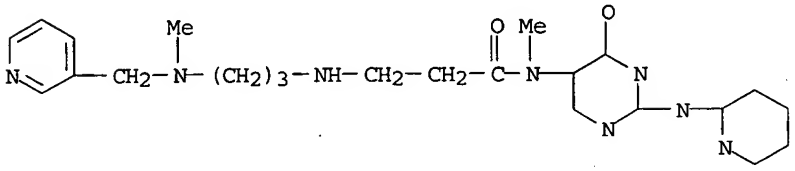
OS MARPAT 138:90075
GI



AB Synthesis of title compds. (e.g., I), including enantiomers and diastereomers, using solid-phase peptide synthesis techniques, is given. Thus, 3-amino-1-propanol was protected as the diphenyl-tert-butylsilyloxy derivative, coupled with benzyl acrylate, and the resulting β-alanine derivative affixed to Rapp-chlorotritylpolystyrene resin. The resin-bound β-alanine was then O-deprotected and reprotected as the tosyloxy derivative, which was aminated using N-methyl-N-(3-pyridylmethyl)amine. This intermediate was then coupled with 5-(methylamino)-2-(2-pyridinylamino)-5,6-dihydro-4(1H)-pyrimidinone, and the product cleaved from the resin support to give the desired product as the bis-TFA salt. In a similar fashion, I was prepared In in vitro tests against S. aureus, I had a min. blood concentration of 6.3 μg/mL.

IT **436098-06-5P 436098-07-6P 436098-08-7P**
436098-09-8P 436098-13-4P 436098-14-5P
436098-15-6P 436098-16-7P 436098-17-8P
436098-18-9P 436098-19-0P 436098-20-3P
436098-21-4P 436098-22-5P 436098-23-6P
436098-24-7P 436098-25-8P 436098-26-9P
436098-27-0P 436098-28-1P 436098-29-2P
436098-30-5P 436098-31-6P 436098-32-7P
436098-36-1P 436098-37-2P 436098-38-3P
436098-39-4P 436098-40-7P 436098-41-8P
436098-42-9P 436098-43-0P 436098-44-1P
436098-45-2P 436098-46-3P 436098-47-4P
436098-48-5P 436098-49-6P 436098-64-5P

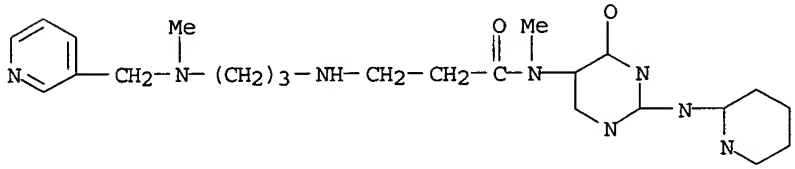
485384-72-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-alanine derivs. for use in treating bacterial infections in human or veterinary medicine)
RN 436098-06-5 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[methyl(3-pyridinylmethyl)amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-07-6 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[methyl(3-pyridinylmethyl)amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

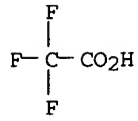
CRN 436098-06-5
CMF C23 H32 N8 O2



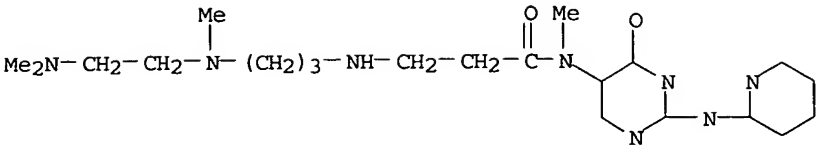
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



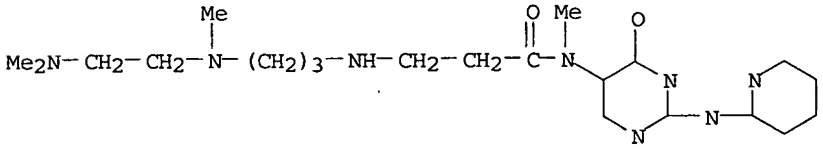
RN 436098-08-7 HCAPLUS
CN Propanamide, 3-[[3-[[2-(dimethylamino)ethyl]methylamino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-09-8 HCAPLUS
CN Propanamide, 3-[[3-[[2-(dimethylamino)ethyl]methylamino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

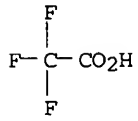
CRN 436098-08-7
CMF C21 H36 N8 O2



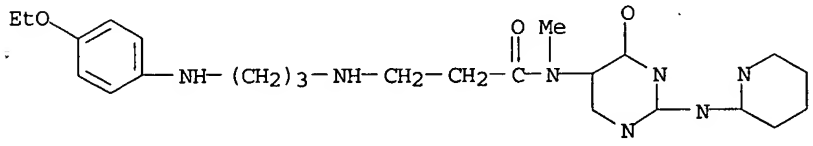
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



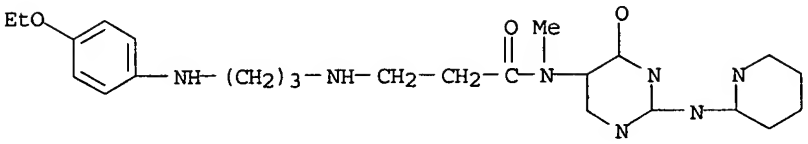
RN 436098-13-4 HCAPLUS
CN Propanamide, 3-[[3-[(4-ethoxyphenyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-14-5 HCAPLUS
CN Propanamide, 3-[[3-[(4-ethoxyphenyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

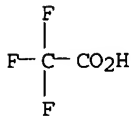
CRN 436098-13-4
CMF C24 H33 N7 O3



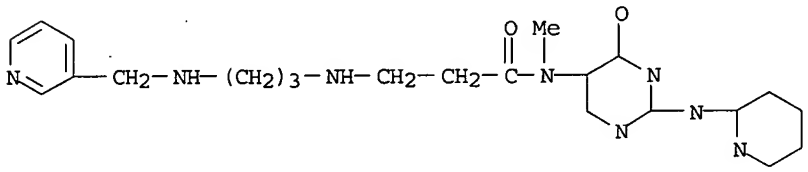
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



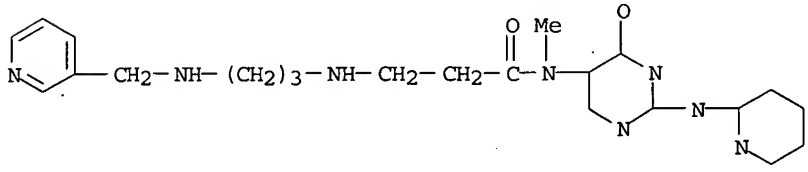
RN 436098-15-6 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[(3-pyridinylmethyl)amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-16-7 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[(3-pyridinylmethyl)amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

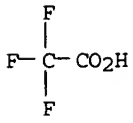
CRN 436098-15-6
CMF C22 H30 N8 O2



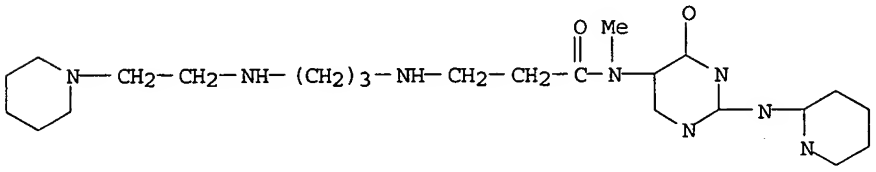
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



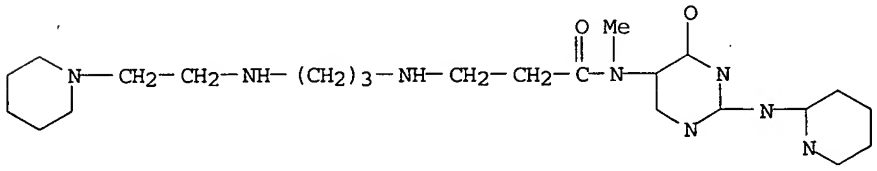
RN 436098-17-8 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[[2-(1-piperidinyl)ethyl]amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-18-9 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[[2-(1-piperidinyl)ethyl]amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

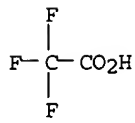
CRN 436098-17-8
CMF C23 H38 N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

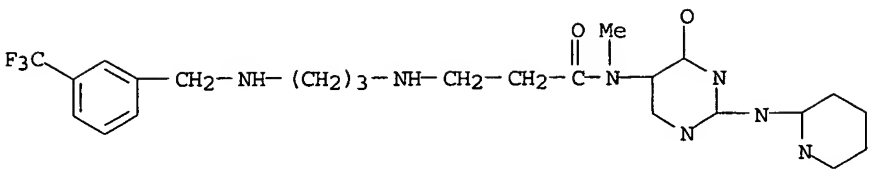
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 436098-19-0 HCAPLUS
CN Propanamide, N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-3-[[3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]amino]-

(9CI) (CA INDEX NAME)



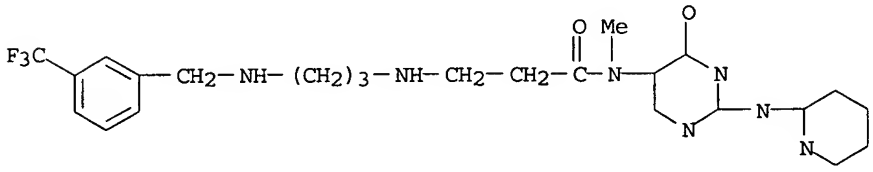
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 436098-20-3 HCAPLUS

CN Propanamide, N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-3-[[3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

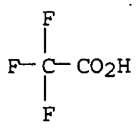
CRN 436098-19-0
CMF C24 H30 F3 N7 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

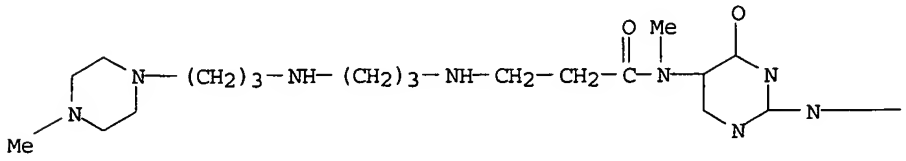
CRN 76-05-1
CMF C2 H F3 O2



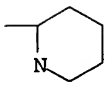
RN 436098-21-4 HCAPLUS

CN Propanamide, N-methyl-3-[[3-[[3-(4-methyl-1-piperazinyl)propyl]amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

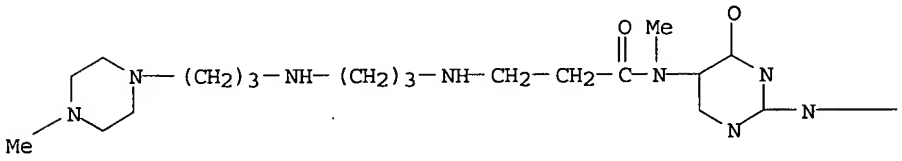
RN 436098-22-5 HCAPLUS

CN Propanamide, N-methyl-3-[[3-[[3-(4-methyl-1-piperazinyl)propyl]amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

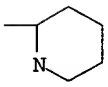
CM 1

CRN 436098-21-4
CMF C24 H41 N9 O2

PAGE 1-A



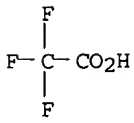
PAGE 1-B



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

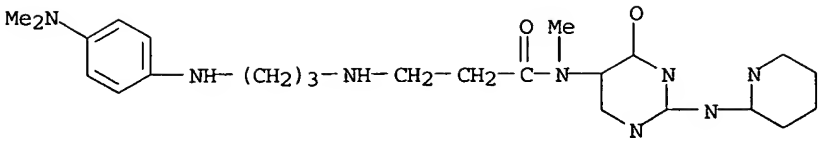
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 436098-23-6 HCAPLUS

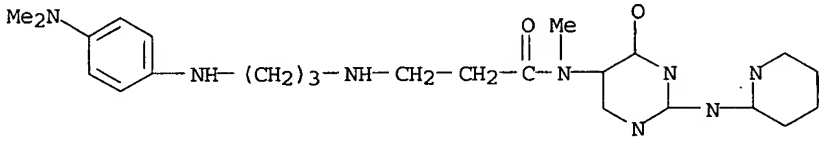
CN Propanamide, 3-[[3-[[4-(dimethylamino)phenyl]amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-24-7 HCAPLUS
CN Propanamide, 3-[[3-[[4-(dimethylamino)phenyl]amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

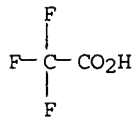
CRN 436098-23-6
CMF C24 H34 N8 O2



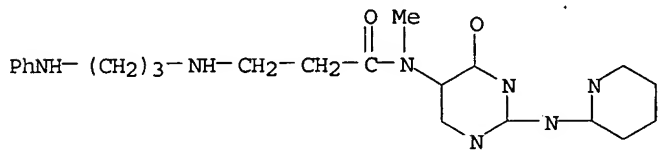
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



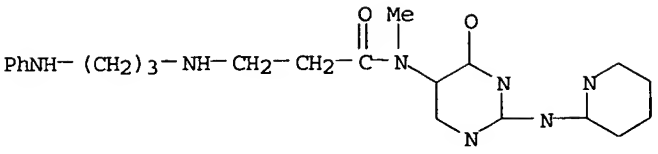
RN 436098-25-8 HCAPLUS
CN Propanamide, N-methyl-3-[[3-(phenylamino)propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-26-9 HCAPLUS
CN Propanamide, N-methyl-3-[[3-(phenylamino)propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

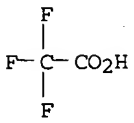
CRN 436098-25-8
CMF C22 H29 N7 O2



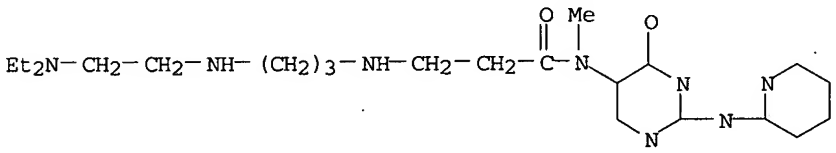
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



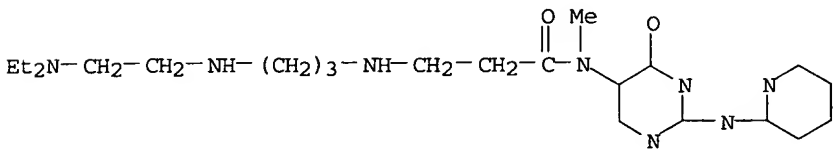
RN 436098-27-0 HCAPLUS
CN Propanamide, 3-[[3-[[2-(diethylamino)ethyl]amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-28-1 HCAPLUS
CN Propanamide, 3-[[3-[[2-(diethylamino)ethyl]amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 436098-27-0
CMF C22 H38 N8 O2

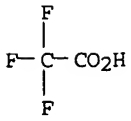


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

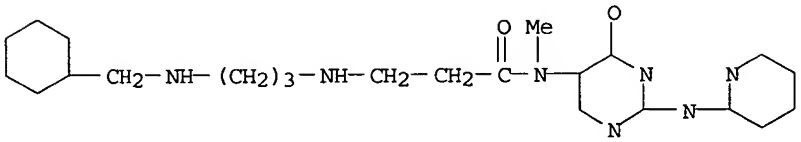
CM 2

CRN 76-05-1

CMF C2 H F3 O2



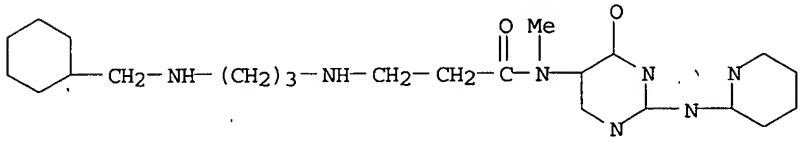
RN 436098-29-2 HCAPLUS
CN Propanamide, 3-[[3-[(cyclohexylmethyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-30-5 HCAPLUS
CN Propanamide, 3-[[3-[(cyclohexylmethyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

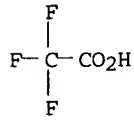
CRN 436098-29-2
CMF C23 H37 N7 O2



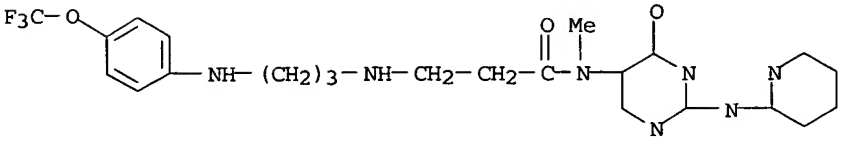
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



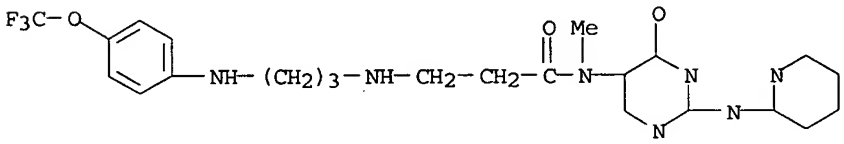
RN 436098-31-6 HCAPLUS
CN Propanamide, N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-3-[[3-[[4-(trifluoromethoxy)phenyl]amino]propyl]amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-32-7 HCAPLUS
CN Propanamide, N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-3-[[3-[[4-(trifluoromethoxy)phenyl]amino]propyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

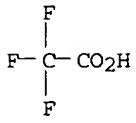
CRN 436098-31-6
CMF C23 H28 F3 N7 O3



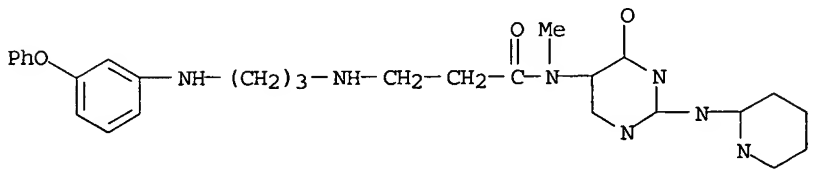
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



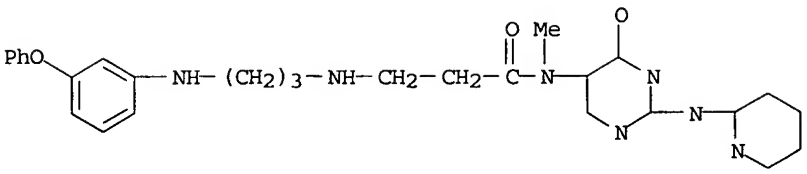
RN 436098-36-1 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[(3-phenoxyphenyl)amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-37-2 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[(3-phenoxyphenyl)amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

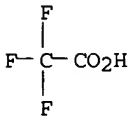
CRN 436098-36-1
CMF C28 H33 N7 O3



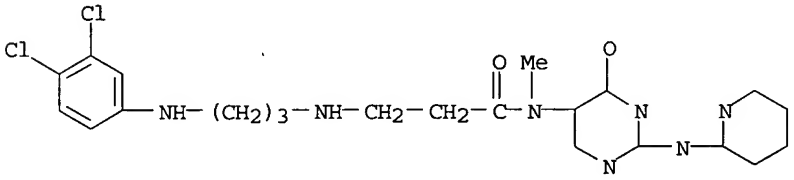
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



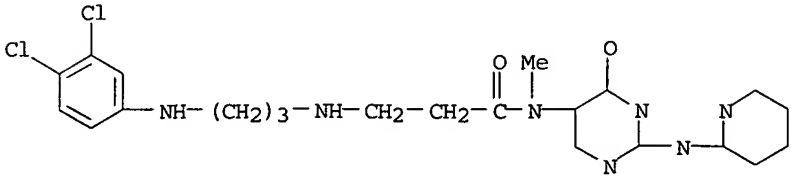
RN 436098-38-3 HCAPLUS
CN Propanamide, 3-[[3-[(3,4-dichlorophenyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-39-4 HCAPLUS
CN Propanamide, 3-[[3-[(3,4-dichlorophenyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

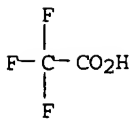
CRN 436098-38-3
CMF C22 H27 Cl2 N7 O2



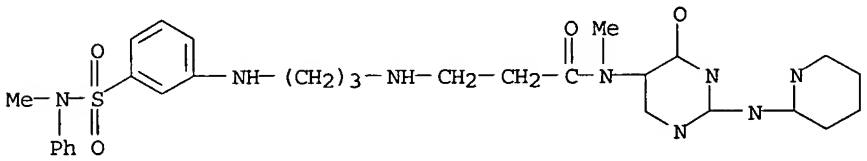
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



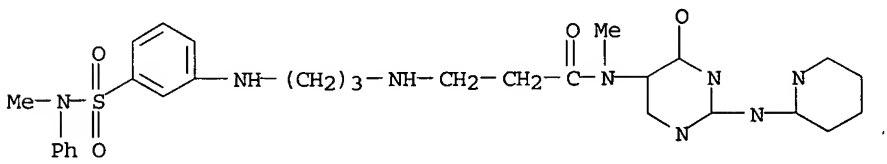
RN 436098-40-7 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[[3-[(methylphenylamino)sulfonyl]phenyl]amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-41-8 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[[3-[(methylphenylamino)sulfonyl]phenyl]amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

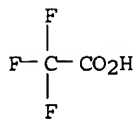
CRN 436098-40-7
CMF C29 H36 N8 O4 S



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

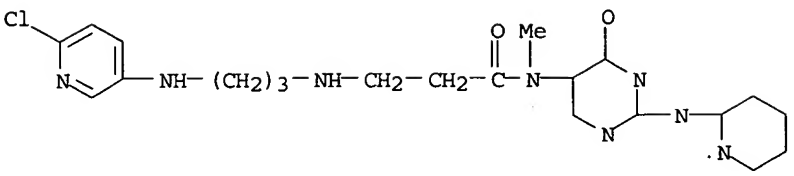
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 436098-42-9 HCAPLUS
CN Propanamide, 3-[[3-[(6-chloro-3-pyridinyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA

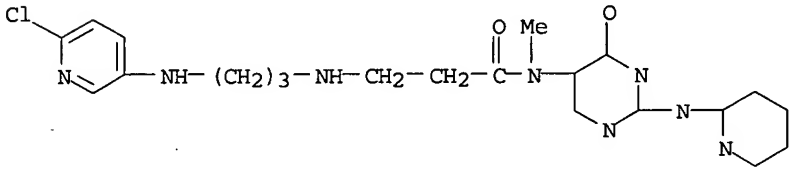
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-43-0 HCAPLUS
CN Propanamide, 3-[[3-[(6-chloro-3-pyridinyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

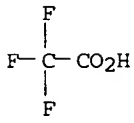
CRN 436098-42-9
CMF C21 H27 Cl N8 O2



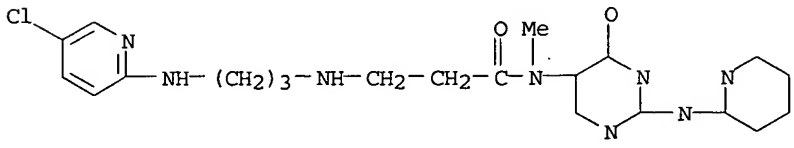
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 436098-44-1 HCAPLUS
CN Propanamide, 3-[[3-[(5-chloro-2-pyridinyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

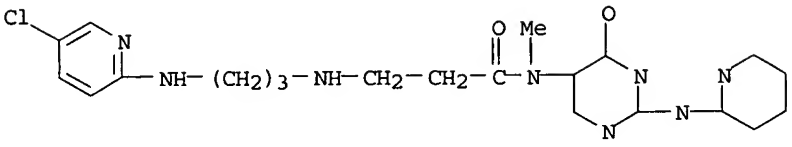


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-45-2 HCAPLUS
CN Propanamide, 3-[[3-[(5-chloro-2-pyridinyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-,

bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

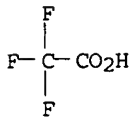
CRN 436098-44-1
CMF C21 H27 Cl N8 O2



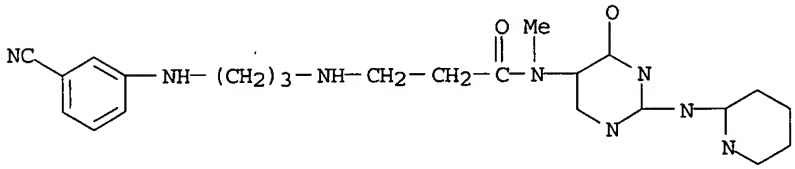
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



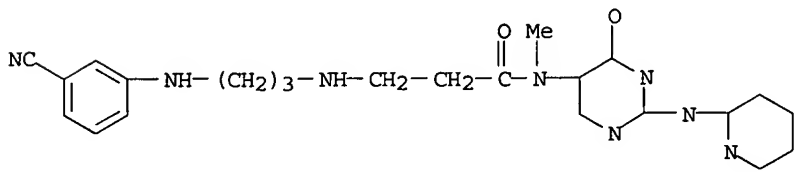
RN 436098-46-3 HCAPLUS
CN Propanamide, 3-[[3-[(3-cyanophenyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-47-4 HCAPLUS
CN Propanamide, 3-[[3-[(3-cyanophenyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

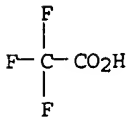
CRN 436098-46-3
CMF C23 H28 N8 O2



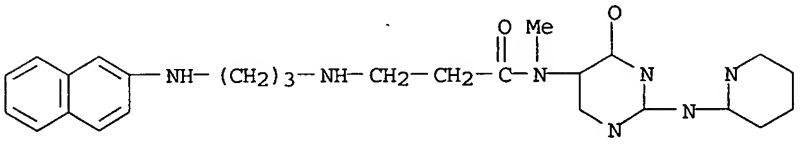
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



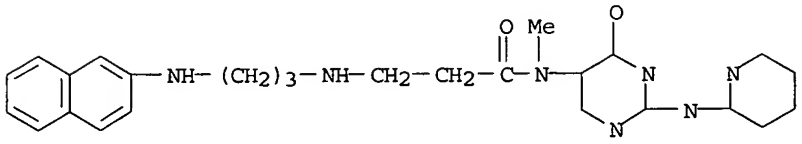
RN 436098-48-5 HCAPLUS
CN Propanamide, N-methyl-3-[[3-(2-naphthalenylamino)propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 436098-49-6 HCAPLUS
CN Propanamide, N-methyl-3-[[3-(2-naphthalenylamino)propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

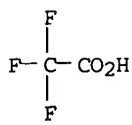
CRN 436098-48-5
CMF C26 H31 N7 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

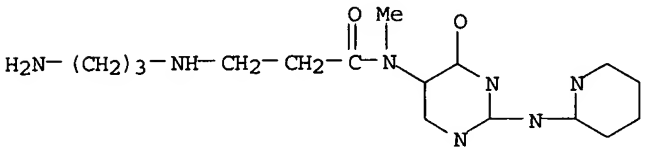
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 436098-64-5 HCAPLUS

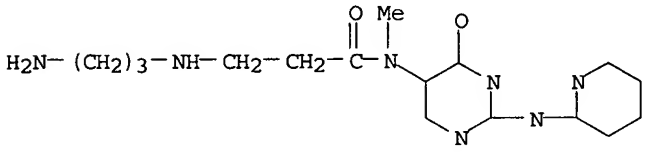
CN Propanamide, 3-[(3-aminopropyl)amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 485384-72-3 HCAPLUS

CN Propanamide, 3-[(3-aminopropyl)amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L39 ANSWER 20 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:22341 HCAPLUS

DN 139:16521

TI Novel zigzag 1D coordination polymer from copper(II) chloride and N,N'-bis{2,4-di[(di-pyridin-2-yl)amine]-1,3,5-triazine}ethylenediamine exhibiting ferromagnetic interactions

AU de Hoog, Paul; Gamez, Patrick; Roubeau, Olivier; Lutz, Martin; Driessen, Willem L.; Spek, Anthony L.; Reedijk, Jan

CS Leiden Institute of Chemistry, Gorlaeus Laboratories, Leiden University, Leiden, 2300 RA, Neth.

SO New Journal of Chemistry (**2003**), 27(1), 18-21
CODEN: NJCHE5; ISSN: 1144-0546

PB Royal Society of Chemistry

DT Journal

LA English

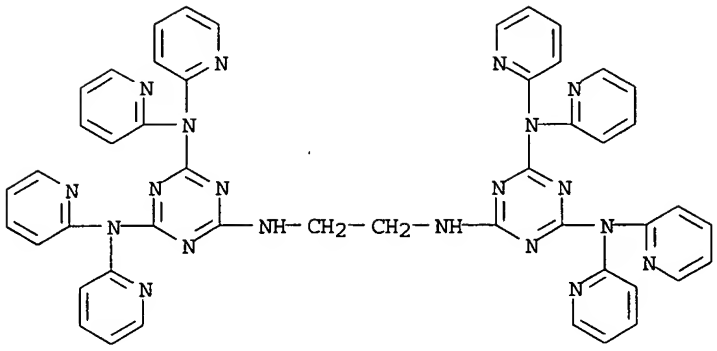
OS CASREACT 139:16521

AB Copper(II) chloride reacts with the polydentate 1,3,5-triazine-containing ligand N,N'-bis[4,6-bis{(dipyridin-2-yl)amino}-1,3,5-triazin-2-yl]ethylenediamine (opytrizediam) in MeOH-THF to form a unique infinite zigzag coordination polymer, [Cu3Cl5(opytrizediam)(MeOH)](Cl)·6.1MeOH·THF, as found by an x-ray crystallog. study, in which trinuclear Cu complexes are bridged by chloride anions, giving rise to five-coordinated ferromagnetically coupled Cu pseudo-dimers.

IT **498568-60-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(complexation with copper(II) chloride)

RN 498568-60-8 HCAPLUS

CN 1,3,5-Triazine-2,4,6-triamine, N,N'''-1,2-ethanediylbis[N',N',N'',N''-tetra-2-pyridinyl- (9CI) (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 21 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:676007 HCAPLUS
DN 137:216945
TI Preparation of substituted 2-(1H-indazol-6-ylamino)nicotinamides for treating KDR-related diseases
IN Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Huang, Qi; Kim, Joseph L.; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang; Kim, Tae-Seong
PA Amgen Inc., USA
SO PCT Int. Appl., 395 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	2002WO-US03064	W	20020111 <--		

OS MARPAT 137:216945
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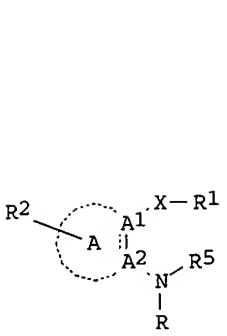
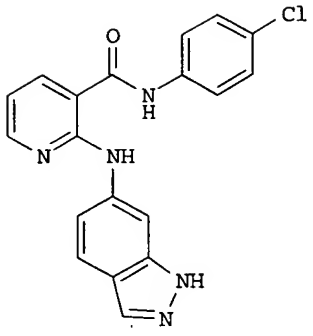


I



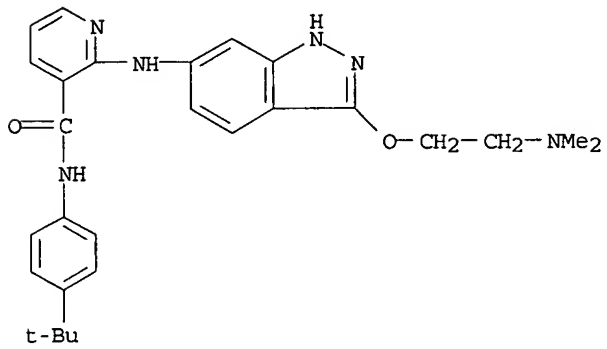
II

AB The title compds. [I; each of A1 and A2 = C, CH, N; A = 5-6 membered partially saturated heterocyclyl, 5-6 membered heteroaryl, 9-11 membered fused partially saturated heterocyclyl, etc.; X = C(:Z)N(R5a)R4; Z = O, S; R = (un)substituted 4-6 membered heterocyclyl, aryl, fused 9-14 membered bicyclic or tricyclic heterocyclyl; R1 = (un)substituted 6-10 membered aryl, 4-6 membered heterocyclyl, cycloalkyl, etc.; R2 = H, halo, cycloalkyl, etc.; R4 = a bond, alkylene, alkenylene, etc.; R5 = H, alkyl, (un)substituted Ph, aralkyl; R5a is not defined] which are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases, were prepared Thus, heating N-(4-chlorophenyl)-2-chloro-3-pyridinecarboxamide with 6-aminoindazole at 150° for 2 h afforded II which inhibited VEGF-stimulated HUVEC proliferation at level below 50 nM. Compds. I showed inhibition of KDR at doses less than 50 μM.

IT **454481-07-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 2-(1H-indazol-6-ylamino)nicotinamides for treating KDR-related diseases)

RN 454481-07-3 HCAPLUS

CN 3-Pyridinecarboxamide, 2-[[3-[2-(dimethylamino)ethoxy]-1H-indazol-6-yl]amino]-N-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 22 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:675054 HCAPLUS

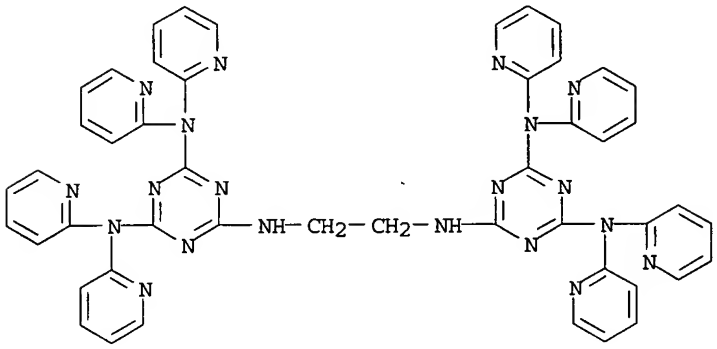
DN 138:187745

TI New polydentate and polynucleating N-donor ligands from amines and 2,4,6-trichloro-1,3,5-triazine

AU de Hoog, Paul; Gamez, Patrick; Driessen, Willem L.; Reedijk, Jan

CS Gorlaeus Laboratories, Leiden Institute of Chemistry, Leiden University, Leiden, 2300 RA, Neth.

SO Tetrahedron Letters (2002), 43(38), 6783-6786
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:187745
AB An efficient synthesis of a novel class of multidentate polynucleating ligands has been developed based on high-yielding chloride substitutions of 2,4,6-trichloro-1,3,5-triazine by primary and secondary amines. The polydentate, polynucleating ligands include a first-generation dendrimer of a N,N'-(2-pyridinyl)-1,3,5-triazine-2,4,6-triamine derivative
IT **498568-60-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N,N'-(2-pyridinyl)-1,3,5-triazine-2,4,6-triamine derivs. as polydentate and polynucleating N-donor ligands)
RN 498568-60-8 HCAPLUS
CN 1,3,5-Triazine-2,4,6-triamine, N,N'''-1,2-ethanediylbis[N',N',N'',N''-tetra-2-pyridinyl- (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

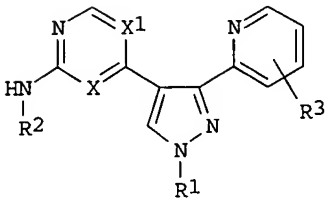
L39 ANSWER 23 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:615603 HCAPLUS
DN 137:169514
TI Preparation of pyrazoles as TGF-β inhibitors
IN Gellibert, Francoise Jeanne
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2002062787	A1	20020815	2002WO-GB00424	20020131 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP---1363904	A1	20031126	2002EP-0710136	20020131 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

	JP2004521901	T2	20040722	2002JP-0563140	20020131 <--
	US2004097502	A1	20040520	2003US-0470862	20030731 <--
PRAI	2001GB-0002670	A	20010202 <--		
	2001GB-0019399	A	20010809 <--		
	2002WO-GB00424	W	20020131 <--		

OS MARPAT 137:169514

GI



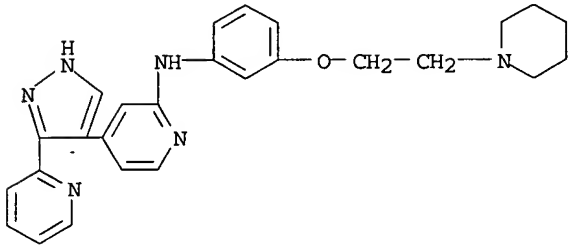
I

AB The title compds. [I; R1 = H, alkyl, CH2CONR4R5 (wherein R4 = H, alkyl; R5 = alkyl); R2 = (un)substituted (CH2)nPh, (CH2)nheterocyclyl, (CH2)nheteroaryl; R3 = H, halo, CN, etc.; n = 0-5; X, X1 = CH, N, provided that X and X1 are not both N], useful in therapy, particularly in the treatment of prophylaxis of disorders characterized by overexpression of transforming growth factor β (TGF-β), were prepared Thus reacting 4-{4-[3-(pyridin-2-yl)-1-trityl-1H-pyrazol-4-yl]-(pyridin-2-yl)amino}phenol (preparation given) with 1-(2-chloroethyl)piperidine.HCl in the presence of Cs2CO3 in Me2CO followed by trityl group removal afforded 49% I [R1, R3 = H; R2 = 4-(2-piperidinoethoxy)phenyl]. All 28 exemplified compds. I showed IC50 of 5 μM or below in TGF-β assay, and IC50 of 1 μM or below against kinase Alk5.

IT **446880-58-6P 446880-59-7P 446880-63-3P**
446880-78-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazoles as TGF-β inhibitors)

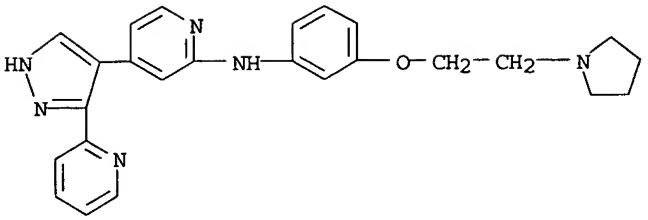
RN 446880-58-6 HCAPLUS

CN 2-Pyridinamine, N-[3-[2-(1-piperidinyl)ethoxy]phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

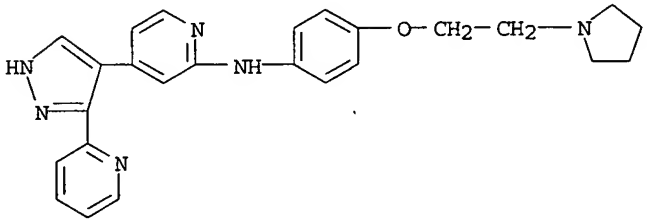


RN 446880-59-7 HCAPLUS

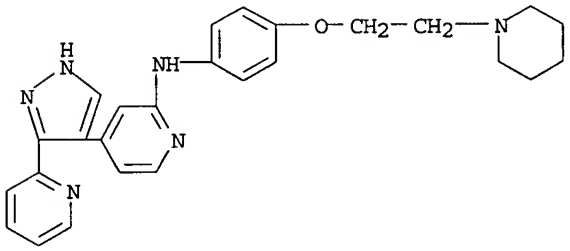
CN 2-Pyridinamine, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 446880-63-3 HCAPLUS
CN 2-Pyridinamine, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-N-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 446880-78-0 HCAPLUS
CN 2-Pyridinamine, N-[4-[2-(1-piperidinyl)ethoxy]phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 24 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:615572 HCAPLUS
DN 137:169409
TI Preparation of aminal diones as potassium channel openers
IN Kort, Michael E.; Carroll, William A.; Perez, Medrano Arturo; Dinges, Jurgen; Gregg, Robert J.; Basha, Fatima Z.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 180 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

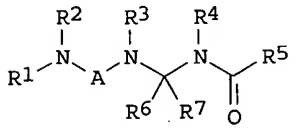
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2002062761	A1	20020815	2002WO-US02949	20020131 <--

W: CA, JP, MX
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

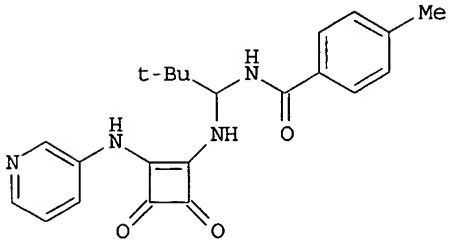
	US2002147230	A1	20021010	2001US-0778684	20010207 <--
	US2002165264	A1	20021107	2002US-0046465	20020114 <--
	US---6495576	B2	20021217		
	CA---2437400	AA	20020815	2002CA-2437400	20020131 <--
	EP---1358160	A1	20031105	2002EP-0704321	20020131 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	JP2004530650	T2	20041007	2002JP-0562717	20020131 <--
PRAI	2001US-267024P	P	20010207	<--	
	2001US-0778684	A	20010207	<--	
	2002US-0046465	A	20020114	<--	
	2002WO-US02949	W	20020131	<--	

OS CASREACT 137:169409; MARPAT 137:169409

GI



I

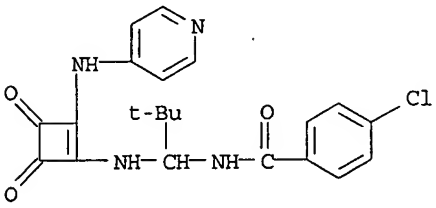


II

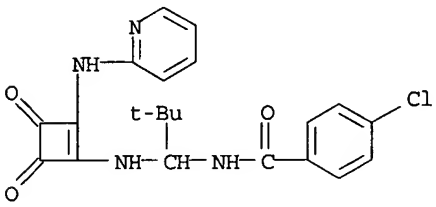
AB Title compds. I [A = cyclobutenedione, COCO, S(O)2, etc.; R1 = aryl, arylalkyl, heterocycle, heterocyclealkyl; R2-4 = H, alkyl; R5 = aryl, arylalkenyl, arylalkyl, aryloxyalkyl, heterocycle and heterocyclealkyl; R6 = H, alkenyl, alkenyloxyalkyl, alkenyloxy(alkenyloxy)alkyl, alkoxyalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkoxycarbonyl(halo)alkyl, alkoxy(halo)alkyl, alkyl, alkylcarbonyl, alkylcarbonylalkyl, etc.; R7 = H, haloalkyl, alkyl; or R6-7 taken together with the carbon atom to which they are attached form 5-6 membered carbocyclic ring.] were prepared Over 100 example compds. were prepared For instance, 3-aminopyridine was added to 3,4-diethoxy-3-cyclobutene-1,2-dione (EtOH, reflux) to afford 3-ethoxy-4-(3-pyridinylamino)-3-cyclobutene-1,2-dione. This was treated with 2.0 M NH3 to form the 3-amino derivative which was reacted with N-[1-(1H-1,2,3-benzotriazol-1-yl)-2,2-dimethylpropyl]-4-methylbenzamide (prepared from p-toluamide, pivaldehyde and benzotriazole) to form II. Results of membrane hyperpolarization assays and functional potassium channel opening activity in isolated bladder strips were presented. Compds. I are useful in the treatment of bladder overactivity, benign prostatic hyperplasia, etc.

IT **446861-47-8P**, 4-Chloro-N-[1-[[3,4-dioxo-2-(4-pyridinylamino)cyclobuten-1-yl]amino]-2,2-dimethylpropyl]benzamide
446861-50-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of aminal diones as potassium channel openers)
RN 446861-47-8 HCAPLUS
CN Benzamide, 4-chloro-N-[1-[[3,4-dioxo-2-(4-pyridinylamino)-1-cyclobuten-1-yl]amino]-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)



RN 446861-50-3 HCAPLUS
CN Benzamide, 4-chloro-N-[1-[[3,4-dioxo-2-(2-pyridinylamino)-1-cyclobuten-1-yl]amino]-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 25 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:575044 HCAPLUS
DN 137:124993
TI Trisubstituted carbocyclic cyclophilin binding compounds and their use
IN Wu, Yong-Qian; Belyakov, Sergei; Hamilton, Gregory; Limburg, David; Steiner, Joseph; Vaal, Mark; Wei, Ling; Wilkinson, Douglas
PA Guilford Pharmaceuticals Inc., USA
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2002059080	A2	20020801	2002WO-US02538	20020125 <--
WO2002059080	A3	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA---2435829	AA	20020801	2002CA-2435829	20020125 <--
US2002165275	A1	20021107	2002US-0057203	20020125 <--
US---6656971	B2	20031202		
EP---1360173	A2	20031112	2002EP-0706049	20020125 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

	JP2004532187	T2	20041021	2002JP-0559382	20020125 <--
	US2004157919	A1	20040812	2003US-0713566	20031114 <--
PRAI	2001US-263703P	P	20010125 <--		
	2001US-291965P	P	20010521 <--		
	2001US-291365P	P	20010517 <--		
	2002US-0057203	A3	20020125 <--		
	2002WO-US02538	W	20020125 <--		

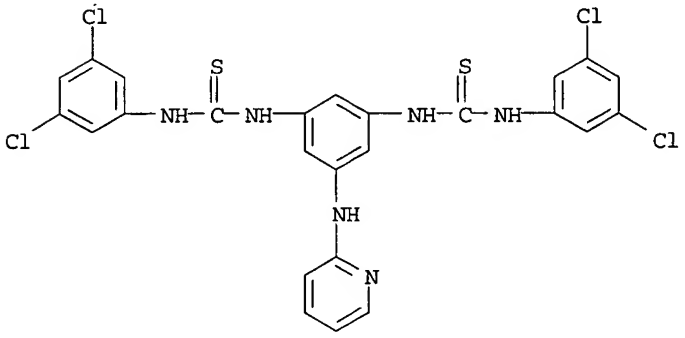
OS MARPAT 137:124993

AB Novel, non-peptidic small organic compds. having an affinity for cyclophilin (CyP)-type immunophilin proteins are reported. These compds. are used for binding CyP-type proteins, inhibiting their peptidyl-prolyl isomerase activity. Thus, 5-HOC6H3(CO2Me)2-1,3 was O-benzylated, hydrolized to the acid and treated with 3,4-Cl2C6H3NH2 to give 5-PhCH2OC6H3(CONHC6H3Cl2-3,4)2-1,3. This compound gave complete protection against cell death in L-threo-3-hydroxyaspartic acid treated spinal cord slices.

IT **444342-90-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(trisubstituted carbocyclic cyclophilin binding compds.)

RN 444342-90-9 HCAPLUS

CN Thiourea, N,N''-[5-(2-pyridinylamino)-1,3-phenylene]bis[N'-(3,5-dichlorophenyl)- (9CI) (CA INDEX NAME)



L39 ANSWER 26 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:462351 HCAPLUS

DN 137:33314

TI Preparation of arylaminodihydropyrimidinones as antibacterials.

IN Raddatz, Siegfried; Brands, Michael; Endermann, Rainer; Gahlmann, Reinhold; Geschke, Frank-Ulrich; Kroll, Hein-Peter; Krueger, Joachim; Stoltefuss, Juergen

PA Bayer AG, Germany

SO Ger. Offen., 32 pp.
CODEN: GWXXBX

DT Patent

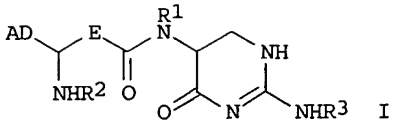
LA German

FAN.CNT 1

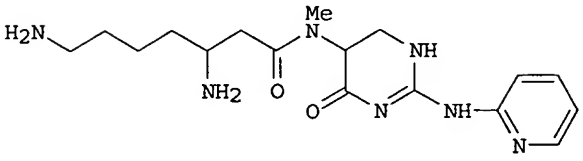
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE--10061541	A1	20020620	2000DE-1061541	20001211 <--
PRAI	2000DE-1061541		20001211 <--		

OS MARPAT 137:33314

GI



I



II

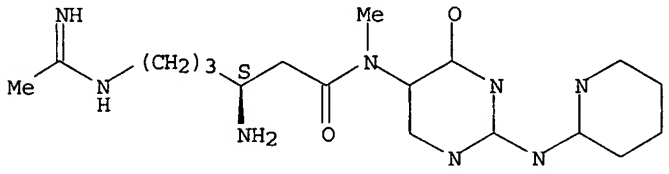
AB Title compds. [I; A = R4R5N, R6R7NC(:Q)NR5, R6C(:NR9)NR5; R4-R7, R9 = H, alkyl, alkanoyl, PhCH2, pyridylmethyl; R8 = H, alkyl; Q = O, S, NR9; D = (substituted) alkylene; E = bond, CH2; R2 = H, alkyl; R3 = (substituted) aryl, (unsatd.) heterocyclyl], were prepared Thus, title compound (II) (general preparation given) showed a min. inhibitory concentration of 0.06 against S. aureus 133.

IT **437754-58-0P 437754-66-0P 437754-67-1P**
437754-68-2P 437754-69-3P 437754-70-6P
437754-71-7P 437754-72-8P 437754-73-9P
437754-74-0P 437754-75-1P 437754-76-2P
437754-90-0P 437754-91-1P 437754-96-6P
437755-00-5P 437755-02-7P 437755-04-9P
437755-14-1P 437755-15-2P 437755-17-4P
437755-18-5P 437755-19-6P 437755-20-9P
437755-21-0P 437755-22-1P 437755-42-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylaminodihydropyrimidinones as antibacterials)

RN 437754-58-0 HCAPLUS

CN Hexanamide, 3-amino-6-[(1-iminoethyl)amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

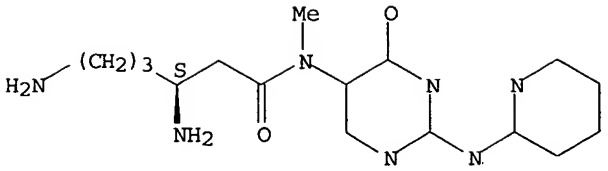
RN 437754-66-0 HCAPLUS

CN Hexanamide, 3,6-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, (3S)-, diacetate (9CI) (CA INDEX NAME)

CM 1

CRN 437754-65-9
CMF C16 H25 N7 O2

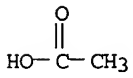
Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

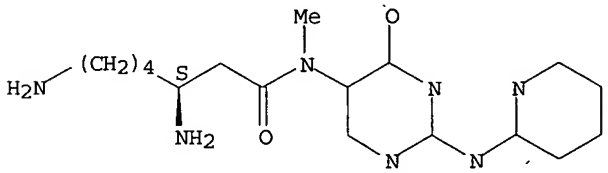
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 437754-67-1 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

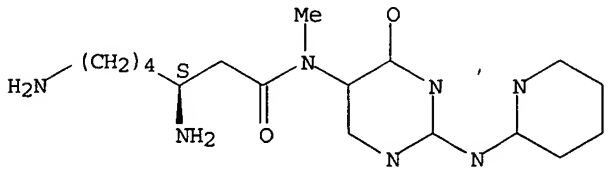


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437754-68-2 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, (3S)-, diacetate (9CI) (CA INDEX NAME)

CM 1

CRN 437754-67-1
CMF C17 H27 N7 O2

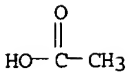
Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

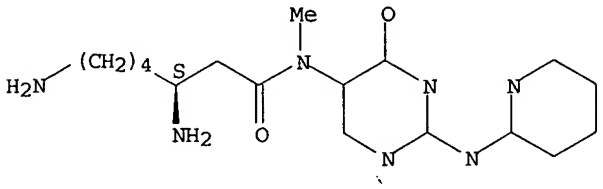
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 437754-69-3 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

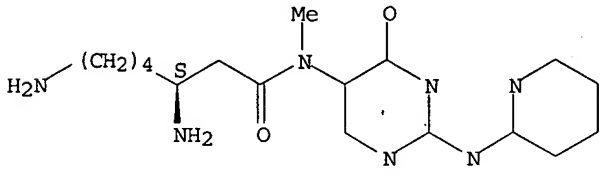
Absolute stereochemistry.



●2 HCl

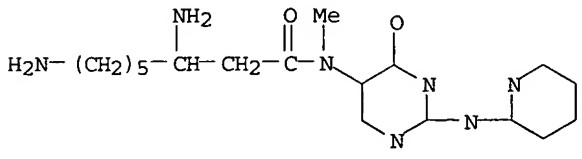
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437754-70-6 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, dihydrobromide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HBr

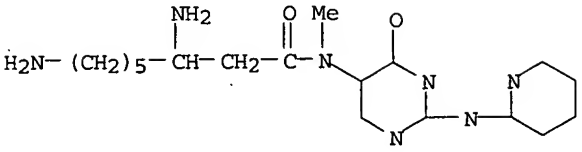
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437754-71-7 HCAPLUS
CN Octanamide, 3,8-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437754-72-8 HCAPLUS
CN Octanamide, 3,8-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, diacetate (9CI) (CA INDEX NAME)

CM 1

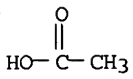
CRN 437754-71-7
CMF C18 H29 N7 O2



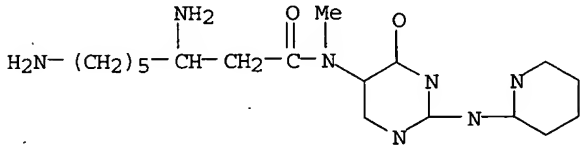
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 64-19-7
CMF C2 H4 O2



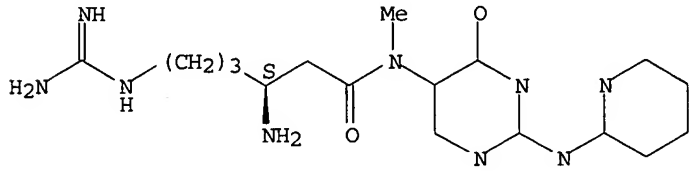
RN 437754-73-9 HCAPLUS
CN Octanamide, 3,8-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437754-74-0 HCAPLUS
CN Hexanamide, 3-amino-6-[(aminoiminomethyl)amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

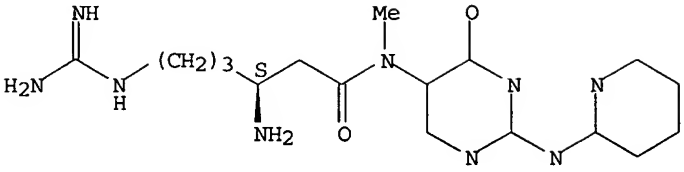


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437754-75-1 HCAPLUS
CN Hexanamide, 3-amino-6-[(aminoiminomethyl)amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, (3S)-, triacetate (9CI) (CA INDEX NAME)

CM 1

CRN 437754-74-0
CMF C17 H27 N9 O2

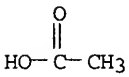
Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

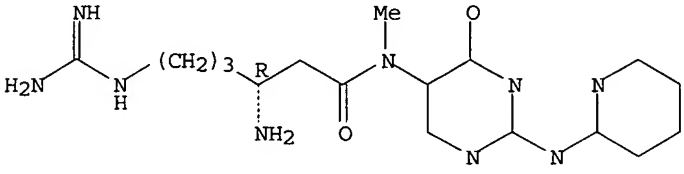
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 437754-76-2 HCAPLUS
CN Hexanamide, 3-amino-6-[(aminoiminomethyl)amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, trihydrochloride, (3R)- (9CI) (CA INDEX NAME)

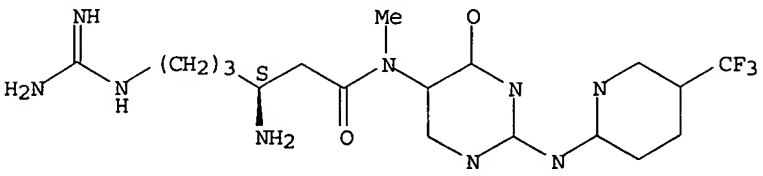
Absolute stereochemistry.



●3 HCl

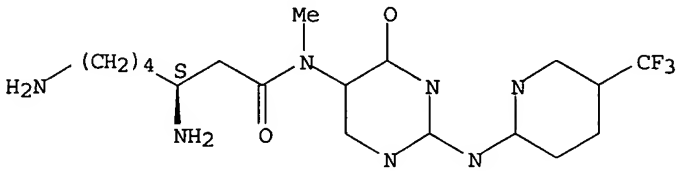
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437754-90-0 HCAPLUS
CN Hexanamide, 3-amino-6-[(aminoiminomethyl)amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-[[5-(trifluoromethyl)-2-pyridinyl]amino]-5-pyrimidinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437754-91-1 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-[[5-(trifluoromethyl)-2-pyridinyl]amino]-5-pyrimidinyl]-, dihydrobromide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



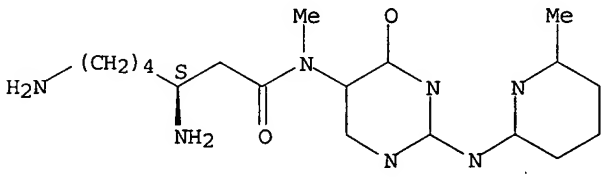
●2 HBr

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437754-96-6 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-2-[(6-methyl-2-pyridinyl)amino]-4-oxo-5-pyrimidinyl]-, (3S)-, diacetate (9CI) (CA INDEX NAME)

CM 1

CRN 437754-95-5
CMF C18 H29 N7 O2

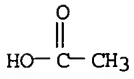
Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

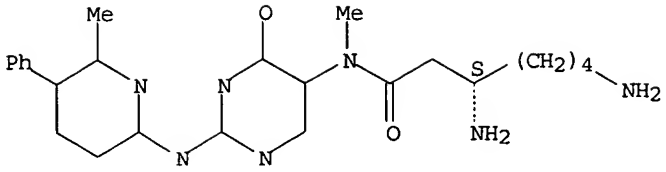
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 437755-00-5 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-2-[(6-methyl-5-phenyl-2-pyridinyl)amino]-4-oxo-5-pyrimidinyl]-, dihydrobromide, (3S)-(9CI) (CA INDEX NAME)

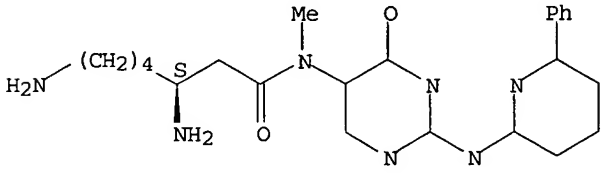
Absolute stereochemistry.



●2 HBr

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-02-7 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-[(6-phenyl-2-pyridinyl)amino]-5-pyrimidinyl]-, dihydrobromide, (3S)- (9CI) (CA INDEX NAME)

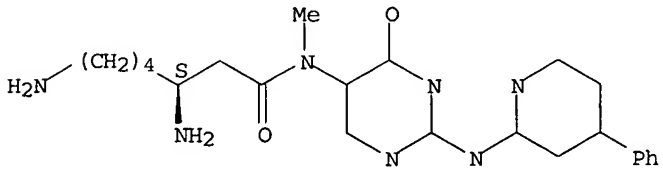
Absolute stereochemistry.



●2 HBr

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-04-9 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-[(4-phenyl-2-pyridinyl)amino]-5-pyrimidinyl]-, dihydrobromide, (3S)- (9CI) (CA INDEX NAME)

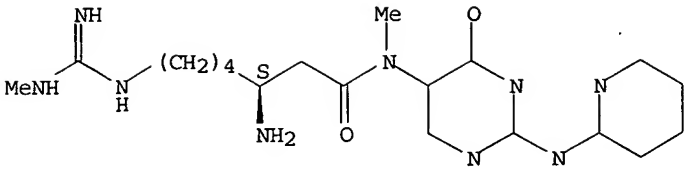
Absolute stereochemistry.



●2 HBr

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-14-1 HCAPLUS
CN Heptanamide, 3-amino-7-[[imino(methylamino)methyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, trihydrochloride, (3S)- (9CI) (CA INDEX NAME)

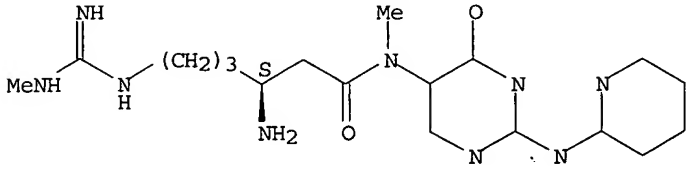
Absolute stereochemistry.



●3 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-15-2 HCAPLUS
CN Hexanamide, 3-amino-6-[[imino(methylamino)methyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, trihydrochloride, (3S)- (9CI) (CA INDEX NAME)

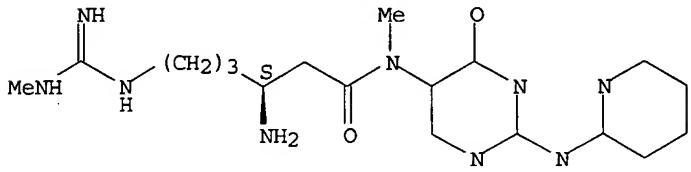
Absolute stereochemistry.



●3 HCl

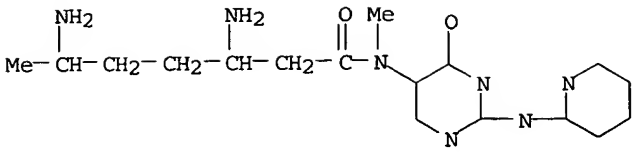
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-17-4 HCAPLUS
CN Hexanamide, 3-amino-6-[[imino(methylamino)methyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, trihydrobromide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●3 HBr

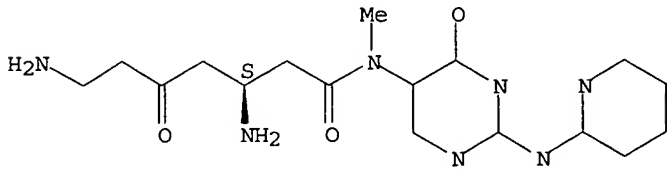
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-18-5 HCAPLUS
CN Heptanamide, 3,6-diamino-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-19-6 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-5-oxo-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

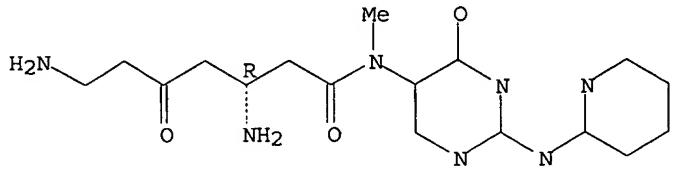
Absolute stereochemistry.



●2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-20-9 HCAPLUS
CN Heptanamide, 3,7-diamino-N-methyl-5-oxo-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

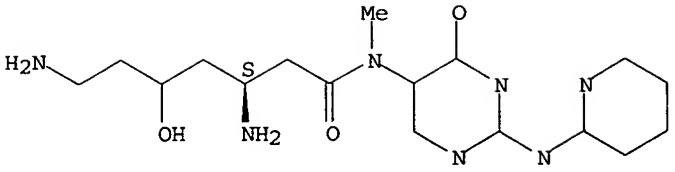
Absolute stereochemistry.



●2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-21-0 HCAPLUS
CN Heptanamide, 3,7-diamino-5-hydroxy-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

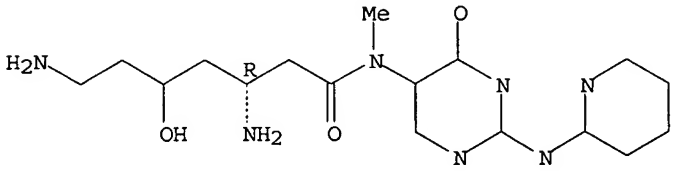
Absolute stereochemistry.



●2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-22-1 HCAPLUS
CN Heptanamide, 3,7-diamino-5-hydroxy-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

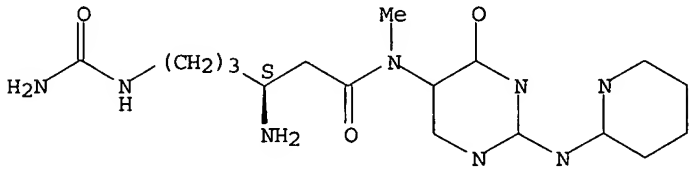
Absolute stereochemistry.



●2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-42-5 HCAPLUS
CN Hexanamide, 3-amino-6-[(aminocarbonyl)amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, monohydrobromide, (3S)- (9CI) (CA INDEX NAME)

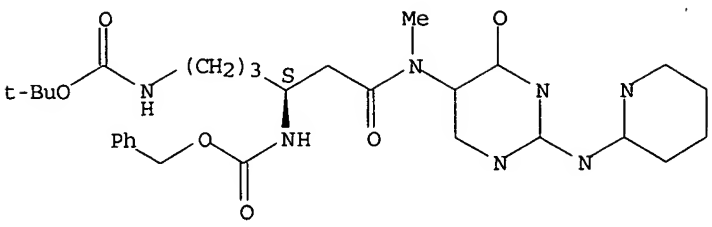
Absolute stereochemistry.



● HBr

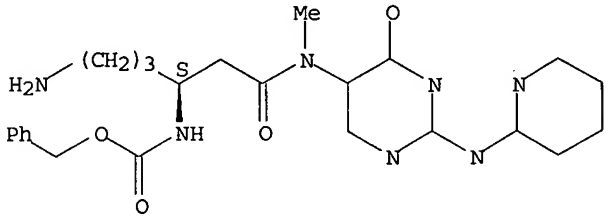
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
IT **437755-29-8P 437755-30-1P 437755-31-2P**
437755-39-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylaminodihydropyrimidinones as antibacterials)
RN 437755-29-8 HCAPLUS
CN Carbamic acid, [(1S)-4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-[2-[methyl[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]amino]-2-oxoethyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-30-1 HCAPLUS
CN Carbamic acid, [(1S)-4-amino-1-[2-[methyl[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]amino]-2-oxoethyl]butyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

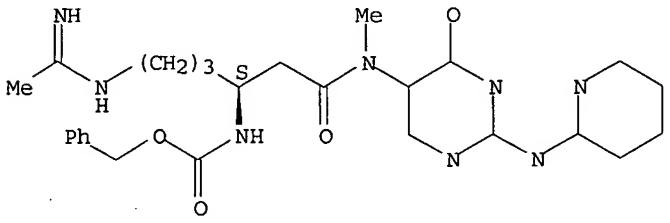
Absolute stereochemistry.



● HCl

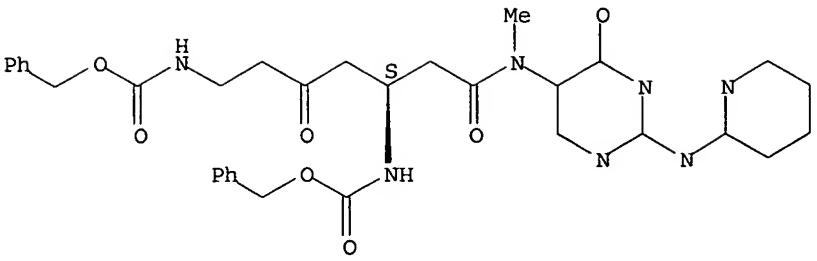
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-31-2 HCAPLUS
CN Carbamic acid, [(1S)-4-[(1-iminoethyl)amino]-1-[2-[methyl[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]amino]-2-oxoethyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 437755-39-0 HCAPLUS
CN Carbamic acid, [(1S)-1-[2-[methyl[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]amino]-2-oxoethyl]-3-oxo-1,5-pentanediyl]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

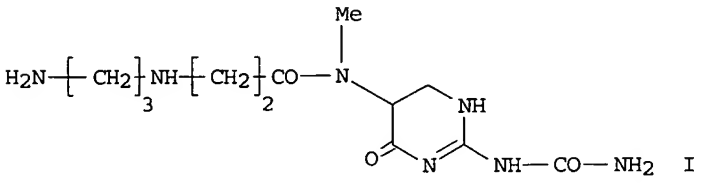


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L39 ANSWER 27 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:462349 HCAPLUS
DN 137:33536
TI Synthesis of tetrahydropyridinone β-alanine compounds for use as antibacterial agents in human and veterinary medicine
IN Kruger, Joachim; Brands, Michael; Endermann, Rainer; Gahlmann, Reinhold; Geschke, Fank-Ulrich; Kroll, Hein-Peter; Raddatz, Siegfried; Stoltefuss, Jurgen
PA Bayer AG, Germany
SO Ger. Offen., 20 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE--10061537	A1	20020620	2000DE-1061537	20001211 <--
PRAI 2000DE-1061537		20001211 <--		

OS MARPAT 137:33536
GI

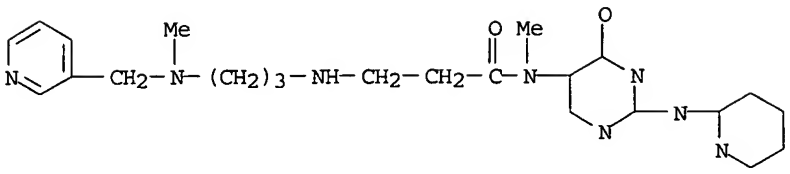


AB Title compds., e.g. (I), were prepared and tested as antibacterial agents for use in treatment of humans and animals. Thus, 3-aminopropanol was O-protected and reacted with benzyl acrylate, and then attached to a polystyrol resin for further reaction. Deprotection and tosylation of the O-group, followed by reaction with an amine, (e.g., H2N(CH2)3NHC(O)OCH2Ph),was followed by amidation with a second amine, (e.g., (5RS)-3,4,5,6-tetrahydro-5-methylamino-2-ureidopyrimidin-4-one) gave I (60%) as the dihydrochloride salt. In in vitro Minimal Inhibition Concentration tests using Staphylococcus aureus, I had MIH 6.3 μg/mL.
IT **436098-07-6P 436098-18-9P 436098-22-5P**
436098-24-7P 436098-43-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-alanine derivs. for use in treating bacterial infections in human and veterinary medicine)
RN 436098-07-6 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[methyl(3-pyridinylmethyl)amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-,

bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

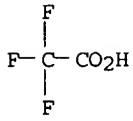
CRN 436098-06-5
CMF C23 H32 N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

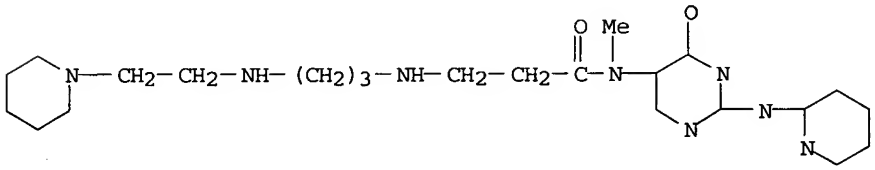
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-18-9 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[[2-(1-piperidinyl)ethyl]amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

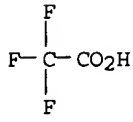
CRN 436098-17-8
CMF C23 H38 N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2

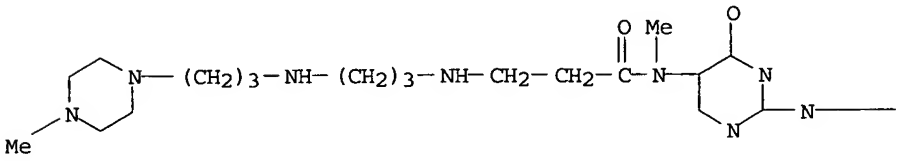


RN 436098-22-5 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[[3-(4-methyl-1-piperazinyl)propyl]amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

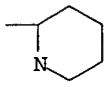
CM 1

CRN 436098-21-4
CMF C24 H41 N9 O2

PAGE 1-A



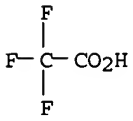
PAGE 1-B



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

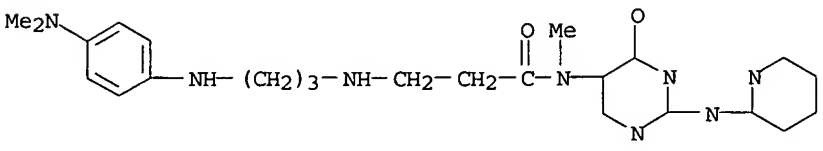
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-24-7 HCAPLUS
CN Propanamide, 3-[[3-[[4-(dimethylamino)phenyl]amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

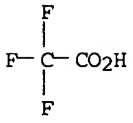
CRN 436098-23-6
CMF C24 H34 N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

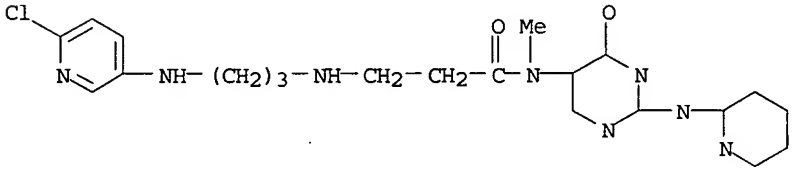
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-43-0 HCAPLUS
CN Propanamide, 3-[[3-[(6-chloro-3-pyridinyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

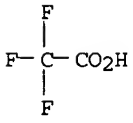
CRN 436098-42-9
CMF C21 H27 Cl N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2

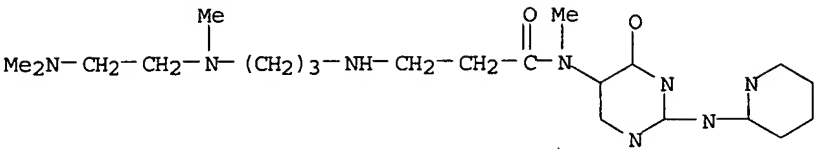


IT **436098-09-8P 436098-14-5P 436098-16-7P**
436098-20-3P 436098-26-9P 436098-28-1P
436098-30-5P 436098-32-7P 436098-37-2P
436098-39-4P 436098-41-8P 436098-45-2P
436098-47-4P 436098-49-6P 436098-64-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-alanine derivs. for use in treating bacterial infections in human and veterinary medicine)

RN 436098-09-8 HCAPLUS
CN Propanamide, 3-[[3-[[2-(dimethylamino)ethyl]methylamino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

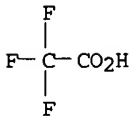
CRN 436098-08-7
CMF C21 H36 N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

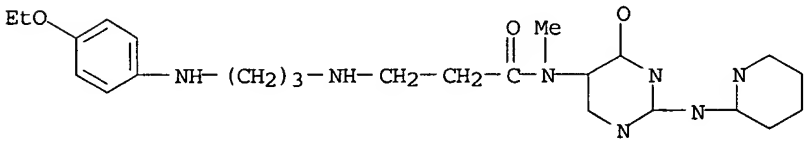
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-14-5 HCAPLUS
CN Propanamide, 3-[[3-[(4-ethoxyphenyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

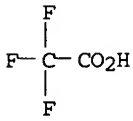
CRN 436098-13-4
CMF C24 H33 N7 O3



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

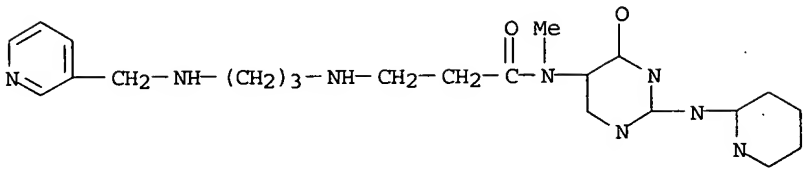
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-16-7 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[(3-pyridinylmethyl)amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

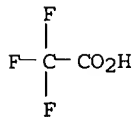
CRN 436098-15-6
CMF C22 H30 N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

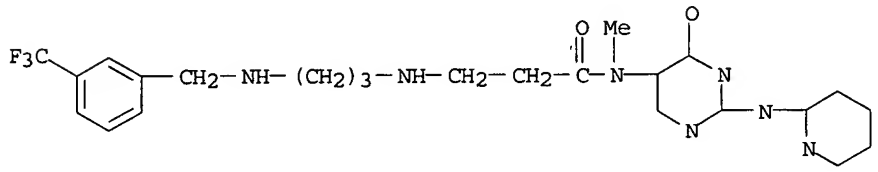
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-20-3 HCAPLUS
CN Propanamide, N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-3-[[3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

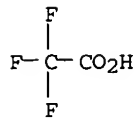
CRN 436098-19-0
CMF C24 H30 F3 N7 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2

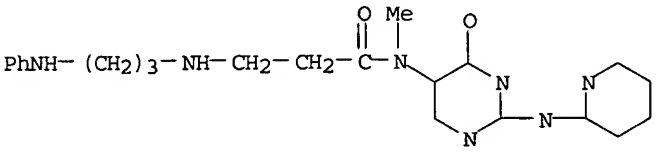


RN 436098-26-9 HCAPLUS

CN Propanamide, N-methyl-3-[[3-(phenylamino)propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

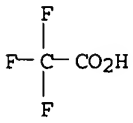
CRN 436098-25-8
CMF C22 H29 N7 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

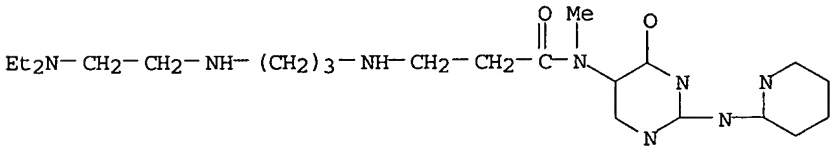
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-28-1 HCAPLUS
CN Propanamide, 3-[[3-[[2-(diethylamino)ethyl]amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

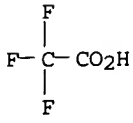
CRN 436098-27-0
CMF C22 H38 N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

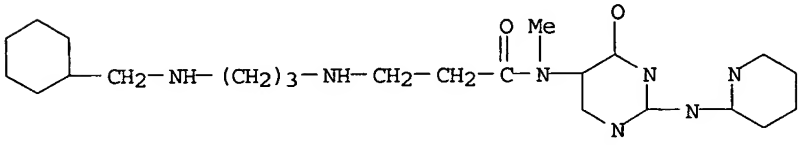
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-30-5 HCAPLUS
CN Propanamide, 3-[[3-[(cyclohexylmethyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

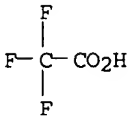
CRN 436098-29-2
CMF C23 H37 N7 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

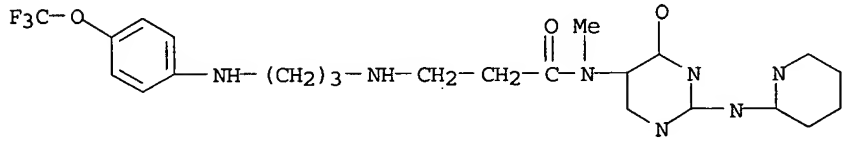
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-32-7 HCAPLUS
CN Propanamide, N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-3-[[3-[[4-(trifluoromethoxy)phenyl]amino]propyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

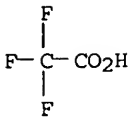
CRN 436098-31-6
CMF C23 H28 F3 N7 O3



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

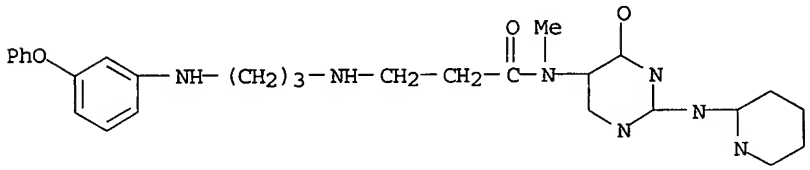
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-37-2 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[(3-phenoxyphenyl)amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

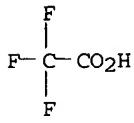
CRN 436098-36-1
CMF C28 H33 N7 O3



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

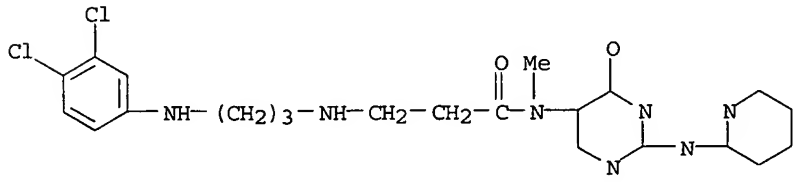
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-39-4 HCAPLUS
CN Propanamide, 3-[[3-[(3,4-dichlorophenyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

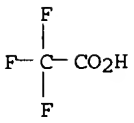
CRN 436098-38-3
CMF C22 H27 Cl2 N7 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

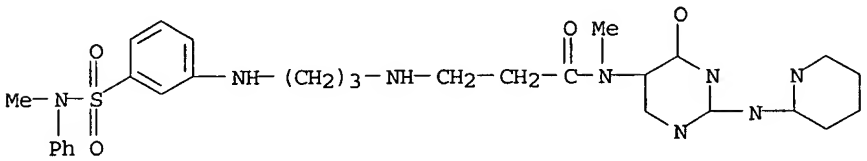
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-41-8 HCAPLUS
CN Propanamide, N-methyl-3-[[3-[[3-[(methylphenylamino)sulfonyl]phenyl]amino]propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

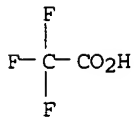
CRN 436098-40-7
CMF C29 H36 N8 O4 S



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

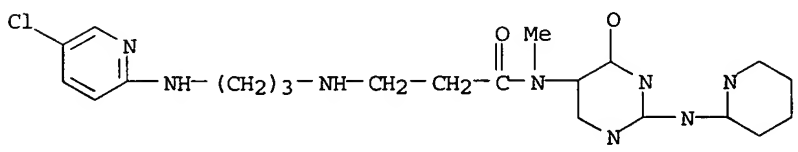
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-45-2 HCAPLUS
CN Propanamide, 3-[[3-[(5-chloro-2-pyridinyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

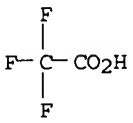
CRN 436098-44-1
CMF C21 H27 Cl N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

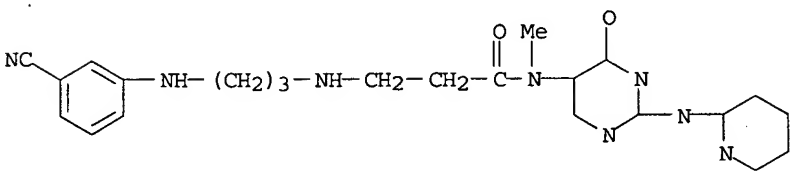
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-47-4 HCAPLUS
CN Propanamide, 3-[[3-[(3-cyanophenyl)amino]propyl]amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

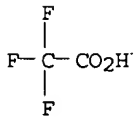
CRN 436098-46-3
CMF C23 H28 N8 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

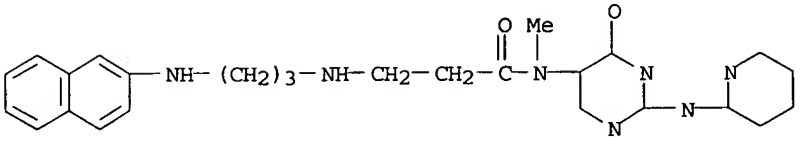
CRN 76-05-1
CMF C2 H F3 O2



RN 436098-49-6 HCAPLUS
CN Propanamide, N-methyl-3-[[3-(2-naphthalenylamino)propyl]amino]-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

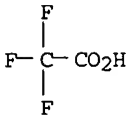
CRN 436098-48-5
CMF C26 H31 N7 O2



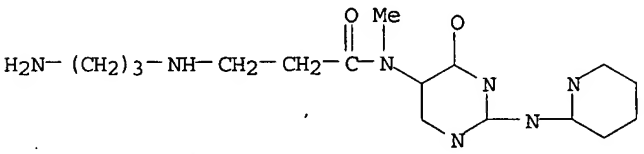
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 436098-64-5 HCAPLUS
CN Propanamide, 3-[(3-aminopropyl)amino]-N-methyl-N-[1,4,5,6-tetrahydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

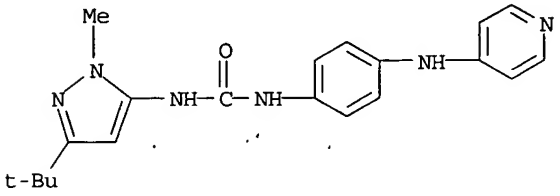
L39 ANSWER 28 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:407968 HCAPLUS
DN 138:49372
TI Synthesis and pharmacological characterization of a potent, orally active p38 kinase inhibitor
AU Dumas, Jacques; Hatoum-Mokdad, Holia; Sibley, Robert N.; Smith, Roger A.; Scott, William J.; Khire, Uday; Lee, Wendy; Wood, Jill; Wolanin, Donald; Cooley, Jeffrey; Bankston, Donald; Redman, Aniko M.; Schoenleber, Robert; Caringal, Yolanda; Gunn, David; Romero, Romulo; Osterhout, Martin; Paulsen, Holger; Housley, Timothy J.; Wilhelm, Scott M.; Pirro, John; Chien, Du-Shieng; Ranges, Gerald E.; Shrikhande, Alka; Muzsi, Andrew; Bortolon, Elizabeth; Wakefield, Jean; Gianpaolo Ostravage, Cynthia; Bhargava, Ajay; Chau, Thuy
CS Department of Chemistry Research, Bayer Research Center, West Haven, CT, 06516, USA
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1559-1562
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB Inhibitors of the MAP kinase p38 provide a novel approach for the treatment of osteoporosis, inflammatory disorders, and cancer. We have identified N-(3-tert-butyl-1-methyl-5-pyrazolyl)-N'-(4-(4-pyridinylmethyl)phenyl)urea as a potent and selective p38 kinase inhibitor in biochem. and cellular assays. This compound is orally active in two acute models of cytokine release (TNF-induced IL-6 and LPS-induced TNF)

and a chronic model of arthritis (20-day murine collagen-induced arthritis).

IT **229155-53-7**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relationship, synthesis and pharmacol. characterization of a potent, orally active p38 kinase inhibitors)

RN 229155-53-7 HCAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[4-(4-pyridinylamino)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 29 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:174790 HCAPLUS

DN 137:352864

TI Synthesis of carbon-14 labeled 1-(4-pyridinylamino)-1H-indol-5-ol-methylcarbamate, (Z)-2-butenedioate ([14C]HMR 2420)

AU Gill, Harpal S.

CS Radiochemistry Section, Aventis Pharmaceuticals Chemical Development, Cincinnati, OH, 45215, USA

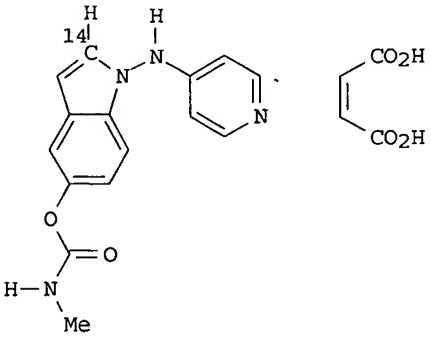
SO Synthesis and Applications of Isotopically Labelled Compounds, Proceedings of the International Symposium, 7th, Dresden, Germany, June 18-22, 2000 (**2001**), Meeting Date 2000, 280-282. Editor(s): Pleiss, Ulrich; Voges, Rolf. Publisher: John Wiley & Sons Ltd., Chichester, UK. CODEN: 69CIJC; ISBN: 0-471-49501-8

DT Conference

LA English

OS CASREACT 137:352864

GI



I

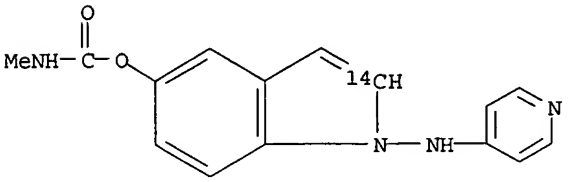
AB A diazonium chemical route was developed to accomplish the synthesis of 1-(4-pyridinylamino)-1H-[2-14C]indol-5-ol-methylcarbamate, (Z)-2-butenedioate (I, [14C]MDL 106276G-02, [14C]HMR 2420) which is under development for treatment of Alzheimer's disease. The synthetic sequence provided I in seven steps from [14C]methyl iodide in an overall radiochem. yield of 7.2%, specific activity of 52.4 μCi/mg (20.9 mCi/mmol, 1938.8

MBq/g), radiochem. purity of 99.9% and chemical purity of 99.7%.

IT **474380-68-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 14C-labeled (pyridinylamino)indole via diazotization of 14C-labeled hydroxystyrene with pyridinediazonium salt followed by carbamate formation and salt formation with maleic acid)

RN 474380-68-2 HCAPLUS

CN 1H-Indol-5-ol-2-14C, 1-(4-pyridinylamino)-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



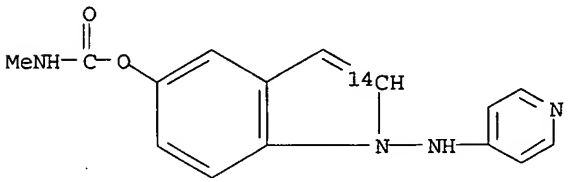
IT **474380-69-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 14C-labeled (pyridinylamino)indole via diazotization of 14C-labeled hydroxystyrene with pyridinediazonium salt followed by carbamate formation and salt formation with maleic acid)

RN 474380-69-3 HCAPLUS

CN 1H-Indol-5-ol-2-14C, 1-(4-pyridinylamino)-, methylcarbamate (ester), (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

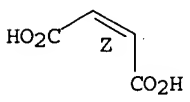
CRN 474380-68-2
CMF C15 H14 N4 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 30 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:122980 HCAPLUS

DN 136:183708

TI Preparation of non-imidazole aryloxyalkylamines as histamine H3 receptor

antagonists
IN Apodaca, Richard; Carruthers, Nicholas I.; Dvorak, Curt A.; Rudolph, Dale A.; Shah, Chandravadan R.; Xiao, Wei
PA Ortho McNeil Pharmaceutical Inc., USA
SO PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2002012214	A2	20020214	2001WO-US24655	20010806 <--
	WO2002012214	A3	20020620		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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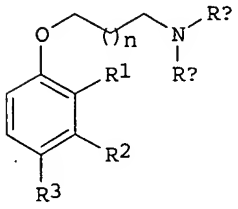
	AU2001084733	A5	20020218	2001AU-0084733	20010806 <--
	US2002065278	A1	20020530	2001US-0922631	20010806 <--
	EP---1313721	A2	20030528	2001EP-0963813	20010806 <--
	EP---1313721	B1	20060308		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP2004505960	T2	20040226	2002JP-0518191	20010806 <--
	BR2001013162	A	20040406	2001BR-0013162	20010806 <--
	AT----319696	E	20060315	2001AT-0963813	20010806 <--
	ZA2003001853	A	20040621	2003ZA-0001853	20030306 <--
	ZA2003001854	A	20040621	2003ZA-0001854	20030306 <--
PRAI	2000US-223768P	P	20000808	<--	
	2001US-0922631	A	20010806	<--	
	2001WO-US24655	W	20010806	<--	

OS MARPAT 136:183708
GI



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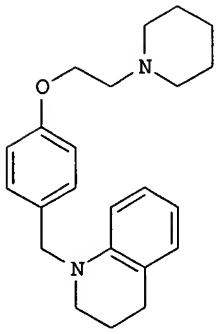


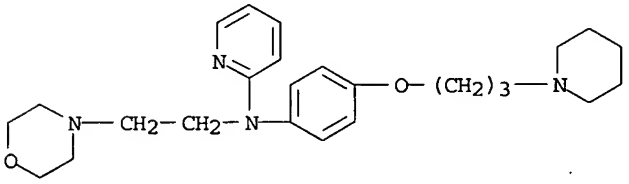
II

AB Title compds. I [Ra-b = alk(en/yn)yl, cycloalkyl; n = 0-4; one of R1-3 = G and the remaining two are H or halo; G = N-containing heterocycle, e.g., piperidinyl, etc.] were prepared For instance, 4-(2-(piperidin-1-yl)ethoxy)benzaldehyde was used to alkylate 1,2,3,4-tetrahydroisoquinoline (ClCH2CH2Cl, HOAc, NaBH(OAc)3, 15 h) to give II. II had Ki = 37 nM for the histamine H3 receptor. I are useful for treating histamine-mediated conditions.

IT **398473-94-4P**, (2-(Morpholin-4-yl)ethyl) [4-(3-(piperidin-1-yl)propoxy)phenyl] (pyridin-2-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of non-imidazole aryloxyalkylamines as histamine-H3 receptor antagonists)

RN 398473-94-4 HCAPLUS

CN 4-Morpholineethanamine, N-[4-[3-(1-piperidinyl)propoxy]phenyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



L39 ANSWER 31 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:122964 HCAPLUS

DN 136:167384

TI Preparation of 4-pyrimidinamines as neuroprotectants.

IN Grant, Elfrida R.; Brown, Frank K.; Zivin, Robert Allan; McMillan, Michael; Zhong, Zhong; Scott, Malcolm; Reitz, Allen B.; Ross, Tina Morgan

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2002012198	A2	20020214	2001WO-US24659	20010806 <--
	WO2002012198	A3	20020606		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	AU2001081120	A5	20020218	2001AU-0081120	20010806 <--
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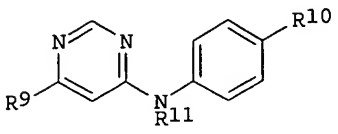
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR2001013165	A	20030715	2001BR-0013165	20010806 <--
	JP2004505952	T2	20040226	2002JP-0518176	20010806 <--
	NZ----524100	A	20050128	2001NZ-0524100	20010806 <--
	ZA2003001868	A	20040625	2003ZA-0001868	20030306 <--
PRAI	2000US-223791P	P	20000808 <--		

2001WO-US24659 W 20010806 <--
OS MARPAT 136:167384
GI

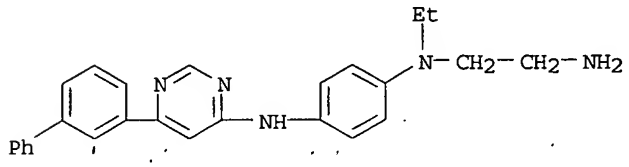


I

AB Pharmaceutical compns. comprising a pharmaceutically acceptable carrier [I; R9 = H, thienyl, furanyl, pyrrolyl, (substituted) Ph, pyridinyl, pyridinyl, naphthyl, benzo[b]thien-2-yl, 2-benzofuranyl, pyrimidinyl, 2,4-bis(methoxyphenyl)-5-pyrimidinyl; R10 = cyanoalkyl, alkylamino, dialkylamino, hydroxyalkylamino, hydroxydialkylamino; R11 = H, alkyl], are claimed. Thus, a mixture of N-(2-aminoethyl)-N'-(6-biphenyl-3-ylpyrimidin-4-yl)-N-ethylbenzene-1,4-diamine (preparation given), N-benzoylalanine, diisopropylethylamine, HBTU, and DMF was stirred overnight at room temperature to give N-[1-[[2-[4-(6-biphenyl-3-ylpyrimidin-4-ylamino)phenyl]ethylamino]ethylcarbamoyl]ethyl]benzamide. Tested compds. in a differentiated P19 cell assay using 3 mM glutamate showed neuroprotectant activity with IC50 = 0.07 μM to >1 μM.

IT **397850-40-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 4-pyrimidinamines as neuroprotectants)

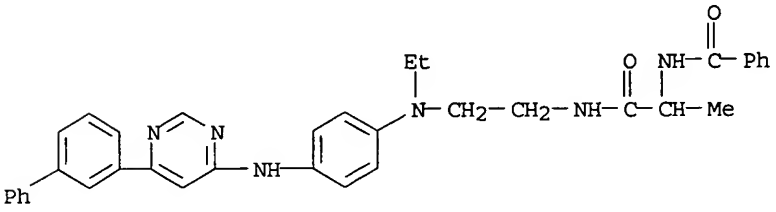
RN 397850-40-7 HCAPLUS
CN 1,4-Benzenediamine, N-(2-aminoethyl)-N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl- (9CI) (CA INDEX NAME)



IT **397850-34-9P 397850-35-0P 397850-36-1P**
397850-37-2P 397850-38-3P 397850-41-8P
397850-42-9P 397850-43-0P 397850-44-1P
397850-45-2P 397850-46-3P 397850-47-4P
397850-48-5P 397850-49-6P 397850-50-9P
397850-51-0P 397850-52-1P 397850-53-2P
397850-54-3P 397850-55-4P 397850-56-5P
397850-57-6P 397850-58-7P 397850-59-8P
397850-60-1P 397850-61-2P 397850-62-3P
397850-63-4P 397850-64-5P 397850-65-6P
397850-66-7P 397850-67-8P 397850-68-9P
397850-69-0P 397850-70-3P 397850-71-4P
397850-72-5P 397850-73-6P 397850-74-7P
397850-75-8P 397850-76-9P 397850-77-0P
397850-78-1P 397850-79-2P 397850-80-5P
397850-81-6P 397850-82-7P 397850-83-8P
397850-84-9P 397850-85-0P 397850-86-1P
397850-87-2P 397850-88-3P 397850-89-4P
397850-90-7P 397850-92-9P 397850-94-1P
397850-97-4P 397850-98-5P 397850-99-6P
397851-00-2P 397851-01-3P 397851-02-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of 4-pyrimidinamines as neuroprotectants)

RN 397850-34-9 HCAPLUS
CN Benzamide, N-[2-[[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]amino]-1-methyl-2-oxoethyl]-(9CI) (CA INDEX NAME)



RN 397850-35-0 HCAPLUS
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]hexahydro-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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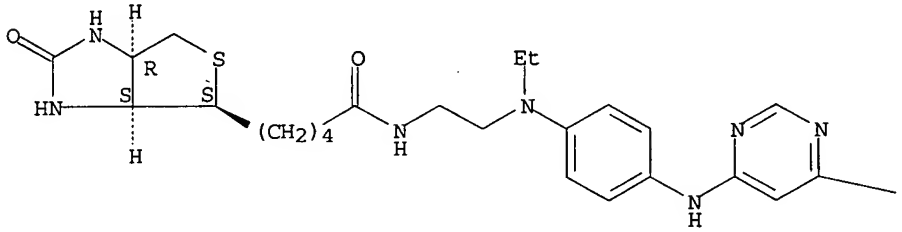

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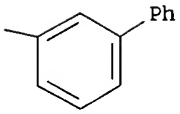
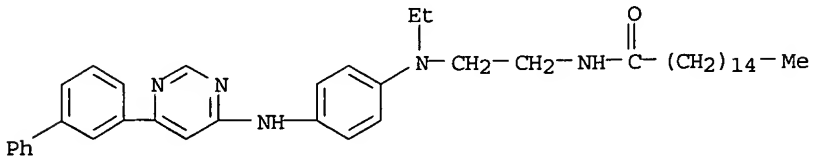
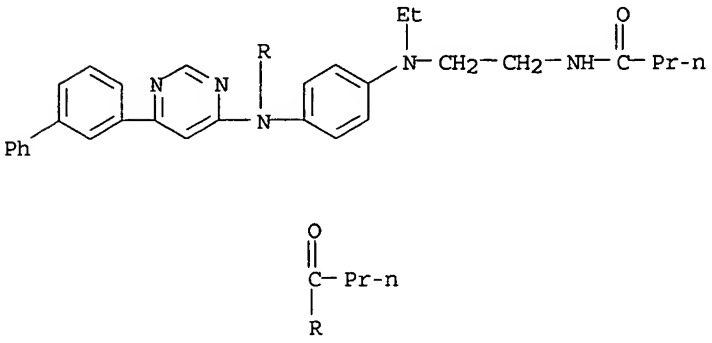


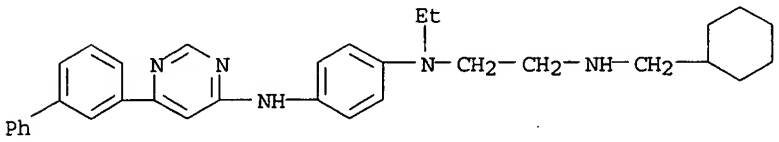
RN 397850-36-1 HCAPLUS
CN Hexadecanamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]- (9CI) (CA INDEX NAME)



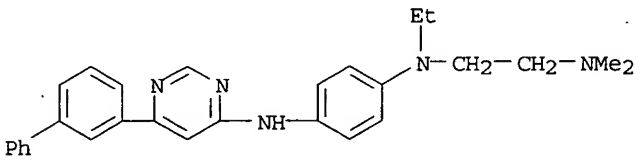
RN 397850-37-2 HCAPLUS
CN Butanamide, N-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[4-[ethyl[2-[(1-oxobutyl)amino]ethyl]amino]phenyl]- (9CI) (CA INDEX NAME)



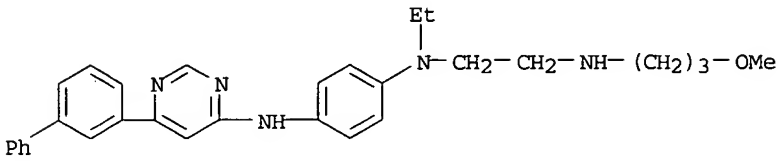
RN 397850-38-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[(cyclohexylmethyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



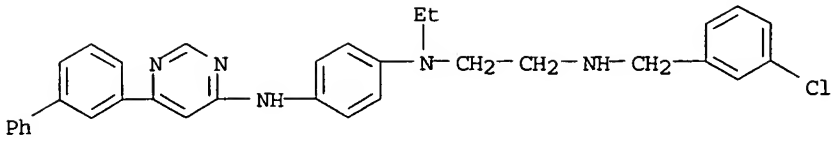
RN 397850-41-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-(dimethylamino)ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



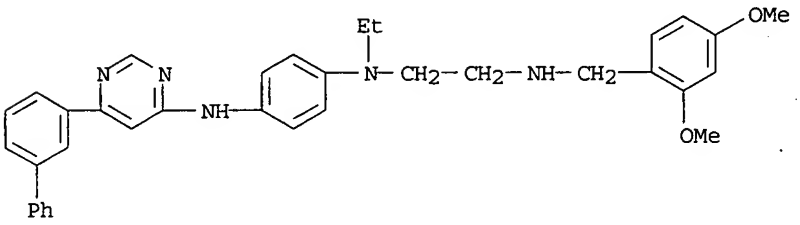
RN 397850-42-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(3-methoxypropyl)amino]ethyl]- (9CI) (CA INDEX NAME)



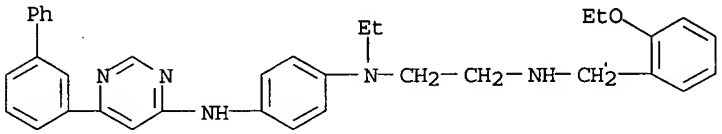
RN 397850-43-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(3-chlorophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



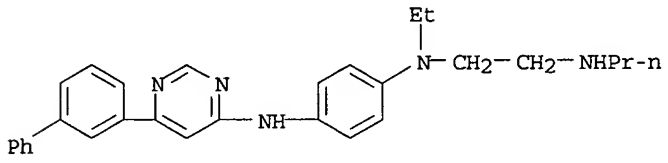
RN 397850-44-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(2,4-dimethoxyphenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



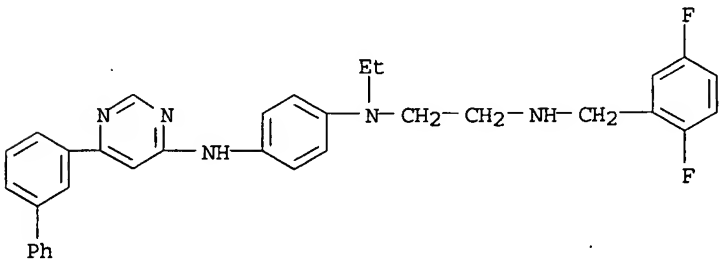
RN 397850-45-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(2-ethoxyphenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-46-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(propylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 397850-47-4 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(2,5-difluorophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-48-5 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[[(1R,4aS,10aR)-1,2,3,4,4a,9,10,10a-octahydro-1,4a-dimethyl-7-(1-methylethyl)-1-phenanthrenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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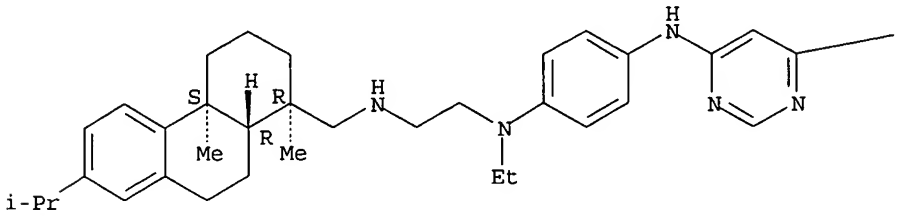

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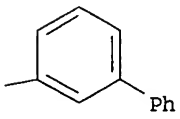
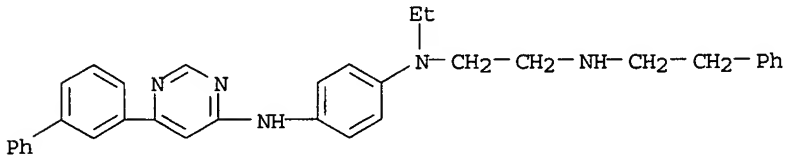
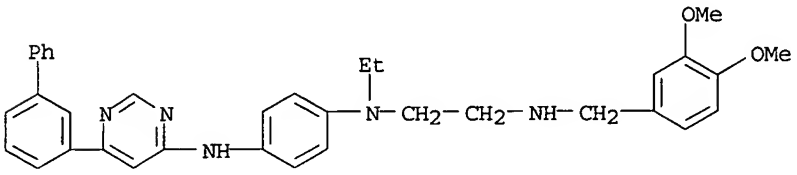


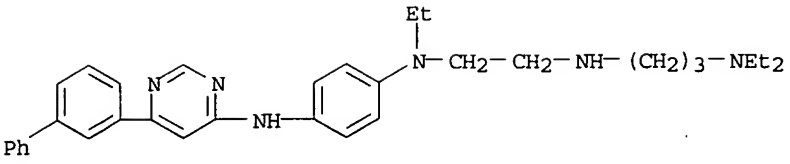
RN 397850-49-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2-phenylethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



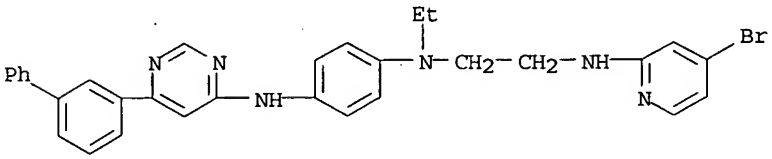
RN 397850-50-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(3,4-dimethoxyphenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



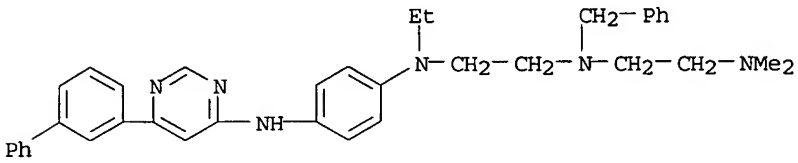
RN 397850-51-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[3-(diethylamino)propyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



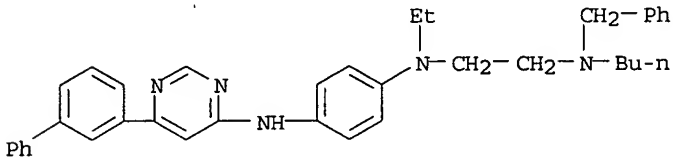
RN 397850-52-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[(4-bromo-2-pyridinyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



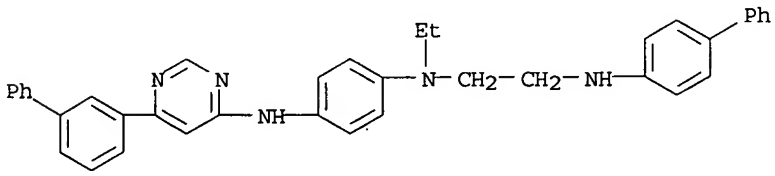
RN 397850-53-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[2-(dimethylamino)ethyl](phenylmethyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



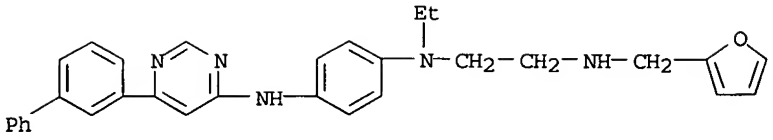
RN 397850-54-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[butyl(phenylmethyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



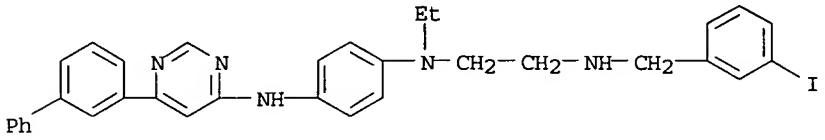
RN 397850-55-4 HCAPLUS
CN 1,4-Benzenediamine, N-[2-([1,1'-biphenyl]-4-ylamino)ethyl]-N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl- (9CI) (CA INDEX NAME)



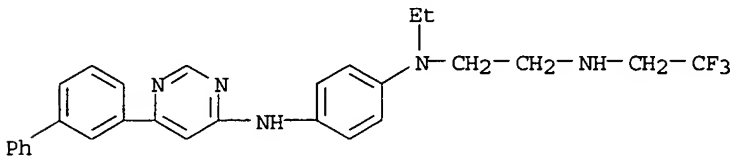
RN 397850-56-5 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2-furanylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



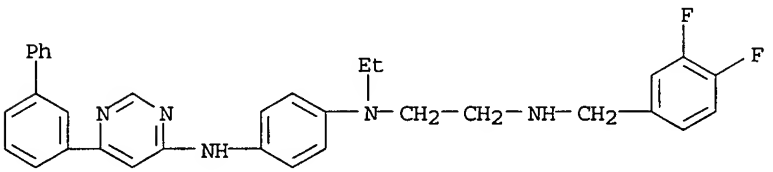
RN 397850-57-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(3-iodophenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



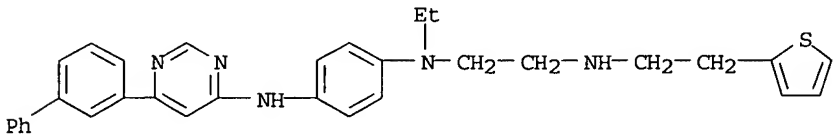
RN 397850-58-7 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2,2,2-trifluoroethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



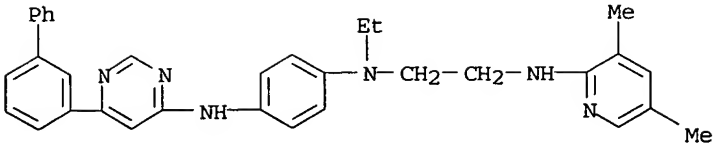
RN 397850-59-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(3,4-difluorophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



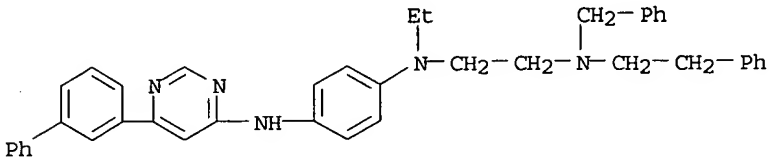
RN 397850-60-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[2-(2-thienyl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



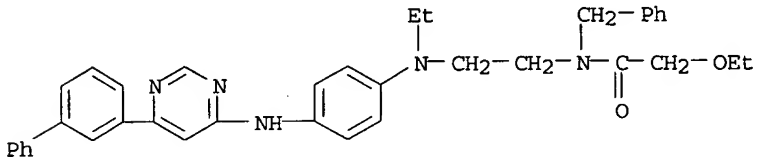
RN 397850-61-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[(3,5-dimethyl-2-pyridinyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



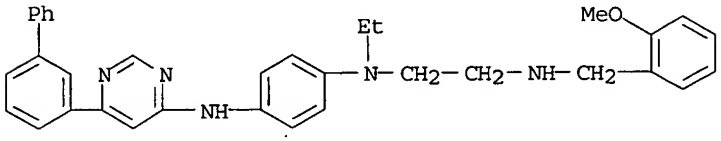
RN 397850-62-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2-phenylethyl)(phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



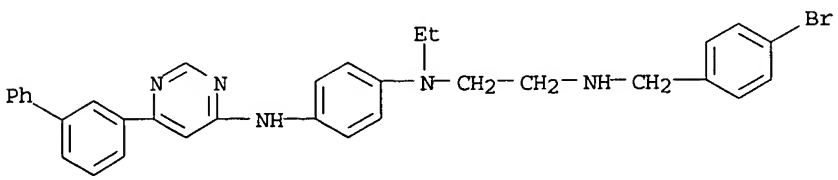
RN 397850-63-4 HCAPLUS
CN Acetamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-2-ethoxy-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



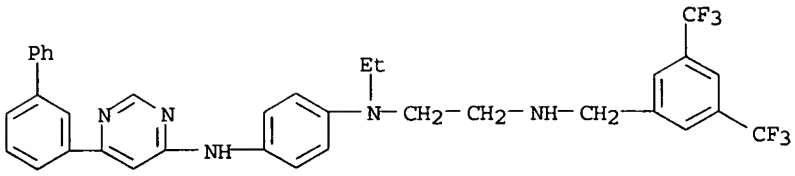
RN 397850-64-5 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(2-methoxyphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 397850-65-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(4-bromophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-66-7 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-67-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[2-(3-methoxyphenyl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)

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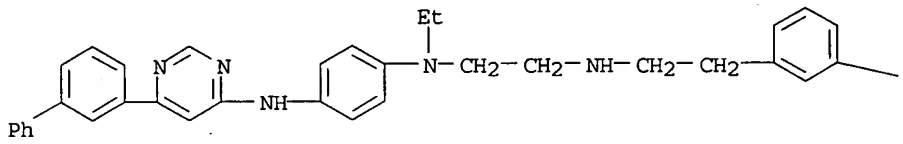

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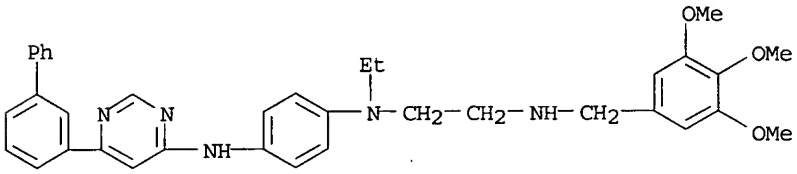
RN 397850-68-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(3,4,5-trimethoxyphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 397850-69-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[2-(4-methoxyphenyl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)

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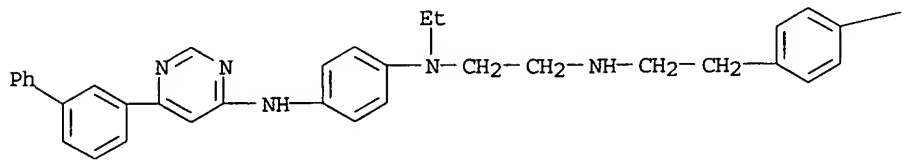

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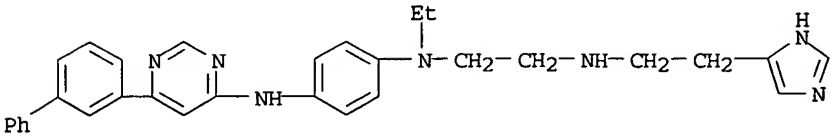
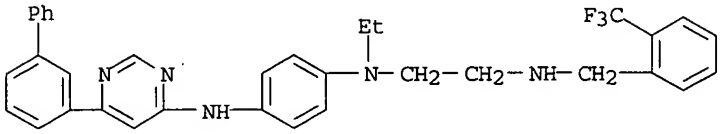
OMe

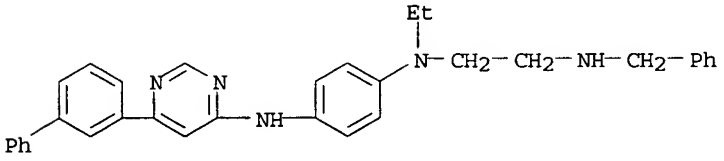
RN 397850-70-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[2-(1H-imidazol-4-yl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



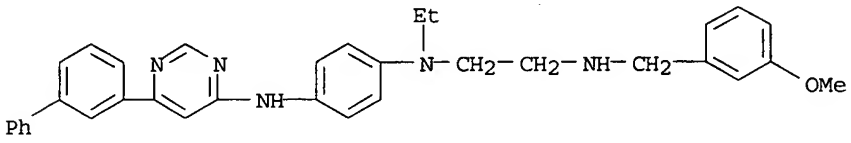
RN 397850-71-4 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[[2-(trifluoromethyl)phenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



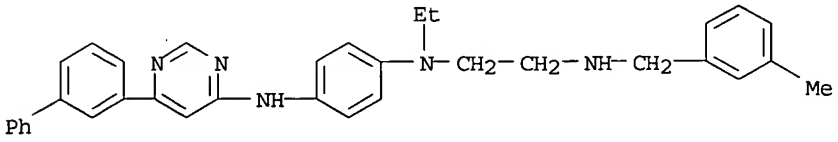
RN 397850-72-5 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



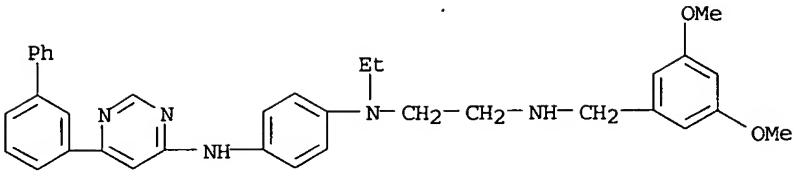
RN 397850-73-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(3-methoxyphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



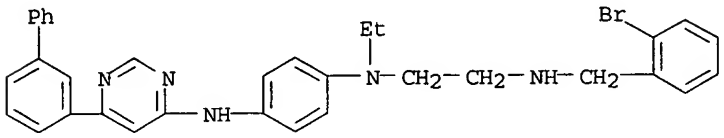
RN 397850-74-7 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(3-methylphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 397850-75-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(3,5-dimethoxyphenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-76-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[(2-bromophenyl)methyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 397850-77-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[2-(4-bromophenyl)ethyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)

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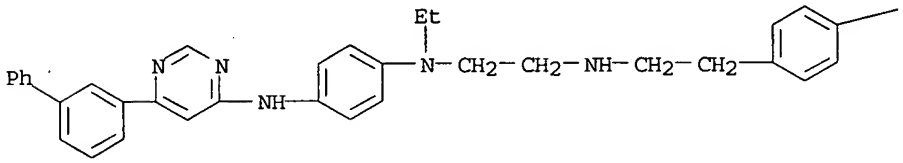

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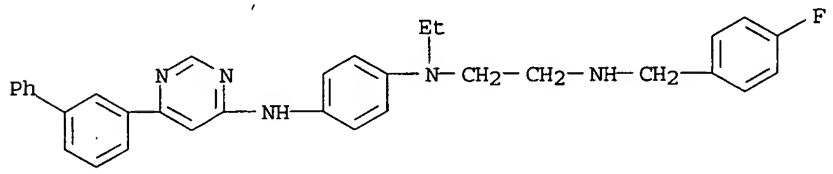
RN 397850-78-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(4-fluorophenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 397850-79-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(1-naphthalenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

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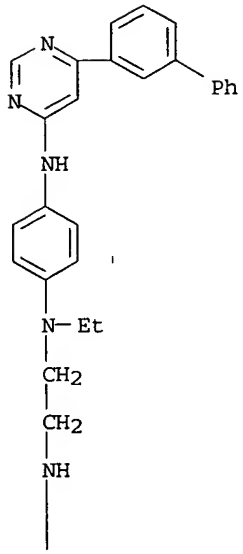

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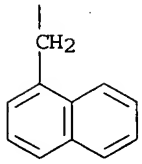
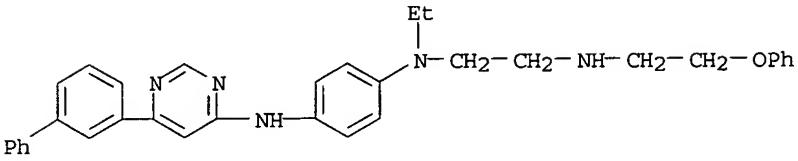
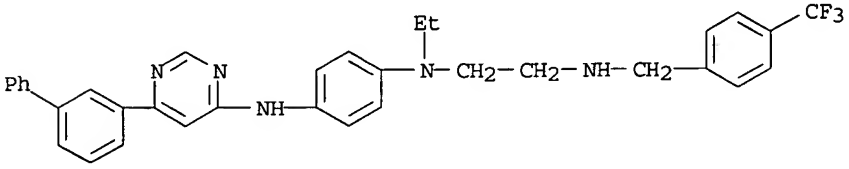


RN 397850-80-5 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[(2-phenoxyethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

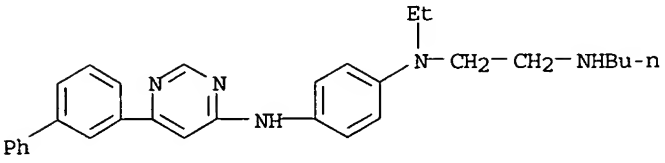


RN 397850-81-6 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[[4-(trifluoromethyl)phenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

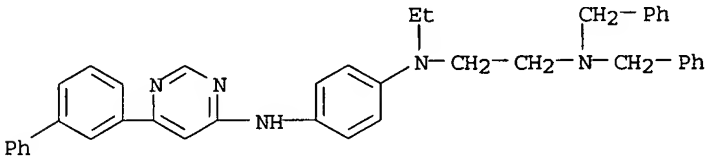


RN 397850-82-7 HCAPLUS

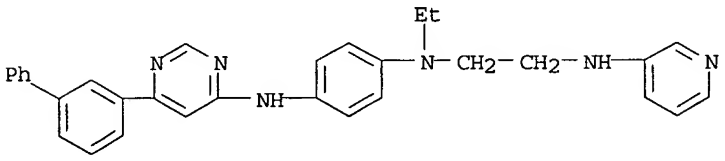
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-(butylamino)ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



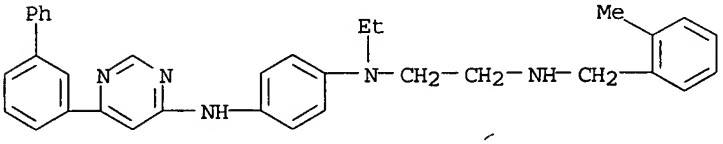
RN 397850-83-8 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[bis(phenylmethyl)amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



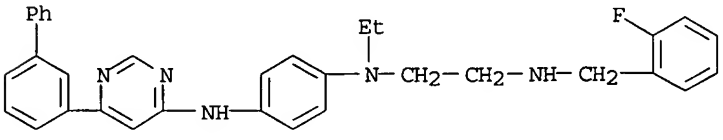
RN 397850-84-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(3-pyridinylamino)ethyl]- (9CI) (CA INDEX NAME)



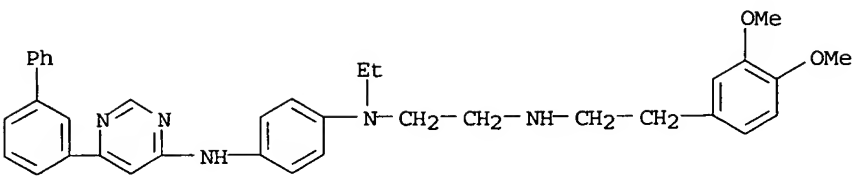
RN 397850-85-0 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(2-methylphenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



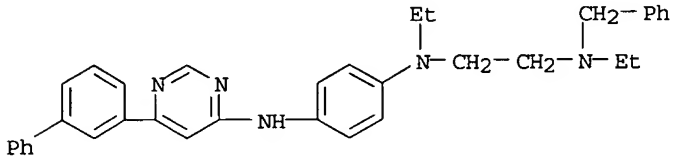
RN 397850-86-1 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[[(2-fluorophenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



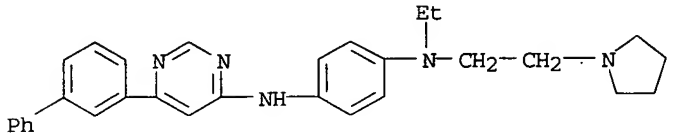
RN 397850-87-2 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



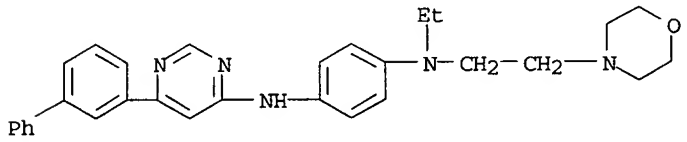
RN 397850-88-3 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-[ethyl(phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



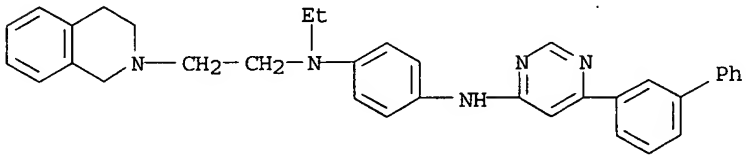
RN 397850-89-4 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



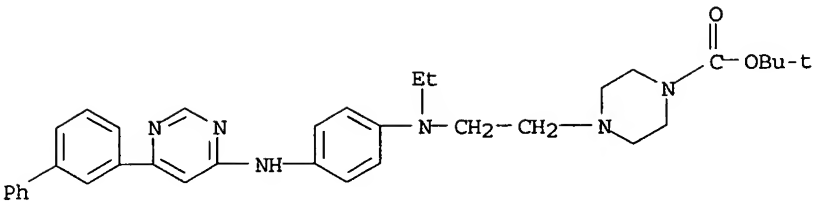
RN 397850-90-7 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



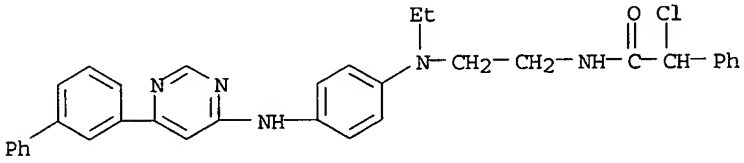
RN 397850-92-9 HCAPLUS
CN 1,4-Benzenediamine, N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[2-(3,4-dihydro-2(1H)-isoquinolinyl)ethyl]-N-ethyl- (9CI) (CA INDEX NAME)



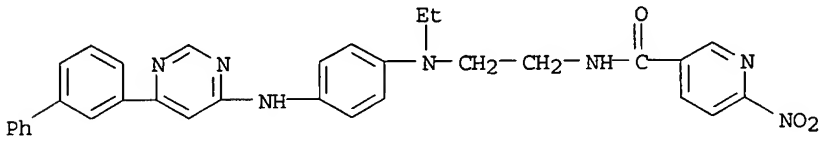
RN 397850-94-1 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



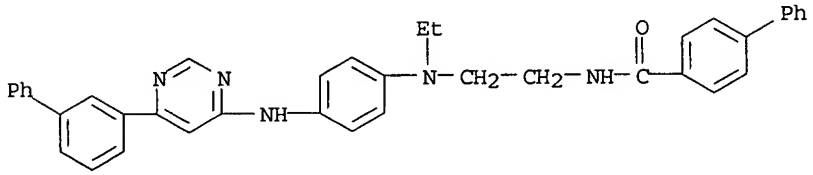
RN 397850-97-4 HCAPLUS
CN Benzeneacetamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-α-chloro- (9CI) (CA INDEX NAME)



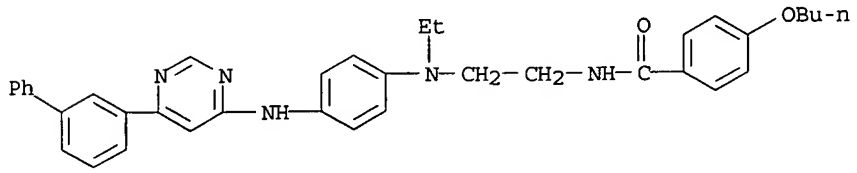
RN 397850-98-5 HCAPLUS
CN 3-Pyridinecarboxamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-6-nitro- (9CI) (CA INDEX NAME)



RN 397850-99-6 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]- (9CI) (CA INDEX NAME)

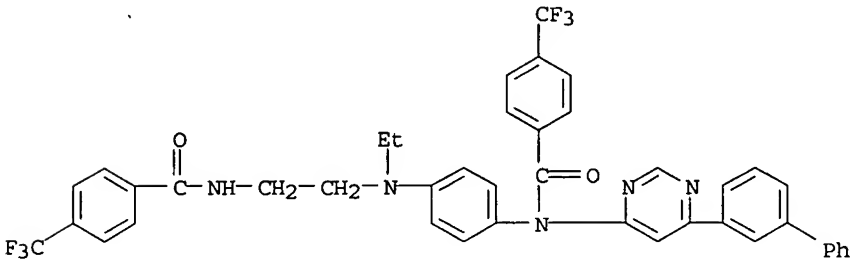


RN 397851-00-2 HCAPLUS
CN Benzamide, N-[2-[[4-[(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)amino]phenyl]ethylamino]ethyl]-4-butoxy- (9CI) (CA INDEX NAME)

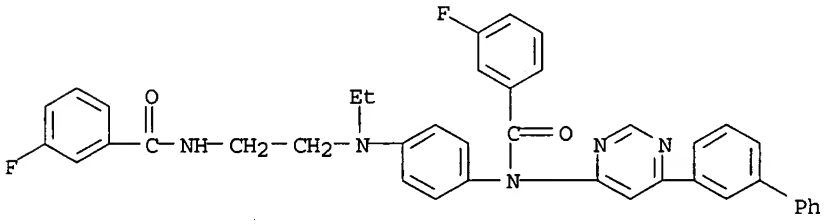


RN 397851-01-3 HCAPLUS

CN Benzamide, N-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[4-[ethyl[2-[[4-(trifluoromethyl)benzoyl]amino]ethyl]amino]phenyl]-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)



RN 397851-02-4 HCAPLUS
CN Benzamide, N-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-[4-[ethyl[2-[(3-fluorobenzoyl)amino]ethyl]amino]phenyl]-3-fluoro- (9CI) (CA INDEX NAME)



L39 ANSWER 32 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:565040 HCAPLUS
DN 135:152817
TI Preparation of pyrido[2,3-d]pyrimidine-2,7-diamine kinase inhibitors for treatment of proliferative disorders
IN Booth, Richard John; Dobrusin, Ellen Myra; Josyula, Vara Prasad Venkata Nagendra; McNamara, Dennis Joseph; Toogood, Peter Laurence
PA Warner-Lambert Company, USA
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2001055147	A1	20010802	2001WO-IB00069	20010123 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA---2397961	AA	20010802	2001CA-2397961	20010123 <--
EP---1254137	A1	20021106	2001EP-0900591	20010123 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR2001007751	A	20021112	2001BR-0007751	20010123 <--
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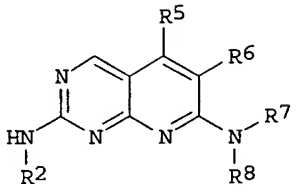
	BG----106850	A	20030228	2002BG-0106850	20020620 <--
	ZA2002005879	A	20030929	2002ZA-0005879	20020723 <--
	NO2002003527	A	20020910	2002NO-0003527	20020724 <--
	US2003073668	A1	20030417	2002US-0182178	20020724 <--
	US---7053070	B2	20060530		
PRAI	2000US-178261P	P	20000125	<--	
	2001WO-IB00069	W	20010123	<--	

OS MARPAT 135:152817

GI



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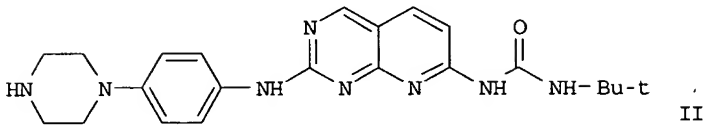


II

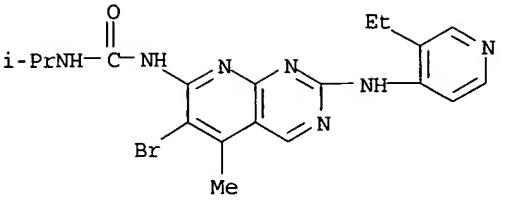
AB Title compds. (I) [wherein R2, R7, R13, R14, and R15 = independently H, or (un)substituted alkyl, alkenyl, alkynyl, or (CH2)nR12; R5 = halo, CN, NO2, R9, NR9R10, or OR9; R6 = halo, CN, NO2, R9, NR9R10, OR9, CO2R9, COR9, CONR9R10, NR9COR10, or (un)substituted alkenyl or alkynyl; R8 = CO2R13, COR13, CONR13R14, CSNR13R14, C(NR13)NR14R15, SO3R13, SO2R13, SO2NR13R14, PO3R13R14, POR13R14, or PO(NR13R14)2; R9 and R10 = independently H or (un)substituted alkyl; R11 = heteroaryl or heterocyclic group; R12 = cycloalkyl, heterocyclic, or (hetero)aryl group; n = 0-3; and pharmaceutically acceptable salts, esters, amides, and prodrugs thereof] were prepared and formulated as cyclin dependent kinase (cdk) and growth factor-mediated tyrosine kinase inhibitors. For example, the 2-methylsulfinyl group of 2-methanesulfinylpyrido[2,3-d]pyrimidin-7-ylamine was displaced by 4-(4-aminophenyl)piperazine-1-carboxylic acid tert-Bu ester (multi-step preparation of starting materials given) by refluxing in DMSO (36%). The pyrido[2,3-d]pyrimidin-7-amine was converted to the urea by reaction with tert-Bu isocyanate (67.9%) and the piperazine deprotected using HCl/dioxane (93.4%) to afford II•2.1HCl. The latter inhibited the cyclin dependent kinases cdk1/B, cdk2/A, cdk2/E, and cdk4D with IC50 values of 0.219 μM, 0.060 μM, 0.130 μM, and 0.006 μM, resp. In addition, II•2.1HCl inhibited the growth factor receptor tyrosine kinases PDGF-β and FGF-1 by 94.4% and 93.7%, resp., at 50 μM. I are useful for treating cell proliferative disorders, such as cancer and restenosis (no data).

IT **352329-42-1**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (formulation component; preparation of pyrido[2,3-d]pyrimidine-2,7-diamines kinase inhibitors by cyclization of 3-[2-(methylsulfinyl)-4-aminopyrimidin-5-yl]acrylates or [2,4-diaminopyrimidine-5-yl]ketones)

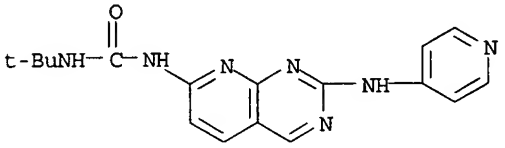
RN 352329-42-1 HCAPLUS

CN Urea, N-[6-bromo-2-[(3-ethyl-4-pyridinyl)amino]-5-methylpyrido[2,3-d]pyrimidin-7-yl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

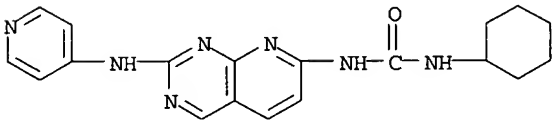


IT **352328-17-7P 352328-18-8P 352328-19-9P**
352328-21-3P 352328-22-4P 352328-23-5P
352328-24-6P 352328-25-7P 352328-26-8P
352338-85-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrido[2,3-d]pyrimidine-2,7-diamines kinase inhibitors by cyclization of 3-[2-(methylsulfinyl)-4-aminopyrimidin-5-yl]acrylates or [2,4-diaminopyrimidine-5-yl]ketones)

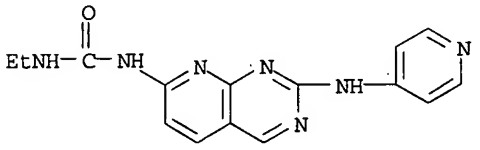
RN 352328-17-7 HCAPLUS
CN Urea, N-(1,1-dimethylethyl)-N'-[2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



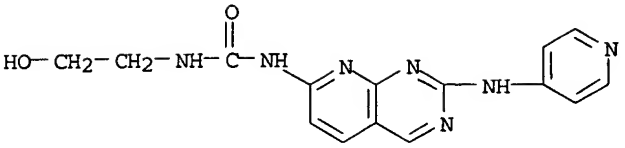
RN 352328-18-8 HCAPLUS
CN Urea, N-cyclohexyl-N'-[2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



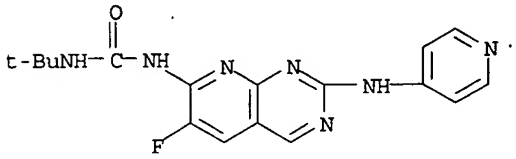
RN 352328-19-9 HCAPLUS
CN Urea, N-ethyl-N'-[2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



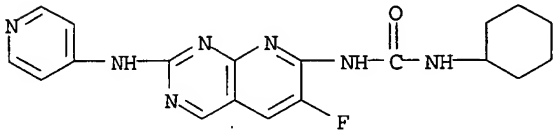
RN 352328-21-3 HCAPLUS
CN Urea, N-(2-hydroxyethyl)-N'-[2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



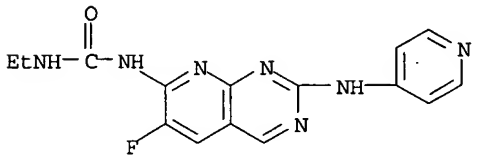
RN 352328-22-4 HCAPLUS
CN Urea, N-(1,1-dimethylethyl)-N'-[6-fluoro-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



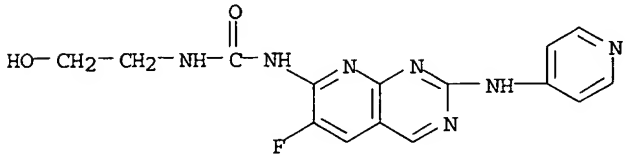
RN 352328-23-5 HCAPLUS
CN Urea, N-cyclohexyl-N'-[6-fluoro-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



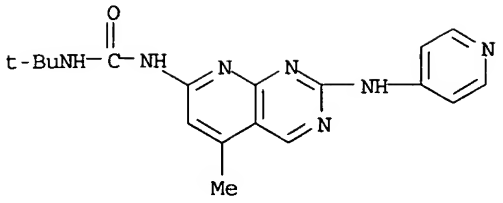
RN 352328-24-6 HCAPLUS
CN Urea, N-ethyl-N'-[6-fluoro-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



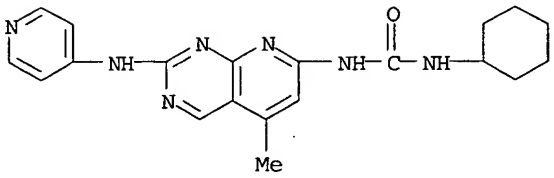
RN 352328-25-7 HCAPLUS
CN Urea, N-[6-fluoro-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]-N'-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 352328-26-8 HCAPLUS
CN Urea, N-(1,1-dimethylethyl)-N'-[5-methyl-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



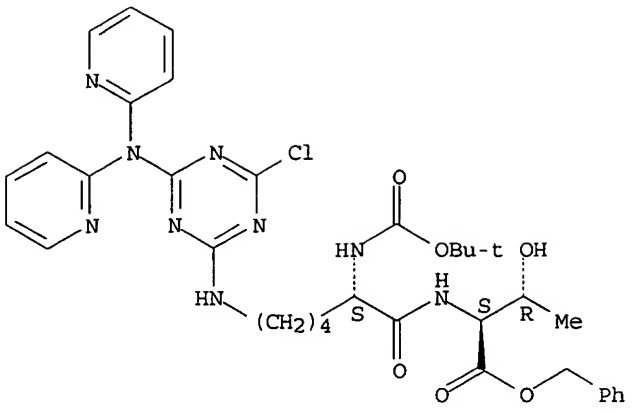
RN 352338-85-3 HCAPLUS
CN Urea, N-cyclohexyl-N'-[5-methyl-2-(4-pyridinylamino)pyrido[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

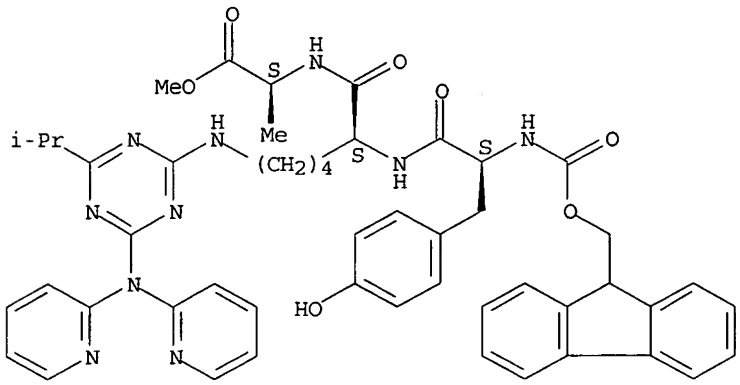
L39 ANSWER 33 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:343384 HCAPLUS
DN 135:92847
TI Triazine conjugates for multiple site-specific labeling of peptides
AU Zerkowski, Jonathan A.; Scheftner, Douglas A.
CS Department of Chemistry, Loyola University Chicago, Chicago, IL, 60626, USA
SO Protein and Peptide Letters (2001), 8(2), 123-129
CODEN: PPELEN; ISSN: 0929-8665
PB Bentham Science Publishers
DT Journal
LA English
AB Mono- and di-substituted triazines were appended to the side chain of lysine or ornithine, which was then used in the synthesis of peptides. In this way, environment-sensitive "reporter" groups can be included in a sequence-specific manner in a peptide. The triazine adducts are stable to standard conditions employed in peptide synthesis. Several model peptides showing the utility of these conjugates were prepared
IT **348603-44-1P 348603-49-6P 348603-53-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(triazine conjugates for multiple site-specific labeling of peptides)
RN 348603-44-1 HCAPLUS
CN L-Threonine, N6-[4-chloro-6-(di-2-pyridinylamino)-1,3,5-triazin-2-yl]-N2-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348603-49-6 HCAPLUS
CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-tyrosyl-N6-[4-(di-2-pyridinylamino)-6-(1-methylethyl)-1,3,5-triazin-2-yl]-L-lysyl-, methyl ester (9CI) (CA INDEX NAME)

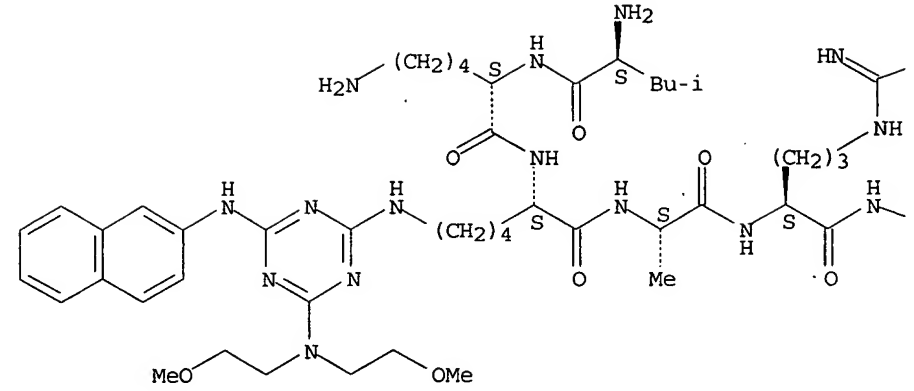
Absolute stereochemistry.



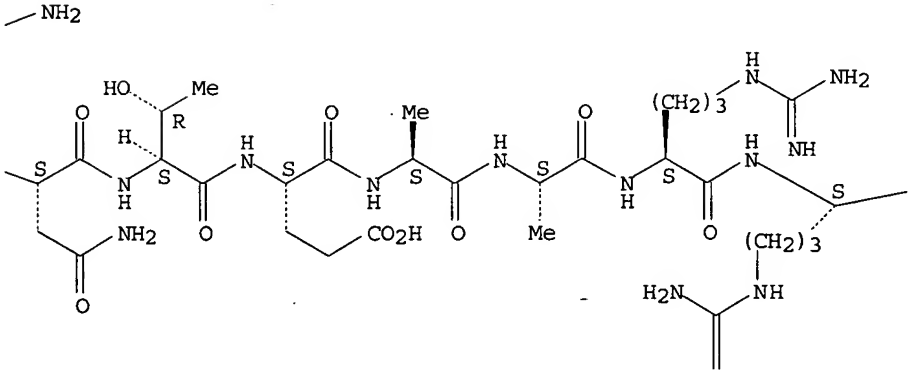
RN 348603-53-2 HCAPLUS
CN L-Leucinamide, L-leucyl-L-lysyl-N6-[4-[bis(2-methoxyethyl)amino]-6-(2-naphthalenylamino)-1,3,5-triazin-2-yl]-L-lysyl-L-alanyl-L-arginyl-L-asparaginyl-L-threonyl-L-α-glutamyl-L-alanyl-L-alanyl-L-arginyl-L-arginyl-L-seryl-L-arginyl-L-alanyl-N5-[4-(di-2-pyridinylamino)-6-[4-(2-pyridinyl)-1-piperazinyl]-1,3,5-triazin-2-yl]-L-ornithyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

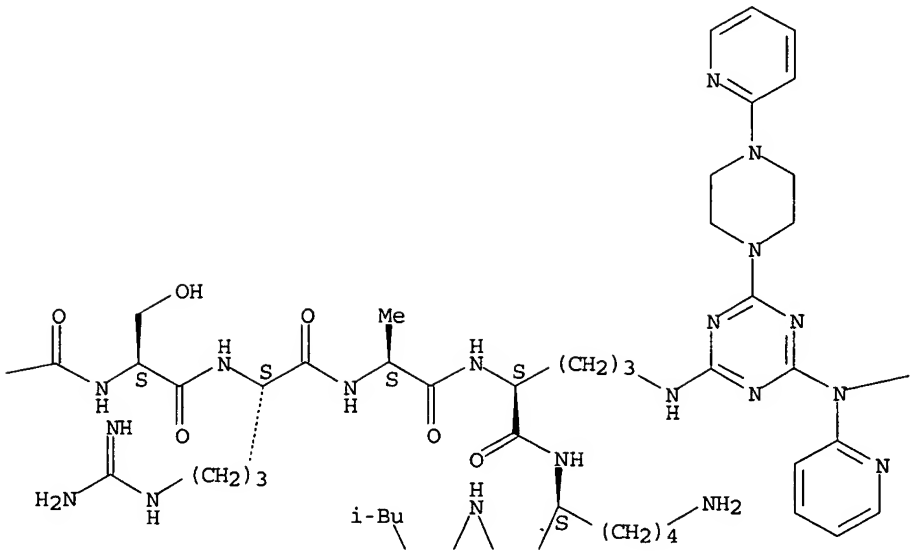
PAGE 1-A



PAGE 1-B



PAGE 1-C



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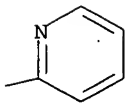
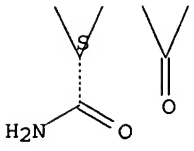


PAGE 2-B

PAGE 2-C

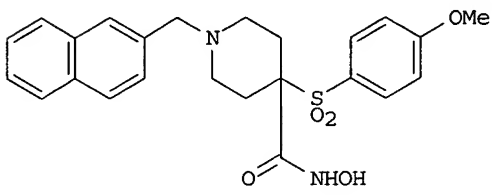


RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 34 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:161507 HCAPLUS
DN 134:207720
TI N-Hydroxy-2-(alkyl, aryl, or heteroaryl sulfanyl, sulfinyl, or sulfonyl)-3-substituted alkyl, aryl, or heteroaryl amides as matrix metalloproteinase inhibitors
IN Venkatesan, Aranapakam Mudumbai; Grosu, George Theodore; Davis, Jamie Marie; Baker, Jannie Lea; Levin, Jeremy Ian
PA American Cyanamid Company, USA
SO U.S., 72 pp., Cont.-in-part of U.S. Ser. No. 26,372, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---6197791	B1	20010306	1998US-0140504	19980826 <--
	US---6331563	B1	20011218	2000US-0587560	20000605 <--
	US---6288086	B1	20010911	2000US-0593918	20000614 <--
	US2002032186	A1	20020314	2001US-0898604	20010703 <--
	US---6441023	B2	20020827		
	US2002006922	A1	20020117	2001US-0899641	20010705 <--
	US---6462073	B2	20021008		
	US2002188120	A1	20021212	2002US-0185080	20020628 <--
PRAI	1997US-038899P	P	19970227 <--		
	1998US-0026372	B2	19980219 <--		
	1998US-0140504	A3	19980826 <--		
	2000US-0587457	A1	20000605 <--		
	2000US-0587560	XX	20000605 <--		
	2000US-0593918	A3	20000614 <--		

OS MARPAT 134:207720
GI



I

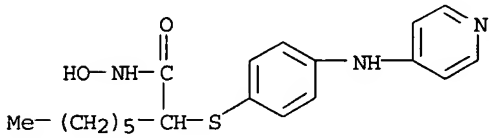
AB The invention provides low-mol.-weight, non-peptide inhibitors of matrix metalloproteinases (MMPs) and TNF-α converting enzyme (TACE), useful for the treatment of a wide variety of related conditions, including arthritis, tumor metastasis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, diabetes (insulin resistance), and HIV infection. The compds. have formula R1AC(R2R3)CON(OH)R4 [wherein R1 = (un)substituted alk(en/yn)yl, aryl, cycloalkyl, heterocyclyl, heteroaryl, or heteroarylalkyl; A = S, SO, or SO2; R2 and R3 form a 6-membered heterocyclic ring containing substituted N; R4 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, (bi)cycloalkyl, or heterocyclyl; or salts]. For example, (2-naphthylmethyl)bis(2-chloroethyl)amine (prepared in 2 steps) was cyclized with 4-MeOC6H4SO2CH2CO2Et to give a piperidine derivative (52%), followed by saponification of the ester to the acid (36%) and amidation with NH2OH.HCl (31%), to give title compound I. This compound gave the following inhibitions (IC50, nM): MMP-1 368, MMP-9 5.0, MMP-13 1.6, and TACE 170.7 (in vitro).

IT **212768-33-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted N-hydroxyamides as matrix metalloproteinase inhibitors)

RN 212768-33-7 HCAPLUS

CN Octanamide, N-hydroxy-2-[[4-(4-pyridinylamino)phenyl]thio]- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 35 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:27435 HCAPLUS

DN 134:100760

TI N-Hydroxy-2-(alkyl, aryl or heteroaryl sulfanyl, sulfinyl or sulfonyl)-3-substituted alkyl, aryl or heteroaryl amides as matrix metalloproteinase inhibitors

IN Venkatesan, Aranapakam Mudumbai; Grosu, George Theodore; Davis, Jamie Marie; Hu, Baihua; Cole, Derek Cecil; Baker, Jannie Lea; Jacobson, Marcy Pamela; O'dell, Matthew Robin

PA American Cyanamid Company, USA

SO U.S., 58 pp.
CODEN: USXXAM

DT Patent

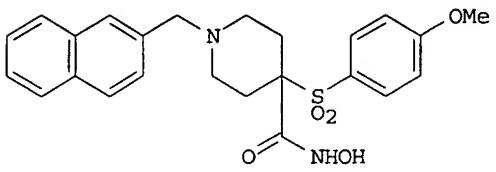
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---6172057	B1	20010109	1998US-0026371	19980219 <--
	US---6342508	B1	20020129	2000US-0640532	20000817 <--
	US---6444704	B1	20020903	2000US-0640531	20000817 <--
	US2002032186	A1	20020314	2001US-0898604	20010703 <--
	US---6441023	B2	20020827		
PRAI	1997US-038899P	P	19970227 <--		
	1998US-0026371	A3	19980219 <--		
	2000US-0587560	XX	20000605 <--		

OS MARPAT 134:100760

GI



I

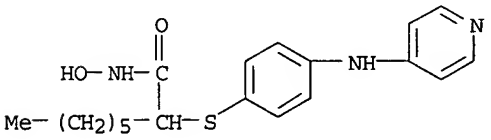
AB The invention provides low-mol.-weight, non-peptide inhibitors of matrix metalloproteinases (MMPs) and TNF-α converting enzyme (TACE), useful for the treatment of a wide variety of related conditions, including arthritis, tumor metastasis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, diabetes (insulin resistance), and HIV infection. The compds. have formula R1AC(R2R3)CON(OH)R4 [wherein R1 = (un)substituted alk(en/yn)yl, aryl, cycloalkyl, heterocyclyl, heteroaryl, or heteroarylalkyl; A = S, SO, or SO2; R2 and R3 = (un)subsituted alkyl, alk(en/yn)yl, arylalkyl, biphenylalkyl, (bi)cycloalkylalkyl, or form 5- to

7-membered heterocyclic ring containing O, S, or (un)substituted NH; R4 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, (bi)cycloalkyl, or heterocyclyl; or salts]. For example, (2-naphthylmethyl)bis(2-chloroethyl)amine (prepared in 2 steps) was cyclized with 4-MeOC6H4SO2CH2CO2Et to give a piperidine derivative (52%), followed by saponification of the ester to the acid (36%) and amidation with NH2OH.HCl (31%), to give title compound I. This compound gave the following inhibitions (IC50, nM): MMP-1 368, MMP-9 5.0, MMP-13 1.6, and TACE 170.7 (in vitro).

IT **212768-33-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted N-hydroxyamides as matrix metalloproteinase inhibitors)

RN 212768-33-7 HCAPLUS

CN Octanamide, N-hydroxy-2-[[4-(4-pyridinylamino)phenyl]thio]- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 36 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:646004 HCAPLUS

DN 133:238016

TI Preparation of pyrimidinamines as anti-cancer agents

IN Breault, Gloria Anne; James, Stewart Russell; Pease, Jane Elizabeth

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2000053595	A1	20000914	2000WO-GB00737	20000302 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA---2366668	AA	20000914	2000CA-2366668	20000302 <--
AU2000028187	A5	20000928	2000AU-0028187	20000302 <--
AU----754967	B2	20021128		
EP---1161428	A1	20011212	2000EP-0906531	20000302 <--
EP---1161428	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR2000008770	A	20020108	2000BR-0008770	20000302 <--
JP2002539120	T2	20021119	2000JP-0604033	20000302 <--
AT----241617	E	20030615	2000AT-0906531	20000302 <--
PT---1161428	T	20031031	2000PT-0906531	20000302 <--
ES---2200824	T3	20040316	2000ES-0906531	20000302 <--
NZ----513893	A	20040326	2000NZ-0513893	20000302 <--
ZA2001007252	A	20021202	2001ZA-0007252	20010831 <--
NO2001004317	A	20011101	2001NO-0004317	20010905 <--

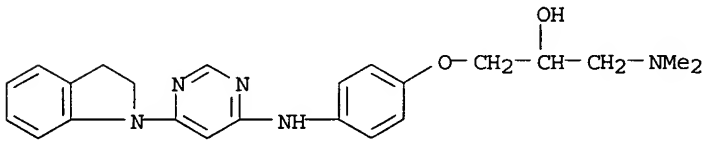
	NO----320909	B1	20060213		
	US---6716831	B1	20040406	2001US-0914788	20011115 <--
PRAI	1999GB-0005075	A	19990306 <--		
	2000WO-GB00737	W	20000302 <--		
OS	MARPAT 133:238016				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

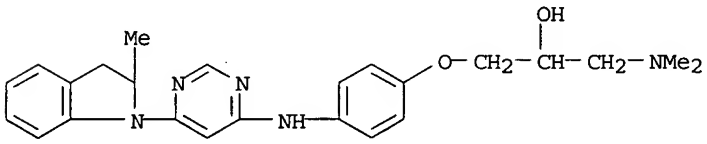
AB The title compds. [I or II; R1 = H, halo, OH, etc.; Q1 = (un)substituted Ph; Q1 bears X(CH2)nCY1Y2(CH2)mZ (wherein X = CH2, O, NH, etc.; Y1 = H, alkyl, Z; Y2 = H, alkyl; Z = RaO, RbRcN, RdS, etc.; Ra-Rd = H, alkyl, alkenyl, etc.; n, m = 1-3); NQ2 = (un)substituted heterocyclic moiety containing one N atom and optionally containing a further heteroatom] and their pharmaceutically acceptable salts, useful as anti-cancer agents, were prepared E.g., a multi-step synthesis of the pyrimidinamine III was given. CDK4 and FAK inhibitory activity of compds. I and II was tested.

IT **293292-21-4P 293292-22-5P 293292-37-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinamines as anti-cancer agents)

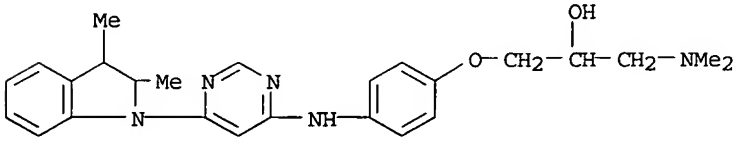
RN 293292-21-4 HCAPLUS
CN 2-Propanol, 1-[4-[[6-(2,3-dihydro-1H-indol-1-yl)-4-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)- (9CI) (CA INDEX NAME)



RN 293292-22-5 HCAPLUS
CN 2-Propanol, 1-[4-[[6-(2,3-dihydro-2-methyl-1H-indol-1-yl)-4-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)- (9CI) (CA INDEX NAME)



RN 293292-37-2 HCAPLUS
CN 2-Propanol, 1-[4-[[6-(2,3-dihydro-2,3-dimethyl-1H-indol-1-yl)-4-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

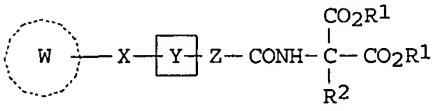


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 37 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:191055 HCAPLUS
DN 132:222544
TI Preparation of malonic diester derivatives as cell adhesion inhibitors and process for producing the same
IN Kono, Yasushi; Nomura, Masahiro; Sawada, Takayuki; Ando, Naoki; Takahashi, Yukie; Kuriyama, Kazuhiko
PA Kyorin Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2000015604	A1	20000323	1999WO-JP04914	19990910 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU---9956486	A1	20000403	1999AU-0056486	19990910 <--
PRAI 1998JP-0258840	A	19980911 <--		
1999WO-JP04914	W	19990910 <--		

OS MARPAT 132:222544
GI

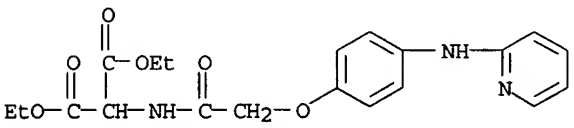


I

AB Described are malonic diesters derivs. represented by general formula [I; W = (un)substituted benzene, pyridine, quinoline, benzothiazole, pyrimidine, quinazoline, thienopyrimidine, or benzimidazole; X = NH, CONH; Y = (un)substituted benzene, naphthalene, pyridine, chroman, or 1,3-thiazole; Z = CH:CH, OCH2, OCMe2, NHCOCH2CH2, or (CH2)n; wherein n = 03; R1 = C1-4 lower alkyl; R2 = H, C1-4 lower alkyl or alkoxycarbonyl] and pharmacol. acceptable salts thereof being capable of preventing ICAM-1 and VCAM-1, which play the major roles among cell adhesion mols., from binding to leukocytes; and cell adhesion inhibitors containing as the active ingredient at least one of the above compds. and serving as excellent immunosuppressants, anti-inflammatory agents, antiallergic agents and tumor metastasis inhibitors. Thus, 2-[[4-(benzothiazol-2-ylamino)benzoyl]amino]acetic acid di-Et ester was condensed with aminomalonic acid di-Et ester using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride hydrochloride in the presence of 4-dimethylaminopyridine and Et3N in DMF at room temperature for 18 h to give 2-{2-[[4-(benzothiazol-2-ylamino)benzoyl]amino]acetamido}malonic acid di-Et ester. 2-[2-[4-(Benzothiazol-2-ylamino)-2-methoxyphenoxy]acetamido]malonic acid di-Et ester inhibited by 100% the binding of U937 cells to human umbilical vein endothelial cells (HUVEC) which was treated with human interleukin 1β to induce the expression of ICAM-1.

IT **261348-66-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of malonic diester derivs. as cell adhesion inhibitors)
RN 261348-66-7 HCAPLUS
CN Propanedioic acid, [[[4-(2-pyridinylamino)phenoxy]acetyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 38 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:764041 HCAPLUS
DN 132:22971
TI Preparation of oxopyrido- and -pyrimidopyrimidines as cellular proliferation inhibitors
IN Dobrusin, Ellen Myra; Hamby, James Marino; Kramer, James Bernard; Schroeder, Mel Conrad; Showalter, Howard Daniel Hollis; Toogood, Peter; Trumpp-Kallmeyer, Susanne A.
PA Warner-Lambert Co., USA
SO PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9961444	A2	19991202	1999WO-US10187	19990510 <--
	WO---9961444	A3	20000203		
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA---2329703	AA	19991202	1999CA-2329703	19990510 <--
	CA---2329703	C	20051220		
	AU---9940734	A1	19991213	1999AU-0040734	19990510 <--
	AU----763839	B2	20030731		
	BR---9911590	A	20010213	1999BR-0011590	19990510 <--
	EP---1080092	A2	20010307	1999EP-0924165	19990510 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR-200003429	T2	20010723	TR 2000-200003429	19990510 <--
	JP2002516327	T2	20020604	2000JP-0550849	19990510 <--
	EE-200000706	A	20020617	2000EE-0000706	19990510 <--
	NZ----508268	A	20040227	1999NZ-0508268	19990510 <--
	ZA2000006536	A	20020211	2000ZA-0006536	20001110 <--
	BG----104960	A	20011031	2000BG-0104960	20001117 <--
	HR2000000799	A1	20010630	2000HR-0000799	20001120 <--
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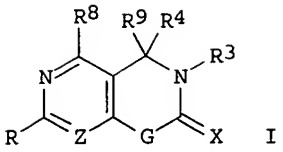
	HK---1039483	A1	20040618	2001HK-0107828	20011108 <--
	US2004044012	A1	20040304	2003US-0638848	20030811 <--
PRAI	1998US-086708P	P	19980526 <--		
	1999US-126158P	P	19990325 <--		
	1999WO-US10187	W	19990510 <--		
	2000US-0623737	A3	20000907 <--		

OS MARPAT 132:22971
GI



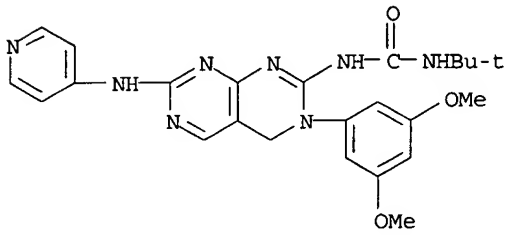
I

AB Title compds. [I; G = NR2 or CHR2; R = NHR1 or SO0-2R1; R1,R2 = H, (cyclo)alkyl, (un)substituted PH, -pyridyl, etc.; R3 = groups cited for R1, OH, alkoxy(carbonyl), etc.; R4 = H; R3R4 = bond; R8,R9 = H, halo, NH2, alkoxycarbonyl, etc.; X = O, S, (alkyl)imino, etc.; Z =N or CH] were prepared as cyclin-dependant and tyrosine kinase inhibitors. Thus, 5-aminomethyl-4-cyclopentylamino-2-methylthiopyrimidine (preparation given) was cyclocondensed with 1,1'-carbonyldiimidazole and the oxidized product aminated by 4-(MeO)C6H4NH2 to give I [G = cyclopentylimino, R = 4-(MeO)C6H4NH, R3 = R4 = R8 = R9 = H, X = O]. Data for biol. activity of I were given.

IT **251370-45-3P 251370-46-4P 251370-47-5P 251370-48-6P 251370-62-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic pyrimidines and bicyclic 3,4-dihydropyrimidines as inhibitors of cellular proliferation)

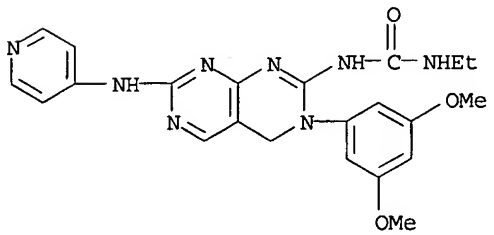
RN 251370-45-3 HCAPLUS

CN Urea, N-[3-(3,5-dimethoxyphenyl)-3,4-dihydro-7-(4-pyridinylamino)pyrimido[4,5-d]pyrimidin-2-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



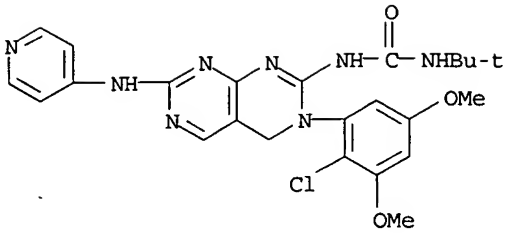
RN 251370-46-4 HCAPLUS

CN Urea, N-[3-(3,5-dimethoxyphenyl)-3,4-dihydro-7-(4-pyridinylamino)pyrimido[4,5-d]pyrimidin-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)

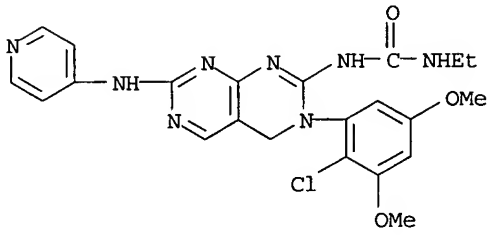


RN 251370-47-5 HCAPLUS

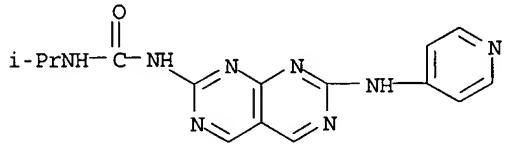
CN Urea, N-[3-(2-chloro-3,5-dimethoxyphenyl)-3,4-dihydro-7-(4-pyridinylamino)pyrimido[4,5-d]pyrimidin-2-yl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 251370-48-6 HCAPLUS
CN Urea, N-[3-(2-chloro-3,5-dimethoxyphenyl)-3,4-dihydro-7-(4-pyridinylamino)pyrimido[4,5-d]pyrimidin-2-yl]-N'-ethyl- (9CI) (CA INDEX NAME)



RN 251370-62-4 HCAPLUS
CN Urea, N-(1-methylethyl)-N'-[7-(4-pyridinylamino)pyrimido[4,5-d]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



L39 ANSWER 39 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:549247 HCAPLUS
DN 131:184865
TI Preparation of N-hydroxycarboxamides as matrix metalloproteinase inhibitors
IN Venkatesan, Aranapakam Mudumbai; Grosu, George Theodore; Davis, Jamie Marie; Baker, Jannie Lea; Levin, Jeremy Ian
PA American Cyanamid Company, USA
SO PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9942436	A1	19990826	1998WO-US17633	19980826 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,				

	CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA---2320469	AA	19990826	1998CA-2320469	19980826 <--
	AU---9891201	A1	19990906	1998AU-0091201	19980826 <--
	AU----757719	B2	20030306		
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	EP---1054858	A1	20001129	1998EP-0943392	19980826 <--
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	TR-200002423	T2	20010122	TR 2000-200002423	19980826 <--
	JP2002503717	T2	20020205	2000JP-0532389	19980826 <--
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	BG----104782	A	20010831	2000BG-0104782	20000919 <--
	US2002032186	A1	20020314	2001US-0898604	20010703 <--
	US---6441023	B2	20020827		
PRAI	1998US-0026372	A	19980219 <--		
	1998WO-US17633	W	19980826 <--		
	2000US-0587560	XX	20000605 <--		

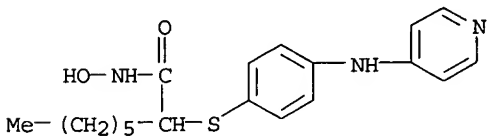
OS MARPAT 131:184865

AB R1ZCR2R3CONR4OH [I; R1 = alk(en)yl, aryl, heteroaryl(alkyl), etc.; R2R3 = atoms to complete an (un)substituted heterocyclic ring; R4 = H, alkyl, Ph, etc.; Z = SO0-2] were prepared Thus, PhCH2N(CH2CH2Cl)2 was cyclocondensed with 4-(MeO)C6H4CH2CO2Et (preparation each given) and the saponified product amidated by NH2OH to give 1-benzyl-N-hydroxy-4-(4-methoxyphenylsulfonyl)piperidine-4-carboxamide. Data for biol. activity of I were given.

IT **212768-33-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-hydroxycarboxamides as matrix metalloproteinase inhibitors)

RN 212768-33-7 HCAPLUS

CN Octanamide, N-hydroxy-2-[[4-(4-pyridinylamino)phenyl]thio]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 40 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:425745 HCAPLUS

DN 131:87909

TI Inhibition of p38 kinase activity using substituted heterocyclic ureas

IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko

PA Bayer Corporation, USA

SO PCT Int. Appl., 126 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9932111	A1	19990701	1998WO-US26080	19981222 <--

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            KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
            MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
            TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    CA---2315720          AA    19990701    1998CA-2315720          19981222 <--
    AU---9919971          A1    19990712    1999AU-0019971          19981222 <--
    AU----739642          B2    20011018
    EP---1041982          A1    20001011    1998EP-0964709          19981222 <--
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
    JP2001526223          T2    20011218    2000JP-0525102          19981222 <--
PRAI 1997US-0995750       A     19971222  <--
    1998WO-US26080        W     19981222  <--
OS  MARPAT 131:87909
GI
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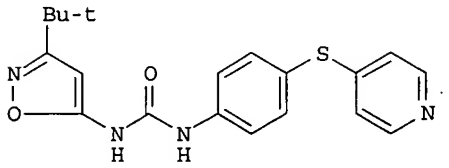


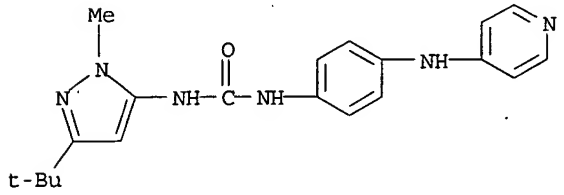
II

AB A method for treatment of p38-mediated disease other than cancer comprises administration of ANHCONHB [I; A = substituted isoxazolyl, pyrazolyl, thienyl, furyl; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing ≥1 5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 4-(4-pyridinylthio)aniline with 3-tert-butyl-5-isoxazolyl isocyanate in toluene gave title compound II. In an in vitro p38 kinase assay, I displayed IC50 values of 1-10 μM.

IT **229155-53-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted heterocyclic ureas for treatment of p38 kinase-mediated diseases other than cancer)

RN 229155-53-7 HCAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[4-(4-pyridinylamino)phenyl]- (9CI) (CA INDEX NAME)



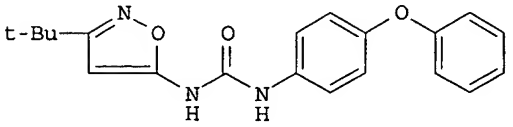
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 41 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:425740 HCAPLUS
DN 131:73648
TI Inhibition of raf kinase using substituted heterocyclic ureas

IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko
PA Bayer Corporation, USA
SO PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9932106	A1	19990701	1998WO-US26078	19981222 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA---2315717	AA	19990701	1998CA-2315717	19981222 <--
	AU---9921989	A1	19990712	1999AU-0021989	19981222 <--
	EP---1047418	A1	20001102	1998EP-0965981	19981222 <--
	EP---1047418	B1	20050727		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR-200002618	T2	20010420	TR 2000-200002618	19981222 <--
	JP2001526220	T2	20011218	2000JP-0525097	19981222 <--
	BR---9814374	A	20020514	1998BR-0014374	19981222 <--
	RU---2232015	C2	20040710	2000RU-0120184	19981222 <--
	CN---1544420	A	20041110	CN 2004-10028655	19981222 <--
	AT----300299	E	20050815	1998AT-0965981	19981222 <--
	ES---2153340	T3	20060201	1998ES-0965981	19981222 <--
	NO2000003232	A	20000821	2000NO-0003232	20000621 <--
	IN----193672	A	20040731	2000IN-MN00153	20000704 <--
	BG----104597	A	20010228	2000BG-0104597	20000712 <--
	HK---1029052	A1	20051118	2000HK-0107684	20001130 <--
PRAI	1997US-0996343	A	19971222 <--		
	1998WO-US26078	W	19981222 <--		

OS MARPAT 131:73648
GI

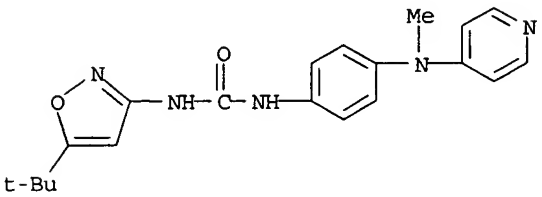


II

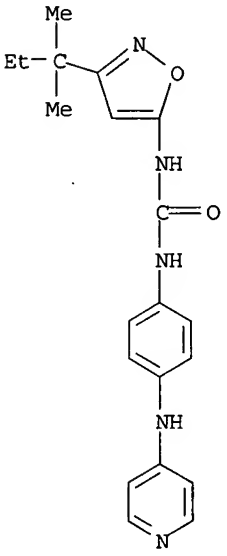
AB A method for treatment of cancerous cell growth mediated by raf kinase comprises administration of urea derivs. ANHCONHB [I; A = substituted isoxazolyl, thienyl, thiadiazolyl, furyl, pyrazolyl, etc.; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing ≥1 5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 4-phenyloxyphenyl isocyanate with 5-amino-3-tert-butylisoxazole in methylene chloride and heating at reflux temperature for 2 days gave title compound II. In an in vitro raf kinase assay, I displayed IC50 values of 1-10 μM.

IT **229000-35-5P 229001-43-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted heterocyclic ureas for treatment of cancerous cell growth mediated by raf kinase)

RN 229000-35-5 HCAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[4-(methyl-4-pyridinylamino)phenyl]- (9CI) (CA INDEX NAME)



RN 229001-43-8 HCAPLUS
CN Urea, N-[3-(1,1-dimethylpropyl)-5-isoxazolyl]-N'-[4-(4-pyridinylamino)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 42 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:608598 HCAPLUS
DN 129:230641
TI N-Hydroxy-2-(alkyl, aryl or heteroaryl sulfanyl, sulfinyl or sulfonyl)-3-substituted alkyl, aryl or heteroaryl amides as matrix metalloproteinase inhibitors
IN Venkatesan, Mudumbai Aranapakam; Grosu, George Theodore; Davis, Jamie Marie; Hu, Baihua; O'Dell, Mathew James; Cole, Derek Cecil; Baker, Jannie Lea; Jacobson, Marcy Pamela
PA American Cyanamid Co., USA
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO---9838163	A1	19980903	1998WO-US03291	19980217 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ,
VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG

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AU---9864368	A1	19980918	1998AU-0064368	19980217	<--
AU----748998	B2	20020613			
EP----970046	A1	20000112	1998EP-0910022	19980217	<--
EP----970046	B1	20031210			
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BR---9807803	A	20000222	1998BR-0007803	19980217	<--
EE---9900369	A	20000417	1999EE-0000369	19980217	<--
EE------4150	B1	20031015			
JP2001513771	T2	20010904	1998JP-0537724	19980217	<--
NZ----337298	A	20021126	1998NZ-0337298	19980217	<--
AT----256107	E	20031215	1998AT-0910022	19980217	<--
PT----970046	T	20040430	1998PT-0910022	19980217	<--
ES---2212274	T3	20040716	1998ES-0910022	19980217	<--
PT----973512	T	20040730	1998PT-0906468	19980217	<--
ES---2217540	T3	20041101	1998ES-0906468	19980217	<--
ZA---9801625	A	19990826	1998ZA-0001625	19980226	<--
ZA---9801628	A	20000228	1998ZA-0001628	19980226	<--
TW----568900	B	20040101	TW 1998-87102853	19980317	<--
MX---9907862	A	20000630	1999MX-0007862	19990825	<--
NO---9904124	A	19991026	1999NO-0004124	19990826	<--
NO----314258	B1	20030224			
PRAI 1997US-0806728	A	19970227	<--		
1998WO-US03291	W	19980217	<--		

OS MARPAT 129:230641

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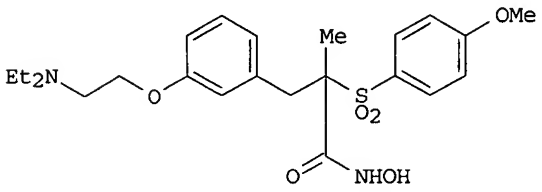


I

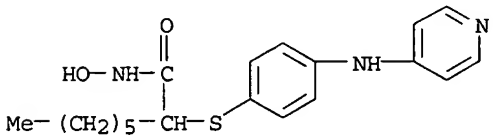
AB The invention provides low-mol.-weight, non-peptide inhibitors of matrix metalloproteinases (MMPs) and TNF-α converting enzyme (TACE), useful for the treatment of a wide variety of related conditions, including arthritis, tumor metastasis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, diabetes (insulin resistance), and HIV infection. The compds. have formula R1AC(R2R3)CON(OH)R4 [wherein R1 = (un)substituted alk(en/yn)yl, aryl, cycloalkyl, heterocyclyl, heteroaryl, or heteroarylalkyl; A = S, SO, or SO2; R2, R3 = H, (un)substituted alk(en/yn)yl, aralkyl, biphenylalkyl, arylalkenyl, (bi)cycloalkylalkyl, heterocyclyl, alkoxyaralkyl, heteroaryl, heteroaralkyl, etc.; R4 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, (bi)cycloalkyl, or heterocyclyl; or salts]. For instance, α-alkylation of 4-MeOC6H4SO2CH(Me)CO2Et by 3-(Et2NCH2CH2O)C6H4CH2Cl (93%), followed by saponification of the ester to the acid (88%) and amidation with NH2OH.HCl (21%), gave compound I as the HCl salt. This compound gave the following inhibitions (IC50, nM): MMP-1 297, MMP-9 4.3, and MMP-13 3.6, and 41% inhibition of TACE at 1 μM.

IT **212768-33-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted N-hydroxyamides as matrix metalloproteinase inhibitors)

RN 212768-33-7 HCAPLUS

CN Octanamide, N-hydroxy-2-[[4-(4-pyridinylamino)phenyl]thio]- (9CI) (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 43 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1998:603237 HCAPLUS

DN 129:230639

TI N-Hydroxy-2-(alkyl, aryl or heteroaryl sulfanyl, sulfinyl or sulfonyl)-3-substituted alkyl, aryl or heteroaryl amides as matrix metalloproteinase inhibitors

IN Venkatesan, Aranapakam Mudumbai; Grosu, George Theodore; Davis, Jamie Marie; Baker, Jannie Lea

PA American Cyanamid Co., USA

SO PCT Int. Appl., 176 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO---9837877	A1	19980903	1998WO-US02987	19980217 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU----726204	B2	20001102		
EP----973512	A1	20000126	1998EP-0906468	19980217 <--
EP----973512	B1	20040407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR---9807802	A	20000321	1998BR-0007802	19980217 <--
EE---9900371	A	20000417	1999EE-0000371	19980217 <--
EE------4295	B1	20040615		
JP2001519777	T2	20011023	1998JP-0537706	19980217 <--
AT----263554	E	20040415	1998AT-0906468	19980217 <--
PT----970046	T	20040430	1998PT-0910022	19980217 <--
ES---2212274	T3	20040716	1998ES-0910022	19980217 <--
PT----973512	T	20040730	1998PT-0906468	19980217 <--
ES---2217540	T3	20041101	1998ES-0906468	19980217 <--
ZA---9801625	A	19990826	1998ZA-0001625	19980226 <--
ZA---9801628	A	20000228	1998ZA-0001628	19980226 <--
TW----568900	B	20040101	TW 1998-87102853	19980317 <--
MX---9907868	A	20000630	1999MX-0007868	19990825 <--
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	NO----314302	B1	20030303		
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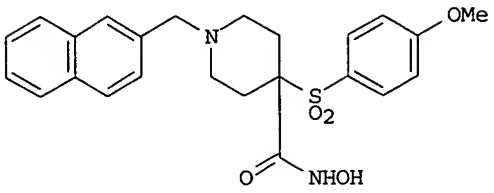
PRAI	1997US-0806728	A	19970227 <--		
	1998WO-US02987	W	19980217 <--		

OS MARPAT 129:230639

GI



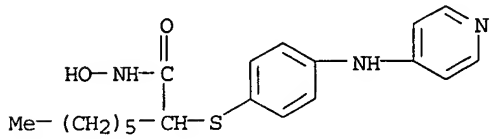
I

AB The invention provides low-mol.-weight, non-peptide inhibitors of matrix metalloproteinases (MMPs) and TNF-α converting enzyme (TACE), useful for the treatment of a wide variety of related conditions, including arthritis, tumor metastasis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, diabetes (insulin resistance), and HIV infection. The compds. have formula R1AC(R2R3)CON(OH)R4 [wherein R1 = (un)substituted alk(en/yn)yl, aryl, cycloalkyl, heterocyclyl, heteroaryl, or heteroarylalkyl; A = S, SO, or SO2; R2 and R3 form 5- to 7-membered heterocyclic ring containing O, S, or (un)substituted NH; R4 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, (bi)cycloalkyl, or heterocyclyl; or salts]. For example, (2-naphthylmethyl)bis(2-chloroethyl)amine (prepared in 2 steps) was cyclized with 4-MeOC6H4SO2CH2CO2Et to give a piperidine derivative (52%), followed by saponification of the ester to the acid (36%) and amidation with NH2OH.HCl (31%), to give title compound I. This compound gave the following inhibitions (IC50, nM): MMP-1 368, MMP-9 5.0, MMP-13 1.6, and TACE 170.7 (in vitro).

IT **212768-33-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted N-hydroxyamides as matrix metalloproteinase inhibitors)

RN 212768-33-7 HCAPLUS

CN Octanamide, N-hydroxy-2-[[4-(4-pyridinylamino)phenyl]thio]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 44 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:485052 HCAPLUS
DN 129:122575
TI Preparation of N-(pyridinylamino)isoindolines and related compounds for treatment of memory dysfunction and depression.
IN Kurys, Barbara E.; Fink, David M.; Freed, Brian S.; Merriman, Gregory H.
PA Hoechst Marion Roussel, Inc., USA
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9829407	A2	19980709	1997WO-US20591	19971113 <--
	WO---9829407	A3	19981022		
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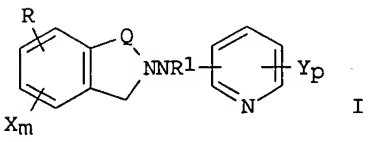
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US---6004977	A	19991221	1997US-0959789	19971029 <--
	AU---9854349	A1	19980731	1998AU-0054349	19971113 <--
	AU----720466	B2	20000601		
	EP----950056	A2	19991020	1997EP-0948250	19971113 <--
	EP----950056	B1	20020918		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN---1242012	A	20000119	1997CN-0181021	19971113 <--
	BR---9714189	A	20000229	1997BR-0014189	19971113 <--
	JP2001511119	T2	20010807	1998JP-0529990	19971113 <--
	AT----224387	E	20021015	1997AT-0948250	19971113 <--
	PT----950056	T	20021231	1997PT-0948250	19971113 <--
	ES---2179377	T3	20030116	1997ES-0948250	19971113 <--
	CA---2276203	C	20030722	1997CA-2276203	19971113 <--
	CA---2276203	AA	19980709		
	ZA---9711520	A	19980629	1997ZA-0011520	19971222 <--
	NO---9903180	A	19990826	1999NO-0003180	19990625 <--
PRAI	1996US-0774308	A	19961227 <--		
	1997US-0959789	A	19971029 <--		
	1997WO-US20591	W	19971113 <--		

OS MARPAT 129:122575

GI



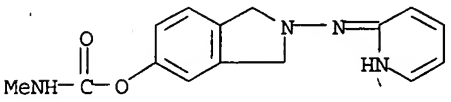
I

AB Title compds. [I; Q = (CH2)n; R = H, R2O, (R3)3Si, R4R5NCO; R2 = H, alkyl, PhCH2; R3 = alkyl; R4, R5 = H, alkyl, PhCH2; R4R5 = tetrahydroisoquinolinyl, pyridinylpiperazinyl; R1 = H, alkyl; X, Y = H, alkyl, halo, OH, alkoxy, CF3; m, p = 1, 2; n = 1-3], were prepared Thus, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl dimethylcarbamate (preparation given) inhibited acetylcholinesterase with IC50 = 0.029 mM.

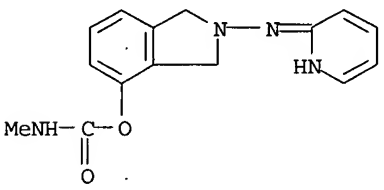
IT **210171-86-1P 210171-95-2P 210172-20-6P**
210172-34-2P 210172-35-3P 210172-47-7P
210172-49-9P 210172-57-9P 210172-61-5P
210172-62-6P 210172-66-0P 210172-73-9P
210172-75-1P 210172-77-3P 210172-82-0P
210172-87-5P 210172-97-7P 210173-03-8P
210173-04-9P 210173-05-0P 210173-06-1P
210173-08-3P 210173-09-4P 210173-11-8P
210173-13-0P 210173-15-2P 210173-21-0P
210173-22-1P 210173-23-2P 210173-24-3P
210173-97-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(pyridinylamino)isoindolines and related compds. for treatment of memory dysfunction and depression)

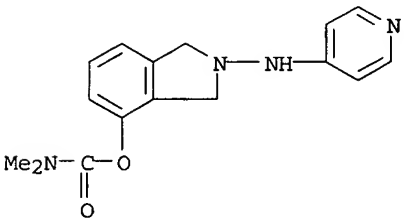
RN 210171-86-1 HCAPLUS
CN 1H-Isoindol-5-ol, 2,3-dihydro-2-(2-pyridinylamino)-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



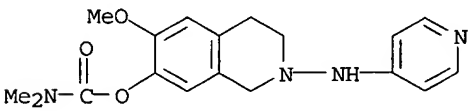
RN 210171-95-2 HCAPLUS
CN 1H-Isoindol-4-ol, 2,3-dihydro-2-(2-pyridinylamino)-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



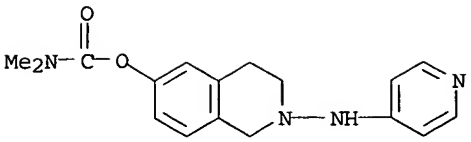
RN 210172-20-6 HCAPLUS
CN Carbamic acid, dimethyl-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester (9CI) (CA INDEX NAME)



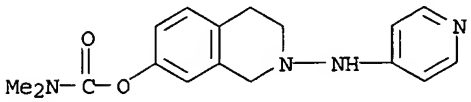
RN 210172-34-2 HCAPLUS
CN Carbamic acid, dimethyl-, 1,2,3,4-tetrahydro-6-methoxy-2-(4-pyridinylamino)-7-isoquinolinyl ester (9CI) (CA INDEX NAME)



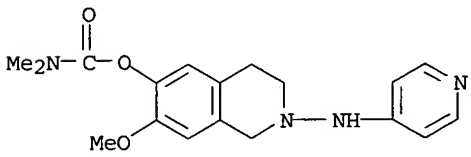
RN 210172-35-3 HCAPLUS
CN Carbamic acid, dimethyl-, 1,2,3,4-tetrahydro-2-(4-pyridinylamino)-6-isoquinolinyl ester (9CI) (CA INDEX NAME)



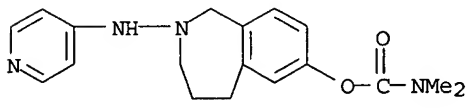
RN 210172-47-7 HCAPLUS
CN Carbamic acid, dimethyl-, 1,2,3,4-tetrahydro-2-(4-pyridinylamino)-7-isoquinolinyl ester (9CI) (CA INDEX NAME)



RN 210172-49-9 HCAPLUS
CN Carbamic acid, dimethyl-, 1,2,3,4-tetrahydro-7-methoxy-2-(4-pyridinylamino)-6-isoquinolinyl ester (9CI) (CA INDEX NAME)

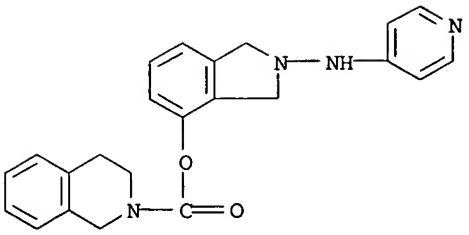


RN 210172-57-9 HCAPLUS
CN Carbamic acid, dimethyl-, 2,3,4,5-tetrahydro-2-(4-pyridinylamino)-1H-2-benzazepin-7-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



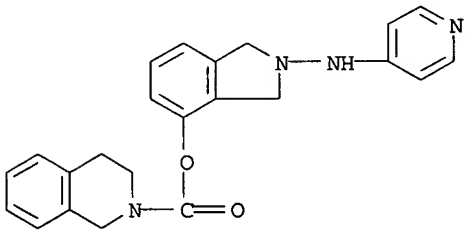
● HCl

RN 210172-61-5 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

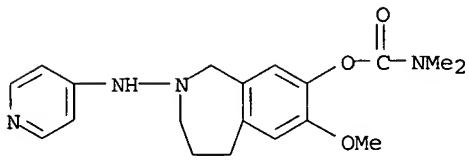


● HCl

RN 210172-62-6 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester (9CI) (CA INDEX NAME)

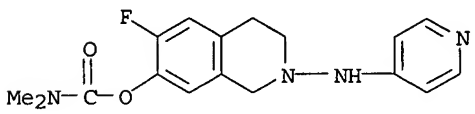


RN 210172-66-0 HCAPLUS
CN Carbamic acid, dimethyl-, 2,3,4,5-tetrahydro-7-methoxy-2-(4-pyridinylamino)-1H-2-benzazepin-8-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

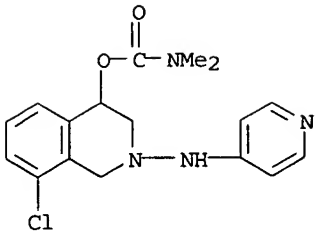


● HCl

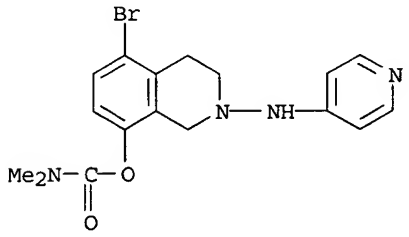
RN 210172-73-9 HCAPLUS
CN Carbamic acid, dimethyl-, 6-fluoro-1,2,3,4-tetrahydro-2-(4-pyridinylamino)-7-isoquinolinyl ester (9CI) (CA INDEX NAME)



RN 210172-75-1 HCAPLUS
CN Carbamic acid, dimethyl-, 8-chloro-1,2,3,4-tetrahydro-2-(4-pyridinylamino)-4-isoquinolinyl ester (9CI) (CA INDEX NAME)



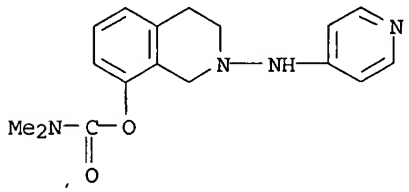
RN 210172-77-3 HCAPLUS
CN Carbamic acid, dimethyl-, 5-bromo-1,2,3,4-tetrahydro-2-(4-pyridinylamino)-8-isoquinolinyl ester (9CI) (CA INDEX NAME)



RN 210172-82-0 HCAPLUS
CN Carbamic acid, dimethyl-, 1,2,3,4-tetrahydro-2-(4-pyridinylamino)-8-isoquinolinyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

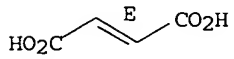
CRN 210172-81-9
CMF C17 H20 N4 O2



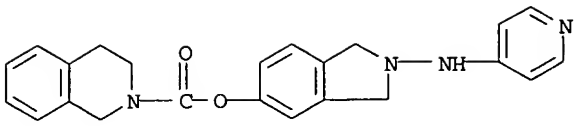
CM 2

CRN 110-17-8
CMF C4 H4 O4

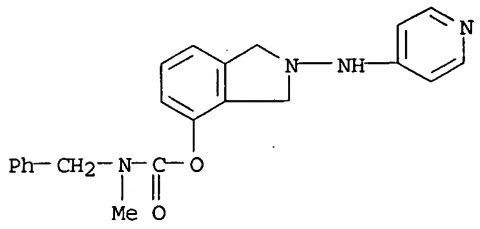
Double bond geometry as shown.



RN 210172-87-5 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-5-yl ester (9CI) (CA INDEX NAME)

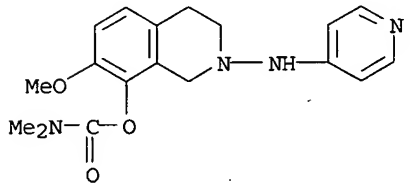


RN 210172-97-7 HCAPLUS
CN Carbamic acid, methyl(phenylmethyl)-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

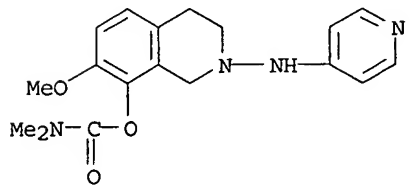
RN 210173-03-8 HCAPLUS
CN Carbamic acid, dimethyl-, 1,2,3,4-tetrahydro-7-methoxy-2-(4-pyridinylamino)-8-isoquinolinyl ester (9CI) (CA INDEX NAME)



RN 210173-04-9 HCAPLUS
CN Carbamic acid, dimethyl-, 1,2,3,4-tetrahydro-7-methoxy-2-(4-pyridinylamino)-8-isoquinolinyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 210173-03-8
CMF C18 H22 N4 O3

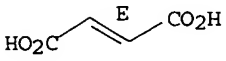


CM 2

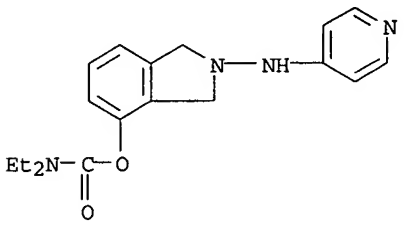
CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

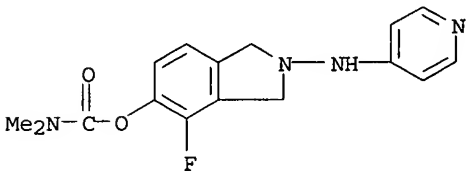


RN 210173-05-0 HCAPLUS
CN Carbamic acid, diethyl-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



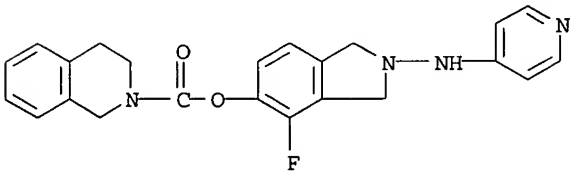
● HCl

RN 210173-06-1 HCAPLUS
CN Carbamic acid, dimethyl-, 4-fluoro-2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

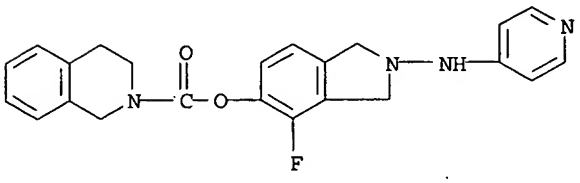
RN 210173-08-3 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 4-fluoro-2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



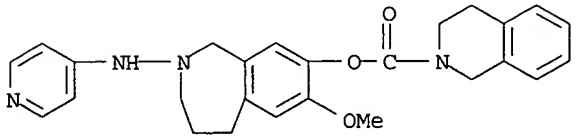
● HCl

RN 210173-09-4 HCAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 4-fluoro-2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-5-yl ester (9CI) (CA INDEX NAME)

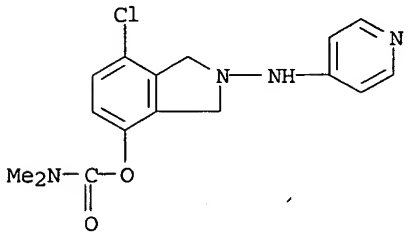


RN 210173-11-8 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2,3,4,5-tetrahydro-7-methoxy-2-(4-pyridinylamino)-1H-2-benzazepin-8-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



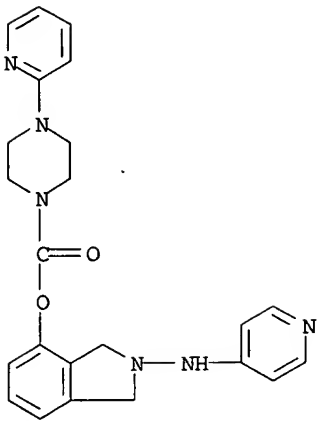
● HCl

RN 210173-13-0 HCAPLUS
CN Carbamic acid, dimethyl-, 7-chloro-2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

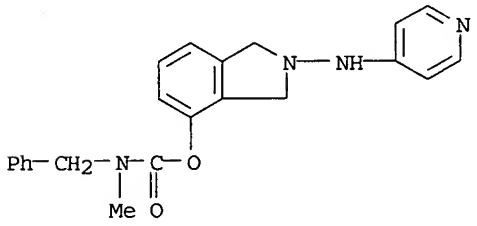


● HCl

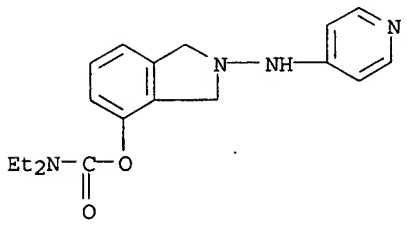
RN 210173-15-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-(2-pyridinyl)-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester (9CI) (CA INDEX NAME)



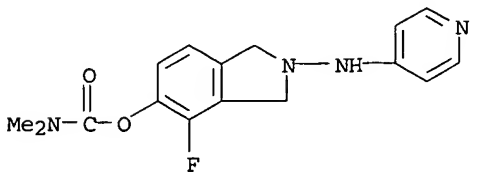
RN 210173-21-0 HCAPLUS
CN Carbamic acid, methyl(phenylmethyl)-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester (9CI) (CA INDEX NAME)



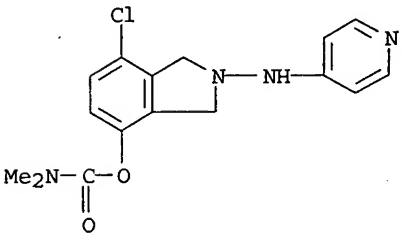
RN 210173-22-1 HCAPLUS
CN Carbamic acid, diethyl-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester (9CI) (CA INDEX NAME)



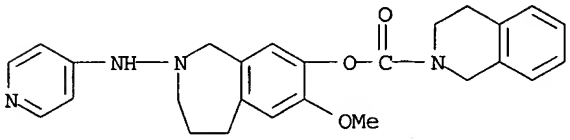
RN 210173-23-2 HCAPLUS
CN Carbamic acid, dimethyl-, 4-fluoro-2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-5-yl ester (9CI) (CA INDEX NAME)



RN 210173-24-3 HCAPLUS
CN Carbamic acid, dimethyl-, 7-chloro-2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester (9CI) (CA INDEX NAME)



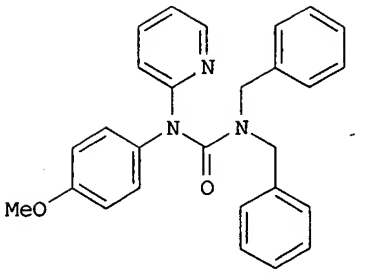
RN 210173-97-0 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2,3,4,5-tetrahydro-7-methoxy-2-(4-pyridinylamino)-1H-2-benzazepin-8-yl ester (9CI) (CA INDEX NAME)



L39 ANSWER 45 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:537574 HCAPLUS
DN 127:161697
TI 2-Amino heterocycles and their therapeutic uses as leukotriene biosynthesis inhibitors
IN Es-Sayed, Mazen; Yamamoto, Masaru; Frobel, Klaus; Poll, Chris; Grix, Suzanna; Tudhope, Stephen
PA Bayer Aktiengesellschaft, Germany
SO PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9724328	A1	19970710	1996WO-EP05643	19961216 <--
	W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, IS, JP, KE, KP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU---9713728	A1	19970728	1997AU-0013728	19961216 <--
PRAI	1995GB-0026560	A	19951227 <--		
	1996WO-EP05643	W	19961216 <--		

OS MARPAT 127:161697
GI



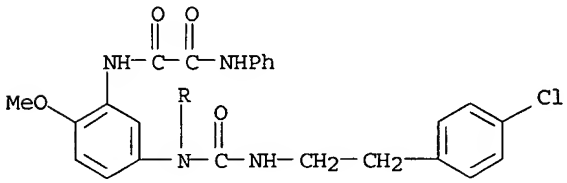
II

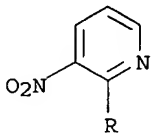
AB 2-Amino heterocycles R1R2NCOR3 [I; R1 = H, Me, (un)substituted 6-membered aromatic heterocycle containing ≤2 N atoms and optionally benzo-fused; R2 = (un)substituted adamantyl, cycloalkyl, pyridyl, Ph, CH2Ph, tetralin-5-yl, 2-norbornyl, 1-azabicyclo[2.2.2]oct-3-yl; or NR1R2 forms α-carboline residue; R3 = (un)substituted or cyclic amino groups linked via a bond, carbonyl, or alkylene group] are disclosed. I can be used for the production of medicaments which inhibit leukotriene synthesis (in particular LTB4), and are especially useful for the treatment and control of respiratory diseases and inflammatory processes (no data). For instance, condensation of 2-chloropyridine with 4-MeOC6H4NH2 at 150° gave 2-(4-methoxyanilino)pyridine, which reacted with ClCO2CCl3 and then HN(CH2Ph)2 in dioxane at 60° to give title compound II plus a byproduct.

IT **193556-83-1P 193556-84-2P 193556-85-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-amino heterocycles as leukotriene biosynthesis inhibitors)

RN 193556-83-1 HCAPLUS

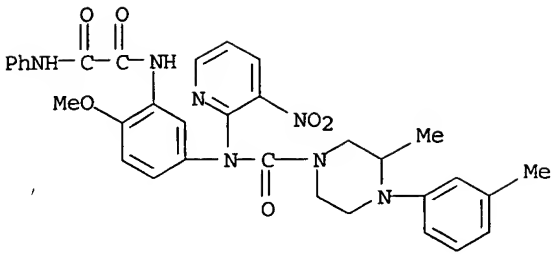
CN Ethanediamide, N-[5-[[[[2-(4-chlorophenyl)ethyl]amino]carbonyl](3-nitro-2-pyridinyl)amino]-2-methoxyphenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



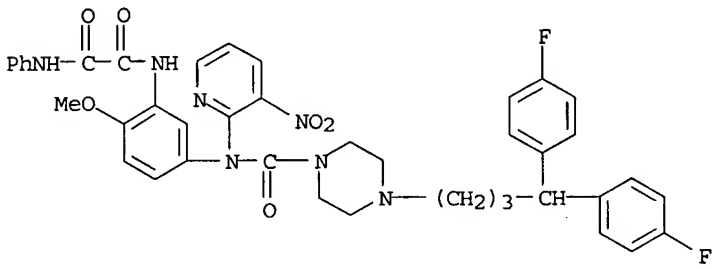


RN 193556-84-2 HCAPLUS

CN Ethanediamide, N-[2-methoxy-5-[[[3-methyl-4-(3-methylphenyl)-1-piperazinyl]carbonyl](3-nitro-2-pyridinyl)amino]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 193556-85-3 HCAPLUS
CN Ethanediamide, N-[5-[[[4-[4,4-bis(4-fluorophenyl)butyl]-1-piperazinyl]carbonyl](3-nitro-2-pyridinyl)amino]-2-methoxyphenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



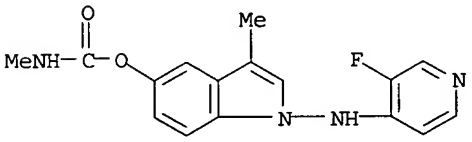
L39 ANSWER 46 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:281669 HCAPLUS
DN 127:13310
TI Pharmacological activity and safety profile of P10358, a novel, orally active acetylcholinesterase inhibitor for Alzheimer's disease
AU Smith, Craig P.; Bores, Gina M.; Petko, Wayne; Li, Mary; Selk, David E.; Rush, Douglas K.; Camacho, Fernando; Winslow, James T.; Fishkin, Rod; Cunningham, Dana M.; Brooks, Karen M.; Roehr, Joachim; Hartman, Harold B.; Davis, Larry; Vargas, Hugo M.
CS Neuroscience Therapeutic Domain, Hoechst Marion Roussel, Inc., Bridgewater, NJ, USA
SO Journal of Pharmacology and Experimental Therapeutics (1997), 280(2), 710-720
CODEN: JPETAB; ISSN: 0022-3565
PB Williams & Wilkins
DT Journal
LA English
AB P10358 [1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-1(H)-indol-5-yl Me carbamate] is a potent, reversible acetylcholinesterase inhibitor that produces central cholinergic stimulation after oral and parental administration in rats and mice. P10358 is a 2.5 times more potent acetylcholinesterase inhibitor than THA in vitro (IC50 = 0.10 ± 0.02 μM vs. IC50 = 0.25 ± 0.03 μM). It also inhibits butyrylcholinesterase activity as potently as THA (IC50 = 0.08 ± 0.05 μM vs. IC50 = 0.07 ± 0.01 μM). Ex vivo, P10358 (0.2-20 mg/kg, p.o.) produced dose-dependent inhibition of brain acetylcholinesterase activity. At 10 and 20 mg/kg, it produced profound and long-lasting hypothermia in mice. P10358 enhanced performance in rats in a step-down passive avoidance task (0.62 and 1.25 mg/kg) and in a social recognition paradigm (0.32, 0.64 and 1.25 mg/kg) in mice. It reversed scopolamine-induced deficits in the Morris Water maze in rats (1.256 and 2.5 mg/kg) and a higher dose elevated striatal homovanillic acid levels. These behavioral and biochem. effects are consistent with central

cholinergic stimulation. Hemodynamic studies in the rat demonstrated a 16-fold separation between behaviorally active doses (1.25 mg/kg) and those that elevated arterial pressure (20 mg/kg). Lethality in rats occurred at an oral dose of 80 mg/kg, but not at lower doses. Chemical, P10358 is an N-aminoindole and may not have the hepatotoxic liability associated with aminoacridine structure of tacrine. P10358 had weak affinity (>10 μM) at a variety of aminergic and peptidergic receptors and uptake carriers. These properties suggest that P10358 may be a safe and promising symptomatic treatment of Alzheimer's disease.

IT **188240-59-7**, P 10358
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. activity and safety of N-aminoindole P-10358 as orally active acetylcholinesterase inhibitor for Alzheimer's disease)

RN 188240-59-7 HCAPLUS

CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 47 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:123307 HCAPLUS

DN 126:220296

TI Synthesis and preliminary structure-activity relationships of 1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-1H-indol-5-yl methyl carbamate (P10358), a novel acetylcholinesterase inhibitor

AU Martin, Lawrence L.; Davis, Larry; Klein, Joseph T.; Nemoto, Peter; Olsen, Gordon E.; Bores, Gina M.; Camacho, Fernando; Petko, Wayne W.; Rush, Douglas K.; et al.

CS Hoechst Marion Roussel Inc., Neuroscience Therapeutic Area, Bridgewater, NJ, 08807-0800, USA

SO Bioorganic & Medicinal Chemistry Letters (**1997**), 7(2), 157-162
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier

DT Journal

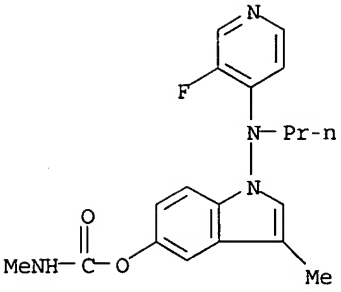
LA English

AB A series of carbamate analogs of besipirdine (HP 749) was synthesized as potential agents with enhanced cholinomimetic properties for the treatment of Alzheimer's disease. P10358, 1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-1H-indol-5-yl Me carbamate, emerged as a potent, reversible acetylcholinesterase inhibitor that significantly enhanced performance on oral or parenteral administration in learning and memory paradigms.

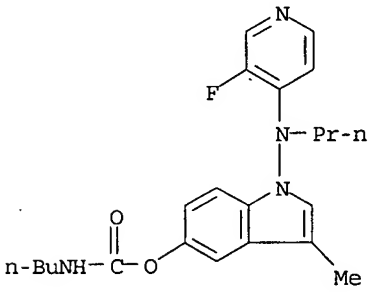
IT **141287-42-5P 141287-43-6P 141287-44-7P**
141287-45-8P 188240-59-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and structure-activity relationships of besipirdine carbamate analogs as acetylcholinesterase inhibitors)

RN 141287-42-5 HCAPLUS

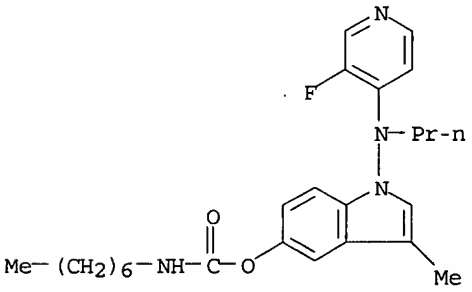
CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



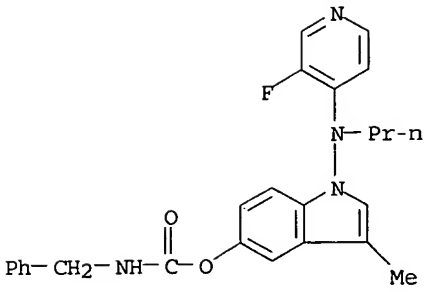
RN 141287-43-6 HCAPLUS
CN Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



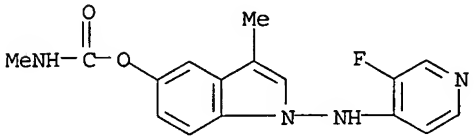
RN 141287-44-7 HCAPLUS
CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 141287-45-8 HCAPLUS
CN Carbamic acid, (phenylmethyl)-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 188240-59-7 HCAPLUS
CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)amino]-3-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



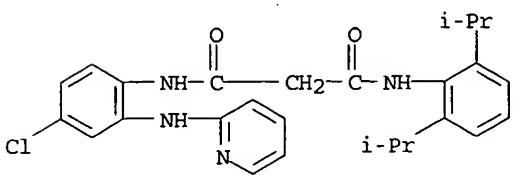
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 48 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:51439 HCAPLUS
DN 126:89269
TI Preparation of heterocyclic compounds as cholesterol acyltransferase inhibitors
IN Natsukari, Hideaki; Ishimaru, Takenori; Doi, Takayuki; Sugyama, Yasuo; Morimoto, Shinji
PA Takeda Chemical Industries Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP--08295667	A2	19961112	1995JP-0129433	19950427 <--
PRAI 1995JP-0129433		19950427 <--		

OS MARPAT 126:89269
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A, B = (un)substituted (hetero)cycle; X = N, CR1; R, R1 = H, (un)substituted hydrocarbyl; Y = (oxo)alkylene; Z = bond, alkylene; W = (un)substituted (hetero)cycle; when A, B = benzene ring, X = CR1, Y = CO, W = substituted cycle or (un)substituted heterocycle] are prepared I having a potent antagonism on tachykinin receptor (substance P receptor special) are useful as cholesterol acyltransferase (ACAT) inhibitors. Thus, N-[3,5-bis(trifluoromethyl)benzyl]-N'-(4-chloro-2-phenylaminophenyl)-N-methyloxamide (preparation given) was treated with HCl and reacted with AcONa in the presence of Pd/C under H atmospheric to give the title compound (II). II showed IC50 of 0.36 nM against tachykinin receptors.
IT **185332-30-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as cholesterol acyltransferase inhibitors)
RN 185332-30-3 HCAPLUS
CN Propanediamide, N-[2,6-bis(1-methylethyl)phenyl]-N'-[4-chloro-2-(2-

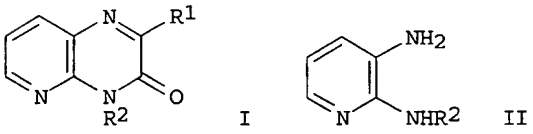
pyridinylamino)phenyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 49 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:340214 HCAPLUS
DN 125:10857
TI Preparation of pyrido[2,3-b]pyrazin-4-one inhibitors of phosphodiesterase IV and tumor necrosis factor
IN Shimazaki, Norihiko; Watanabe, Shinya; Sawada, Akihiko; Hemmi, Keiji
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Brit. UK Pat. Appl., 29 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB---2291422	A1	19960124	1994GB-0014453	19940718 <--
PRAI 1994GB-0014453		19940718 <--		

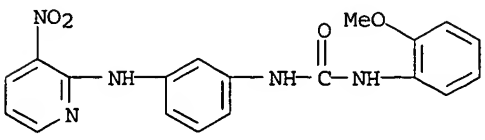
OS MARPAT 125:10857
GI



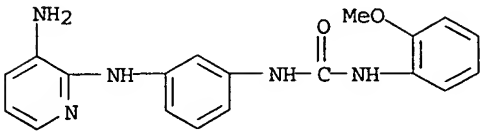
I

II

AB The title compds. [I; R1 = lower alkyl, (un) protected CO2H; R2 = aryl which may have protected amino groups], which are inhibitors of phosphodiesterase IV and tumor necrosis factor (TNF), are prepared by the cyclization of 2,3-pyridinediamines (II) with α-ketocarboxylic acids.HO2CCOR1. Thus, 2-isobutyl-3-oxo-4-[3-[3-(2-methoxyphenyl)ureido]phenyl]-3,4-dihydropyrido[2,3-b]pyrazine, m.p. 211-213°, was prepared and demonstrated a IC50 of 3.1 x 10-8 M against α-TNF.
IT **177343-39-4P 177343-40-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrido[2,3-b]pyrazin-4-one inhibitors of phosphodiesterase IV and tumor necrosis factor)
RN 177343-39-4 HCAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[3-[(3-nitro-2-pyridinyl)amino]phenyl]- (9CI) (CA INDEX NAME)



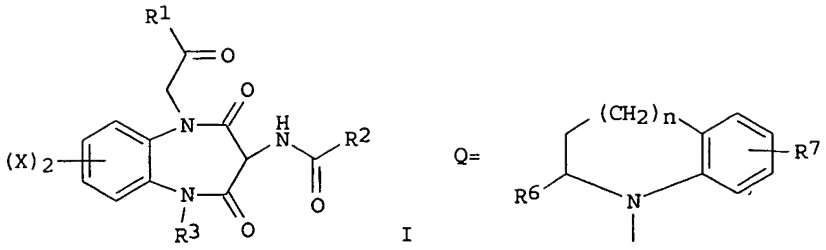
RN 177343-40-7 HCAPLUS
CN Urea, N-[3-[(3-amino-2-pyridinyl)amino]phenyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



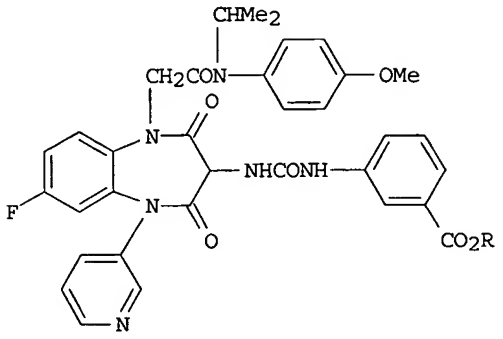
L39 ANSWER 50 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:998135 HCAPLUS
DN 124:176160
TI Preparation of CCK or gastrin modulating 5-heterocyclyl-1,5-benzodiazepinediones
IN Aquino, Christopher Joseph; Sugg, Elizabeth Ellen; Szewczyk, Jerzy Ryszard
PA Glaxo Wellcome Inc., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9528419	A1	19951026	1995WO-US04163	19950412 <--
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA---2186900	AA	19951026	1995CA-2186900	19950412 <--
	AU---9522390	A1	19951110	1995AU-0022390	19950412 <--
	AU----697349	B2	19981001		
	ZA---9503005	A	19960320	1995ZA-0003005	19950412 <--
	EP----756602	A1	19970205	1995EP-0915540	19950412 <--
	EP----756602	B1	19990630		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	HU-----76135	A2	19970630	1996HU-0002835	19950412 <--
	BR---9507381	A	19970923	1995BR-0007381	19950412 <--
	JP--09511223	T2	19971111	1995JP-0516406	19950412 <--
	CN---1176646	A	19980318	1995CN-0193582	19950412 <--
	AT----181737	E	19990715	1995AT-0915540	19950412 <--
	ES---2135722	T3	19991101	1995ES-0915540	19950412 <--
	CZ----286764	B6	20000614	1996CZ-0002972	19950412 <--
	RU---2152939	C1	20000720	1996RU-0121555	19950412 <--
	PL----180026	B1	20001229	1995PL-0316870	19950412 <--
	SK----281433	B6	20010312	1996SK-0001300	19950412 <--
	IL----113365	A1	19991130	1995IL-0113365	19950413 <--
	FI---9604045	A	19961009	1996FI-0004045	19961009 <--
	NO---9604348	A	19961202	1996NO-0004348	19961011 <--
	US---5739129	A	19980414	1996US-0722191	19961011 <--
PRAI	1994GB-0007433	A	19940414 <--		
	1994GB-0020783	A	19941014 <--		
	1995WO-US04163	W	19950412 <--		

OS MARPAT 124:176160
GI



I



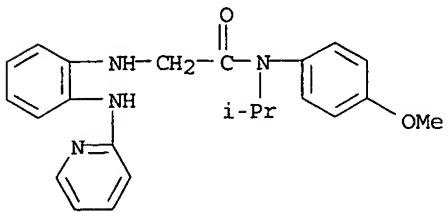
II

AB The title compds. [I; X = H, CF3, alkyl, alkylthio, alkoxy, halo; R1 = Q, disubstituted NH2; R6 = H, Me; R7 = H, OH, F, dimethylamino, alkoxy, benzyloxy; R2 = (un)substituted 2-heterocyclyl, Ph, or pyridyl, 7-indazolylamino, PhNH optionally substituted on Ph; R3 = (un)substituted heterocyclyl] and physiol. salts thereof, which exhibit agonist activity for CCK-A receptors and thereby enable them to modulate the hormones gastrin and CCk in mammals, are prepared Thus, a solution of 84 mg 2-(3-amino-7-fluoro-2,4-dioxo-5-pyridin-3-yl-2,3,4,5-tetrahydrobenzo[b][1,4]diazepin-1-yl)-N-isopropyl-N-(4-methoxyphenyl)acetamide in 4 mL MeCN was combined with 59 mg tert-Bu 3-[(4-nitrophenyl)oxycarbonyl]aminobenzoate and heated under reflux for 3 h to give the title compound tert-Bu ester (II; R = tert-butyl), which was stirred with CF3CO2H for 1.5 h to give II.CF3CO2H (R = H). In guinea pig gall bladder contraction assay, the title compds. I at 1 μM gave 32-96% sulfated CCK-8 maximal response.

IT **173944-70-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of CCK- or gastrin-modulating heterocyclylbenzodiazepinediones as CCK-A receptor agonists)

RN 173944-70-2 HCAPLUS

CN Acetamide, N-(4-methoxyphenyl)-N-(1-methylethyl)-2-[[2-(2-pyridinylamino)phenyl]amino]- (9CI) (CA INDEX NAME)



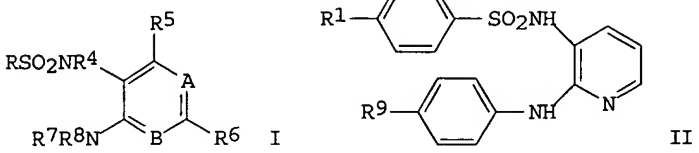
L39 ANSWER 51 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1992:255492 HCAPLUS

DN 116:255492
TI Preparation of N-[(2-arylamino)aryl]benzenesulfonamides as antitumor agents
IN Yoshino, Hiroshi; Ueda, Norihiro; Sugumi, Hiroyuki; Niijima, Jun; Kotake, Yoshihiko; Okada, Toshimi; Koyanagi, Nozomu; Watanabe, Tatsuo; Asada, Makoto; et al.
PA Eisai Co., Ltd., Japan
SO Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP----472053	A2	19920226	1991EP-0113256	19910807 <--
	EP----472053	A3	19940810		
	EP----472053	B1	19980617		
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
	AT----167473	E	19980715	1991AT-0113256	19910807 <--
	US---5250549	A	19931005	1991US-0742618	19910808 <--
	JP--05039256	A2	19930219	1991JP-0199687	19910809 <--
	JP---2790926	B2	19980827		
	FI---9103815	A	19920221	1991FI-0003815	19910812 <--
	HU-----59663	A2	19920629	1991HU-0002676	19910812 <--
	NO---9103207	A	19920221	1991NO-0003207	19910816 <--
	NO----178695	B	19960205		
	NO----178695	C	19960515		
	AU---9182493	A1	19920227	1991AU-0082493	19910816 <--
	AU----636239	B2	19930422		
	CA---2049496	AA	19920221	1991CA-2049496	19910819 <--
	CA---2049496	C	19970204		
	CN---1059519	A	19920318	1991CN-0105827	19910819 <--
	CN---1036650	B	19971210		
	RU---2059615	C1	19960510	1991RU-5001370	19910820 <--
	US---5292758	A	19940308	1992US-0923345	19920731 <--
	US---5332751	A	19940726	1993US-0085962	19930630 <--
	US---5434172	A	19950718	1994US-0231272	19940422 <--
	CN---1136036	A	19961120	1995CN-0103522	19950317 <--
	US---5610320	A	19970311	1995US-0450138	19950526 <--
	US---5610304	A	19970311	1995US-0453058	19950526 <--
PRAI	1990JP-0218710	A	19900820 <--		
	1991JP-0038509	A	19910305 <--		
	1991JP-0121041	A	19910527 <--		
	1991US-0742618	A3	19910808 <--		
	1992US-0923345	A3	19920731 <--		
	1993US-0085962	A3	19930630 <--		
	1994US-0231272	A3	19940422 <--		

OS MARPAT 116:255492
GI

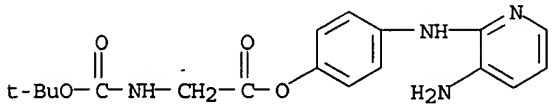


AB Title compds. [I; A = N, CH; B = N, CR10; R = (substituted) Ph; R4, R7, R10 = H, alkyl; R5, R6 = H, halo, alkoxy, (substituted) amino; R8 = C(:X)R11, (substituted) Ph, pyridyl; R11 = H, alkyl, NH2, alkoxy, etc.; X = O, S] were prepared Thus, 2-chloro-3-nitropyridine was condensed with PhNH2 and the reduced product condensed with 4-MeC6H4SO2Cl to give title

compound II (R1 = Me, R9 = H). II (R1, R9 = MeO) gave 99% inhibition of colon 38 tumors in mice at 100 mg/kg/day orally for 21 days.

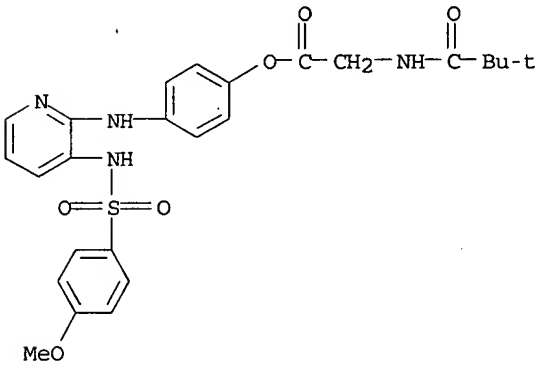
IT **141450-44-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antitumor agents)

RN 141450-44-4 HCAPLUS
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, 4-[(3-amino-2-pyridinyl)amino]phenyl ester (9CI) (CA INDEX NAME)

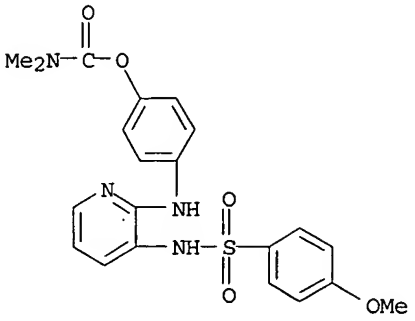


IT **141431-18-7P 141449-92-5P 141449-93-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antitumor agent)

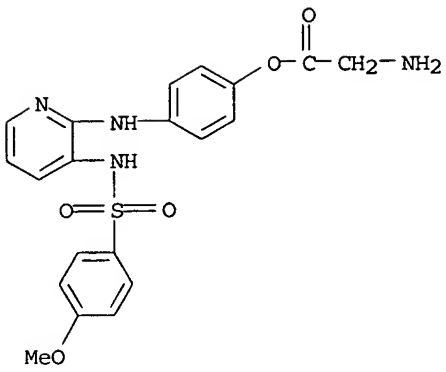
RN 141431-18-7 HCAPLUS
CN Glycine, N-(2,2-dimethyl-1-oxopropyl)-, 4-[[3-[[(4-methoxyphenyl)sulfonyl]amino]-2-pyridinyl]amino]phenyl ester (9CI) (CA INDEX NAME)



RN 141449-92-5 HCAPLUS
CN Carbamic acid, dimethyl-, 4-[[3-[[(4-methoxyphenyl)sulfonyl]amino]-2-pyridinyl]amino]phenyl ester (9CI) (CA INDEX NAME)



RN 141449-93-6 HCAPLUS
CN Glycine, 4-[[3-[[(4-methoxyphenyl)sulfonyl]amino]-2-pyridinyl]amino]phenyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L39 ANSWER 52 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1992:235443 HCAPLUS
DN 116:235443
TI Preparation of acetylcholinesterase-inhibiting 1-(substituted pyridinylamino)-1H-(indol-5-yl)carbamates as drugs for treatment of memory dysfunctions
IN Effland, Richard Charles; Davis, Larry; Olsen, Gordon Edward; Klein, Joseph Thomas; Wettlaufer, David Gordon; Nemoto, Peter Allen
PA Hoechst-Roussel Pharmaceuticals, Inc., USA
SO Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP----468401	A2	19920129	1991EP-0112237	19910722	<--
	EP----468401	A3	19920729			
	EP----468401	B1	19980916			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	US---5102891	A	19920407	1990US-0555890	19900723	<--
	FI---9103502	A	19920124	1991FI-0003502	19910719	<--
	FI----102067	B1	19981015			
	CA---2047531	AA	19920124	1991CA-2047531	19910722	<--
	CA---2047531	C	20030107			
	NO---9102866	A	19920124	1991NO-0002866	19910722	<--
	NO----300132	B1	19970414			
	AU---9181216	A1	19920130	1991AU-0081216	19910722	<--
	AU----639581	B2	19930729			
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	ZA---9105723	A	19920429	1991ZA-0005723	19910722	<--
	JP--04243878	A2	19920831	1991JP-0181210	19910722	<--
	JP---2564714	B2	19961218			
	IL-----98920	A1	19950831	1991IL-0098920	19910722	<--
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	AT----171176	E	19981015	1991AT-0112237	19910722	<--
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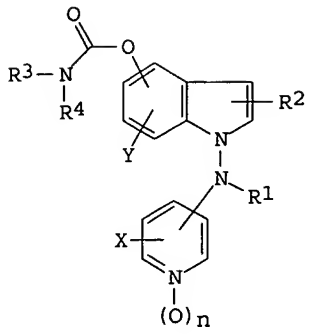
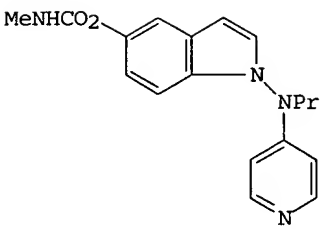
	KR----201515	B1	19990615	1991KR-0012579	19910723	<--
PRAI	1990US-0555890	A	19900723	<--		

OS MARPAT 116:235443
GI



I



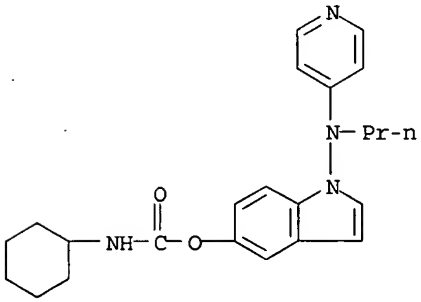
II

AB The title compds. [I; R1 = H, (aryl)alkyl, alkenyl, alkynyl, (aryl)alkanoyl, heteroarylalkyl, heteroarylalkanoyl; R2 = H, alkyl, CHO, cyano; R3 = H, alkyl; R4 = (cyclo)alkyl, aralkyl, heteroaryl; NR3R4 = pyrrolidino, piperidino, morpholino, etc.; X, Y = H, halo, NO2, amino, CF3, alkyl, alkoxy; n = 0, 1], their optical and geometrical stereoisomers and racemates and pharmaceutically acceptable salts, useful for the treatment of memory dysfunctions such as Alzheimer's disease, were prepared, e.g. by addition reaction of isocyanates with the appropriate indololes. Thus, 1-(N-propyl-4-pyridinylamino)-1H-indol-5-ol (preparation from 5-phenylmethoxyindole given) in THF was stirred with K2CO3 and MeNCO to give title compound II. II inhibited brain acetylcholinesterase with IC50 = 0.0023 μM.

IT **141287-56-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of acetylcholinesterase inhibitors)

RN 141287-56-1 HCAPLUS

CN Carbamic acid, cyclohexyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

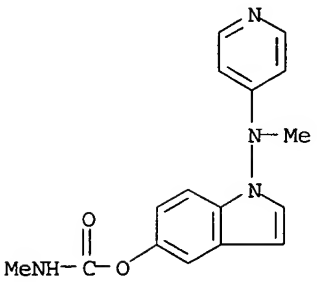


IT **141287-16-3P 141287-17-4P 141287-18-5P**
141287-19-6P 141287-20-9P 141287-21-0P
141287-22-1P 141287-23-2P 141287-24-3P
141287-25-4P 141287-26-5P 141287-27-6P
141287-28-7P 141287-29-8P 141287-30-1P
141287-31-2P 141287-32-3P 141287-33-4P
141287-34-5P 141287-35-6P 141287-36-7P
141287-37-8P 141287-38-9P 141287-39-0P
141287-40-3P 141287-41-4P 141287-42-5P
141287-43-6P 141287-44-7P 141287-45-8P
141287-46-9P 141303-08-4P 141303-09-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as acetylcholinesterase inhibitor)

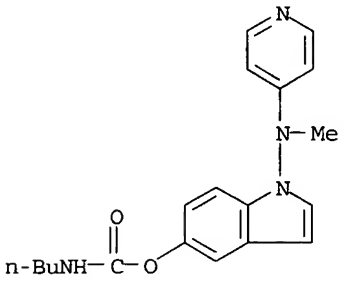
RN 141287-16-3 HCAPLUS

CN 1H-Indol-5-ol, 1-(methyl-4-pyridinylamino)-, methylcarbamate (ester) (9CI)

(CA INDEX NAME)

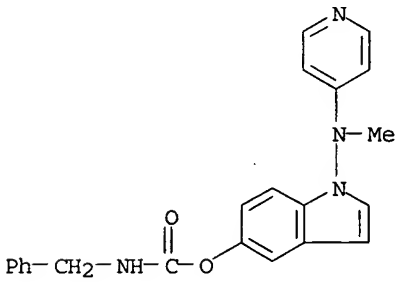


RN 141287-17-4 HCAPLUS
CN Carbamic acid, butyl-, 1-(methyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

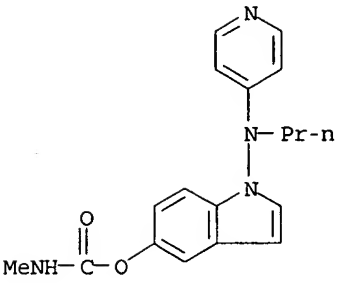


● HCl

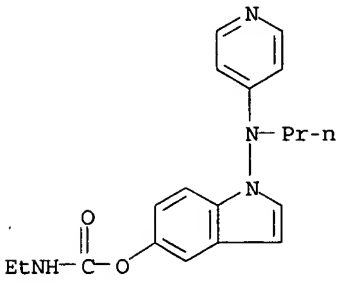
RN 141287-18-5 HCAPLUS
CN Carbamic acid, (phenylmethyl)-, 1-(methyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 141287-19-6 HCAPLUS
CN 1H-Indol-5-ol, 1-(propyl-4-pyridinylamino)-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

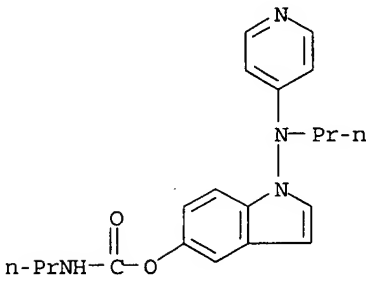


RN 141287-20-9 HCAPLUS
CN Carbamic acid, ethyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

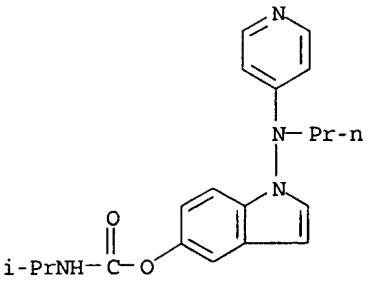


● HCl

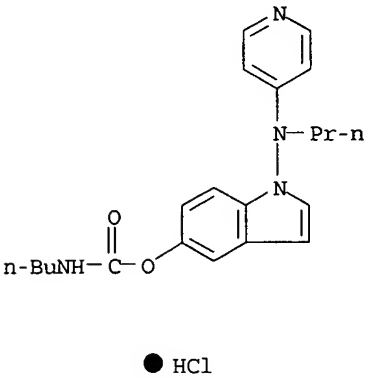
RN 141287-21-0 HCAPLUS
CN Carbamic acid, propyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 141287-22-1 HCAPLUS
CN Carbamic acid, (1-methylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

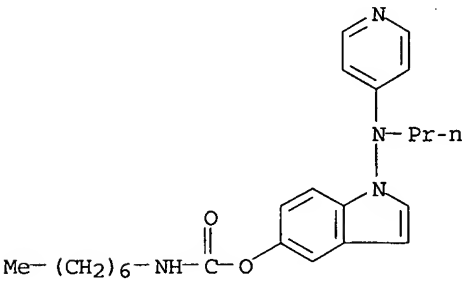


RN 141287-23-2 HCAPLUS
CN Carbamic acid, butyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

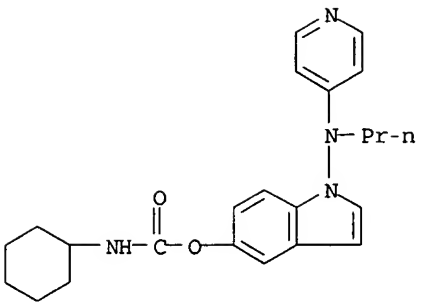


● HCl

RN 141287-24-3 HCAPLUS
CN Carbamic acid, heptyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

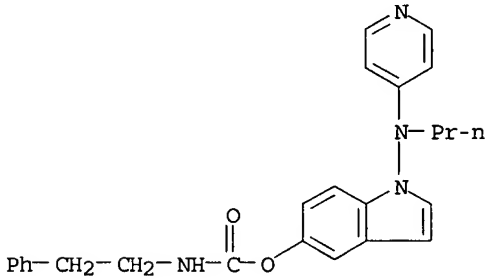


RN 141287-25-4 HCAPLUS
CN Carbamic acid, cyclohexyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



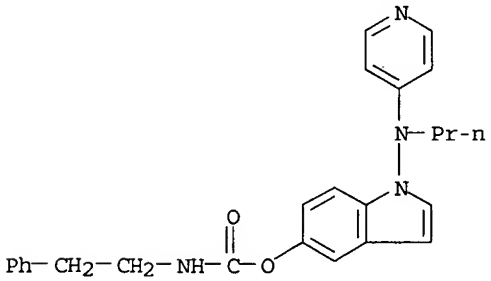
● HCl

RN 141287-26-5 HCAPLUS
CN Carbamic acid, (2-phenylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



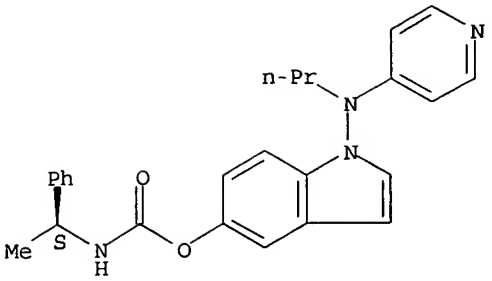
● HCl

RN 141287-27-6 HCAPLUS
CN Carbamic acid, (2-phenylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

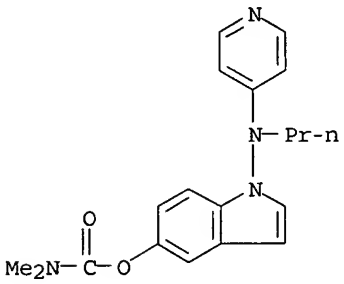


RN 141287-28-7 HCAPLUS
CN Carbamic acid, (1-phenylethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester, (S)- (9CI) (CA INDEX NAME)

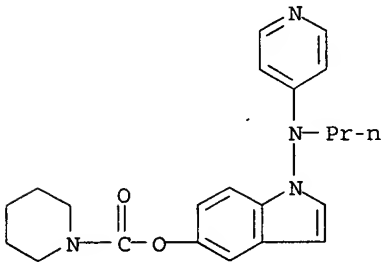
Absolute stereochemistry.



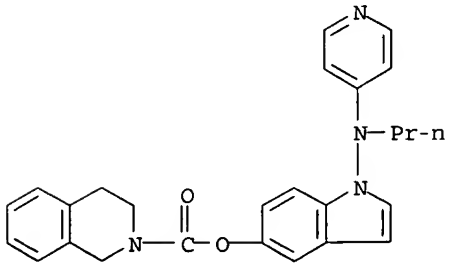
RN 141287-29-8 HCAPLUS
CN Carbamic acid, dimethyl-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



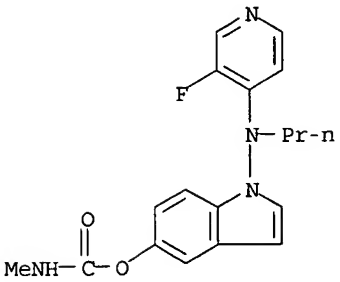
RN 141287-30-1 HCAPLUS
CN 1-Piperidinecarboxylic acid, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



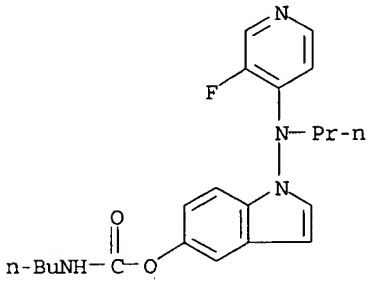
RN 141287-31-2 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 141287-32-3 HCAPLUS
CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-, methylcarbamate (ester) (9CI) (CA INDEX NAME)

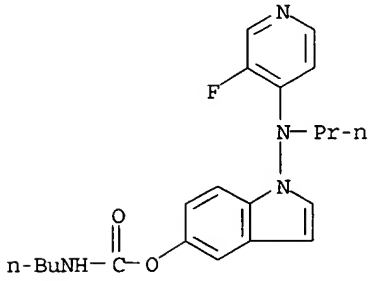


RN 141287-33-4 HCAPLUS
CN Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

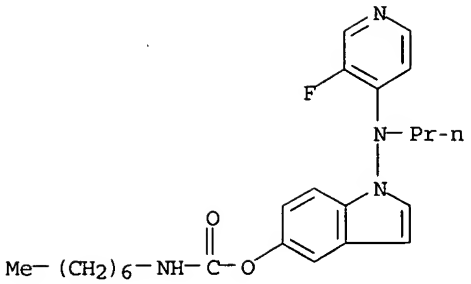


● HCl

RN 141287-34-5 HCAPLUS
CN Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

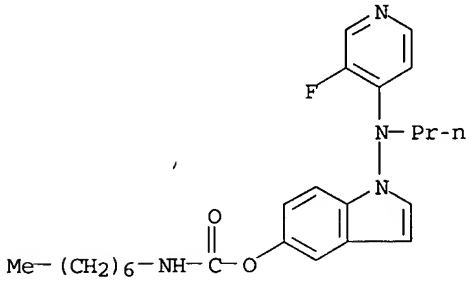


RN 141287-35-6 HCAPLUS
CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

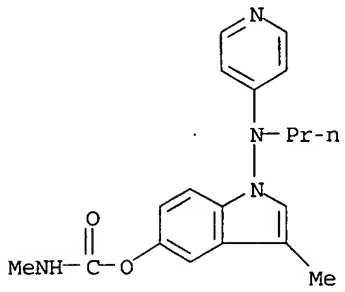


● HCl

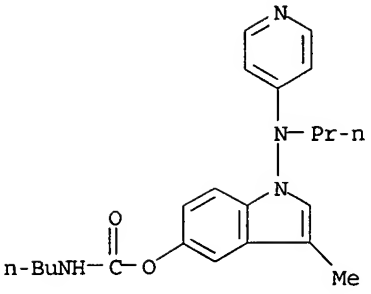
RN 141287-36-7 HCAPLUS
CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



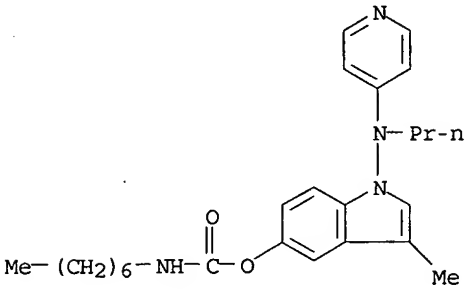
RN 141287-37-8 HCAPLUS
CN 1H-Indol-5-ol, 3-methyl-1-(propyl-4-pyridinylamino)-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



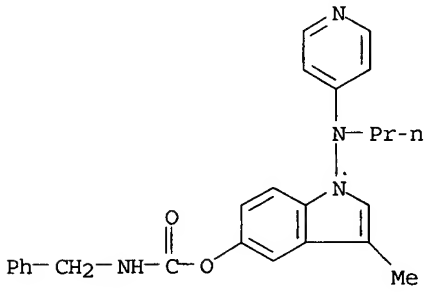
RN 141287-38-9 HCAPLUS
CN Carbamic acid, butyl-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



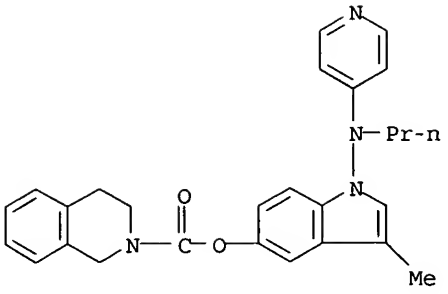
RN 141287-39-0 HCAPLUS
CN Carbamic acid, heptyl-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



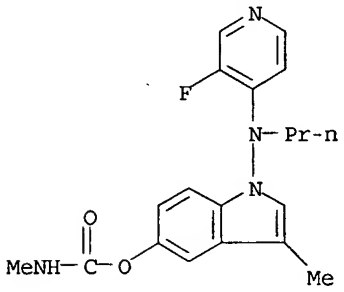
RN 141287-40-3 HCAPLUS
CN Carbamic acid, (phenylmethyl)-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



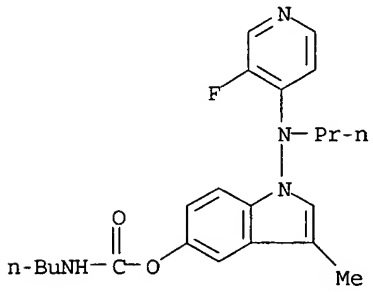
RN 141287-41-4 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 3-methyl-1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



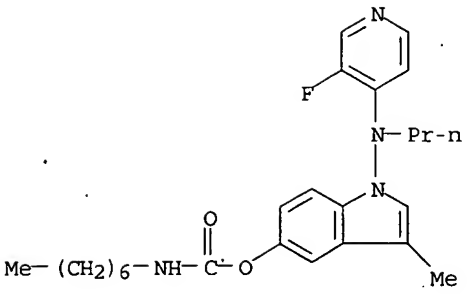
RN 141287-42-5 HCAPLUS
CN 1H-Indol-5-ol, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



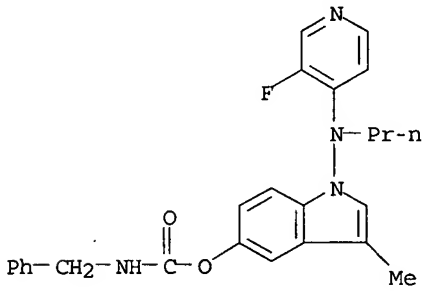
RN 141287-43-6 HCAPLUS
CN Carbamic acid, butyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



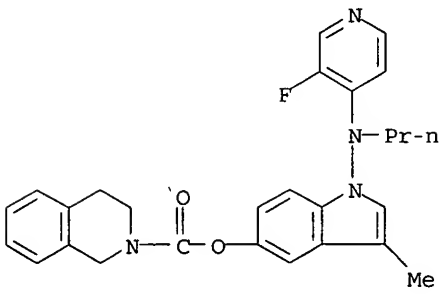
RN 141287-44-7 HCAPLUS
CN Carbamic acid, heptyl-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



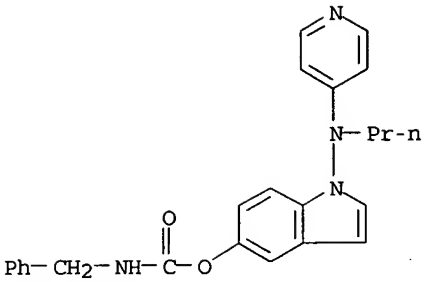
RN 141287-45-8 HCAPLUS
CN Carbamic acid, (phenylmethyl)-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



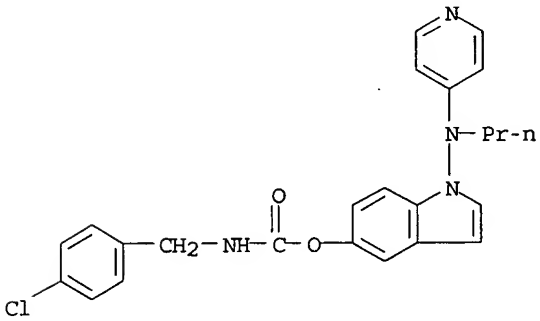
RN 141287-46-9 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[(3-fluoro-4-pyridinyl)propylamino]-3-methyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 141303-08-4 HCAPLUS
CN Carbamic acid, (phenylmethyl)-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



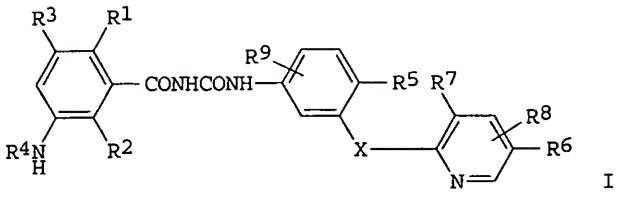
RN 141303-09-5 HCAPLUS
CN Carbamic acid, [(4-chlorophenyl)methyl]-, 1-(propyl-4-pyridinylamino)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



L39 ANSWER 53 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1990:7380 HCAPLUS
DN 112:7380
TI Preparation and testing of 3-aminobenzoyl phenylurea as insecticides and parasiticides
IN Maienfisch, Peter; Gehret, Jean Claude; Frei, Bruno
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP----320448	A2	19890614	1988EP-0810818	19881129 <--
	EP----320448	A3	19901010		
	EP----320448	B1	19941228		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US---4946854	A	19900807	1988US-0280061	19881205 <--
	CA---1329610	A1	19940517	1988CA-0585005	19881205 <--
	AU---8826612	A1	19890608	1988AU-0026612	19881206 <--
	AU----619228	B2	19920123		
	ZA---8809122	A	19890830	1988ZA-0009122	19881206 <--
	JP--02000252	A2	19900105	1988JP-0307062	19881206 <--
PRAI	1987CH-0004756	A	19871207 <--		

OS MARPAT 112:7380
GI



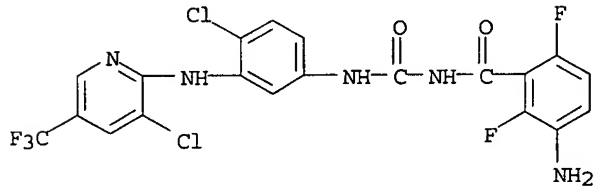
I

AB The title compds. [I; R1, R2, R3, R7, R8, R9 = H, halo; R4 = H, R10CO, R11NHCO; R5, R6 = H, halo, (halo)alkyl; R10 = (substituted) C1-4 alkyl; R11 = (halo)alkyl, Ph; X = O, S, SO, SO2], useful as insecticides and parasiticides, were prepared Thus, 2,6-dichloro-3-nitrobenzamide (preparation given) in CH2Cl2 was treated with (COCl)2 at room temperature and the mixture was refluxed 18 h to give 2,6-dichloro-3-nitrobenzoylisocyanate. The latter in CH2Cl2 was treated with 3-(3-chloro-5-trifluoromethylpyridyl-2-oxy)-4-chloroaniline and the mixture was stirred 18 h to give the corresponding urea, which was reduced with H/Rh/C in THF to give N-[3-(3-chloro-5-trifluoromethylpyridyl-2-oxy)-4-chlorophenyl]-N'-[2,6-dichloro-3-aminobenzoyl]urea. I were effective against Fasciola hepatica eggs at 7.5-750 ppm.

IT **124169-33-1P 124169-60-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as insecticide and parasiticide)

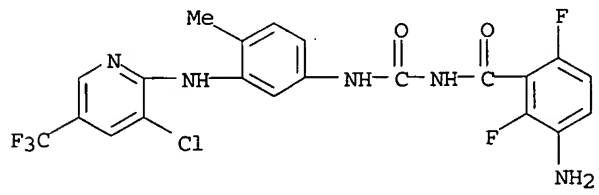
RN 124169-33-1 HCAPLUS

CN Benzamide, 3-amino-N-[[[4-chloro-3-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino]phenyl]amino]carbonyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



RN 124169-60-4 HCAPLUS

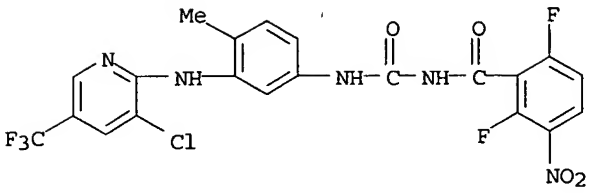
CN Benzamide, 3-amino-N-[[[3-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino]-4-methylphenyl]amino]carbonyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



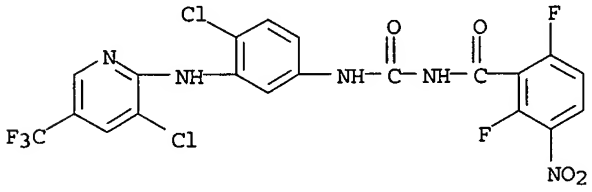
IT **124169-66-0P 124169-67-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for insecticide and parasiticide)

RN 124169-66-0 HCAPLUS

CN Benzamide, N-[[[3-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino]-4-methylphenyl]amino]carbonyl]-2,6-difluoro-3-nitro- (9CI) (CA INDEX NAME)



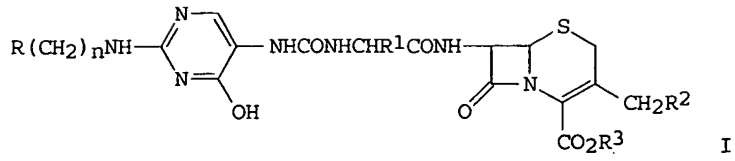
RN 124169-67-1 HCAPLUS
CN Benzamide, N-[[[4-chloro-3-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino]phenyl]amino]carbonyl]-2,6-difluoro-3-nitro- (9CI) (CA INDEX NAME)



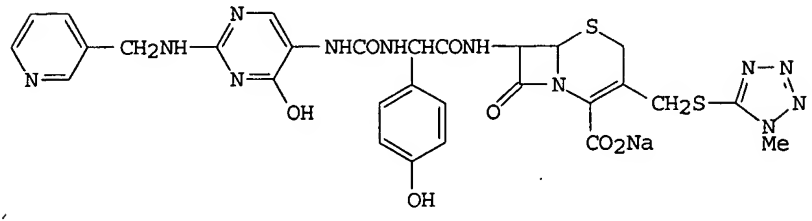
L39 ANSWER 54 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1989:496975 HCAPLUS
Correction of: 1984:209516
DN 111:96975
Correction of: 100:209516
TI Cephalosporin derivatives
IN Wetzel, Bernd; Woitun, Eberhard; Reuter, Wolfgang; Maier, Roland; Lechner, Uwe; Goeth, Hanns
PA Boehringer Ingelheim International G.m.b.H., Fed. Rep. Ger.
SO U.S., 48 pp. Cont.-in-part of U.S. Ser. No. 163,194, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US---4415566	A	19831115	1980US-0191423	19800926 <--
PRAI 1979DE-2938344	A	19790713 <--		
1980US-0163194	A2	19800626 <--		

OS MARPAT 111:96975
GI



I



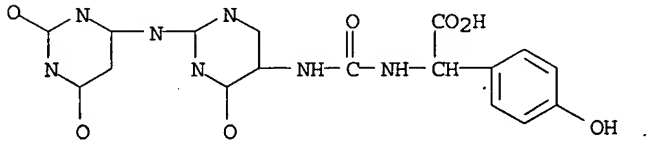
II

AB Cephalosporins I [R = heterocyclyl; R1 = cyclohexyl, cyclohexenyl, cyclohexadienyl, thienyl, furyl, (un)substituted Ph; R2 = heterocyclylthio; R3 = H, protective group; n = 0, 1] were prepared Thus II was obtained by treating the aminocephem with the ureidoacetic acid obtained by reaction of 4-HOC6H4CH(NH2)CO2H, COCl2, and 3-pyridinemethanamine. II had a min. inhibitory concentration of 0.12 μg/mL against Escherichia coli ATCC 11775. Penicillin analogs of I were similarly prepared

IT **90061-19-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of, aminocephem by)

RN 90061-19-1 HCAPLUS

CN Benzeneacetic acid, α-[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)



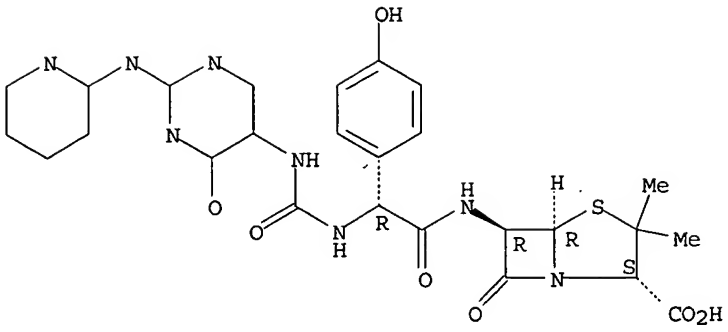
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT **77962-43-7P 77962-51-7P 90129-84-3P**
90129-85-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 77962-43-7 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[[1,4-dihydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

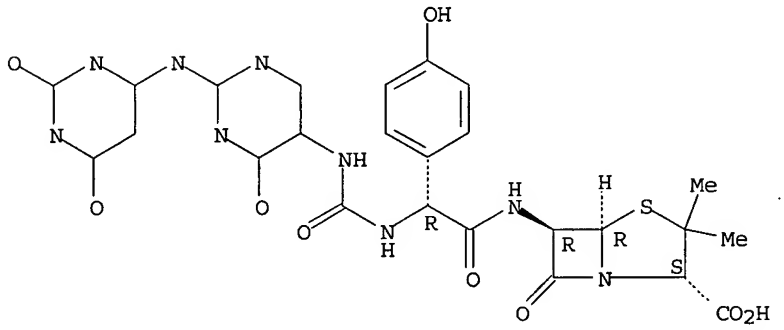
Absolute stereochemistry.



● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 77962-51-7 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

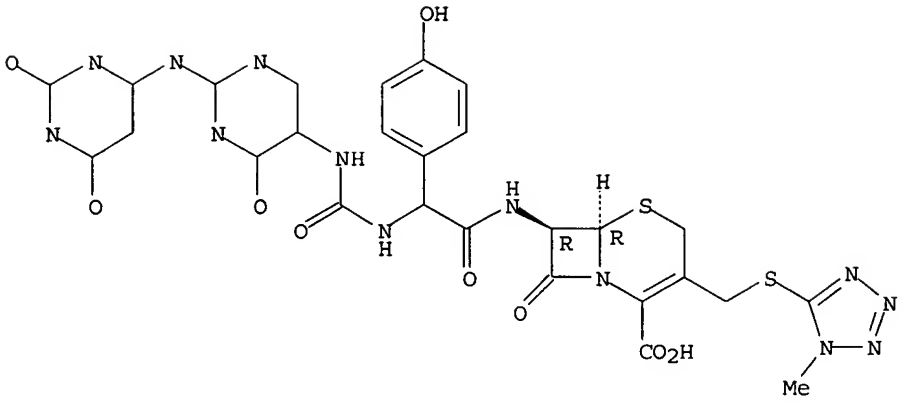
Absolute stereochemistry.



● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 90129-84-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-(6α,7β)]- (9CI) (CA INDEX NAME)

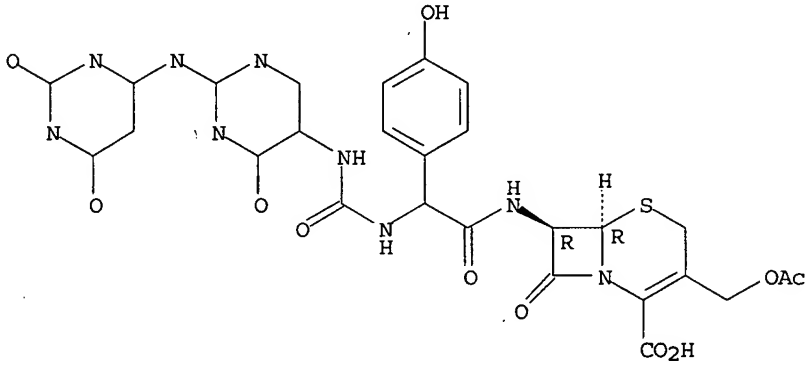
Absolute stereochemistry.



● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 90129-85-4 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-8-oxo-, monosodium salt, [6R-(6α,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

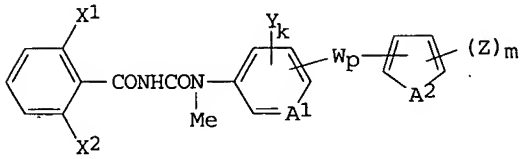
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L39 ANSWER 55 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1988:510042 HCAPLUS
DN 109:110042
TI Benzoylureas, a process for their production, and pesticidal formulations containing them
IN Haga, Takahiro; Toki, Tadaaki; Koyanagi, Toru; Fujii, Yasuhiro; Yoshida, Kiyomitsu; Imai, Osamu
PA Ishihara Sangyo Kaisha, Ltd., Japan
SO Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP----263438	A2	19880413	1987EP-0114341	19871001 <--
	EP----263438	A3	19890315		
	EP----263438	B1	19920715		
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP--63099055	A2	19880430	1987JP-0240142	19870925 <--
	JP--63222154	A2	19880916	1987JP-0240143	19870925 <--
	JP--63307852	A2	19881215	1987JP-0240144	19870925 <--
	CN--87106674	A	19880817	1987CN-0106674	19870929 <--
	CN---1023006	B	19931208		
	AU---8779234	A1	19880414	1987AU-0079234	19870930 <--
	AU----594199	B2	19900301		
	JP--01085966	A2	19890330	1987JP-0244162	19870930 <--
	JP--08019081	B4	19960228		
	US---4861799	A	19890829	1987US-0102855	19870930 <--
	DD----281378	A5	19900808	1987DD-0307508	19871001 <--
	ES---2051719	T3	19940701	1987ES-0114341	19871001 <--
	DK---8705189	A	19880404	1987DK-0005189	19871002 <--
	DK----169151	B1	19940829		
	BR---8705244	A	19880524	1987BR-0005244	19871002 <--
	ZA---8707421	A	19880629	1987ZA-0007421	19871002 <--
	PL----153343	B1	19910430	1987PL-0268033	19871002 <--
	RU---2032343	C1	19950410	1987RU-4203447	19871002 <--
	CA---1340938	A1	20000328	1987CA-0548485	19871002 <--
	US---4985449	A	19910115	1989US-0365607	19890613 <--
PRAI	1986JP-0235857	A	19861003 <--		
	1987JP-0056006	A	19870311 <--		
	1987JP-0141214	A	19870605 <--		
	1987US-0102855	A3	19870930 <--		

OS CASREACT 109:110042; MARPAT 109:110042
GI



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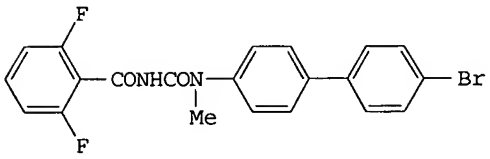


III

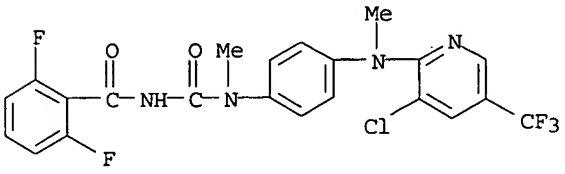
AB Pesticidal benzoylureas I [X1, X2 = H, halo, Me; both X1 and X2 ≠ H; Y = H, halo, (halo)alkyl, CO2R1, OR1 (R1 = H, cation, alkyl); A1 = N, CY; W = O, S, NR1; k = 1-3; p = 0, 1; Z = H, halo, (halo)alkyl, (halo)alkoxy, NO2, cyano, S(O)nR2 [n = 0-2; R2 = (halo)alkyl]; A2 = S, N:CZ, ZC:CZ; m = 1-3] are prepared 4-Amino-4'-bromobiphenyl was acylated with AcCl, N-methylated using NaH and MeI in Me2SO, and deacetylated with concentrated HCl in MeOH, to give 4-bromo-4'-(methylamino)biphenyl (II). Reaction of II with 2,6-F2C6H3NCO in dioxane at room temperature gave (bromobiphenylyl)(difluorobenzoyl)methylurea III. At 0.04 ppm III gave ≥90% kill of Spodoptera litura; the demethylated analog required 0.6 ppm for the same effect. An emulsifiable concentrate contained III 5, DMF 5, polyoxyethylene alkylaryl ether 10, and xylene 80 parts by weight

IT **115704-74-0P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as pesticide)

RN 115704-74-0 HCAPLUS

CN Benzamide, N-[[[4-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methylamino]phenyl]methylamino]carbonyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



L39 ANSWER 56 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1985:487832 HCAPLUS

DN 103:87832

TI Reactions of ethyl 4-chloro-5-pyrimidinecarboxylates with 2-aminopyridine. Synthesis of 5H-pyrido[1,2-a]pyrimido[5,4-e]pyrimidin-5-ones and 5H-pyrido[1,2-a]pyrimido[4,5-d]pyrimidin-5-ones and rearrangement of the former to the latter

AU Kim, Dong Han

CS Res. Div., Wyeth Lab., Inc., Philadelphia, PA, 19101, USA

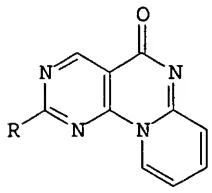
SO Journal of Heterocyclic Chemistry (1985), 22(1), 173-6
CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

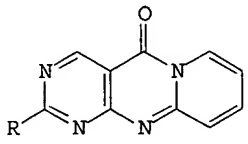
LA English

OS CASREACT 103:87832

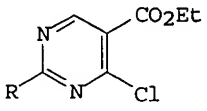
GI



I



II



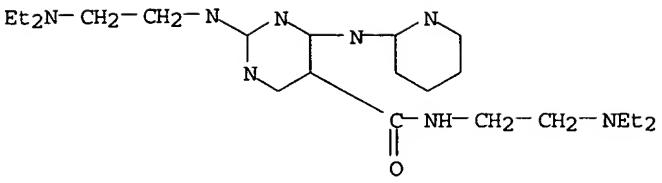
III

AB Title compds. I and II (R = MeS, Ph) were synthesized from pyrimidinecarboxylates III and 2-aminopyridine. I were obtained directly upon heating the reactants in ethanol, and the latter were prepared by the fusion of Et 4-(2-pyridylamino)-5-pyrimidinecarboxylates obtained as minor products from the above reaction. Heating II (R = MeS) with morpholine gave II (R = morpholino).

IT **115927-82-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 115927-82-7 HCAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-(diethylamino)ethyl]-2-[[2-(diethylamino)ethyl]amino]-4-(2-pyridinylamino)- (9CI) (CA INDEX NAME)

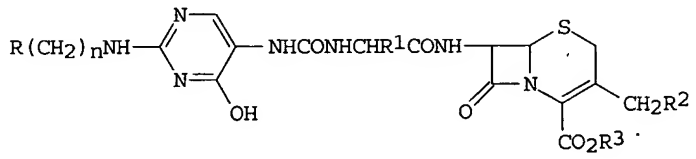


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

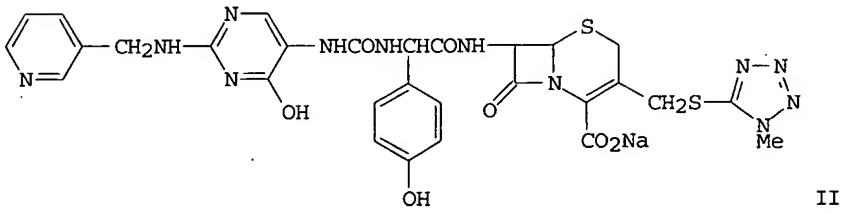
L39 ANSWER 57 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1984:209516 HCAPLUS
DN 100:209516
TI Cephalosporin derivatives
IN Wetzel, Bernd; Woitun, Eberhard; Reuter, Wolfgang; Maier, Roland; Lechner, Uwe; Goeth, Hanns
PA Boehringer Ingelheim International G.m.b.H., Fed. Rep. Ger.
SO U.S., 48 pp. Cont.-in-part of U.S. Ser. No. 163,194, abandoned.
CODEN: USXXAM
DT Patent
LA English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US---4415566 A		19831115	1980US-0191423	19800926
PRAI 1979DE-2938344	19790713			
1980US-0163194	19800626			

GI



I

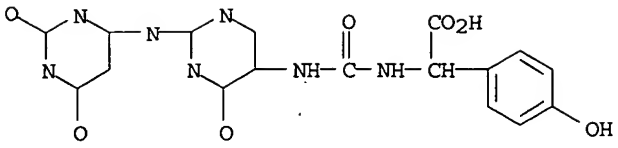


II

AB Cephalosporins I (R = heterocyclic; R1 = Ph, substituted Ph, cyclohexyl, cyclohexenyl, cyclohexadienyl, thienyl, furyl, R2 = heterocyclylthio; R3 = H, protective group; n = 0, 1) were prepared Thus II was obtained by treating the aminocephem with the ureidoacetic acid obtained from 4-HOC6H4CH(NH2)CO2H, COCl2, and 3-pyridylmethylamine. II had a min. inhibitory concentration against Escherichia coli ATCC 11775 of 0.12 μg/mL. Penicillin analogs of I were similarly prepared

IT **90061-19-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephem by)

RN 90061-19-1 HCAPLUS
CN Benzeneacetic acid, α-[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)



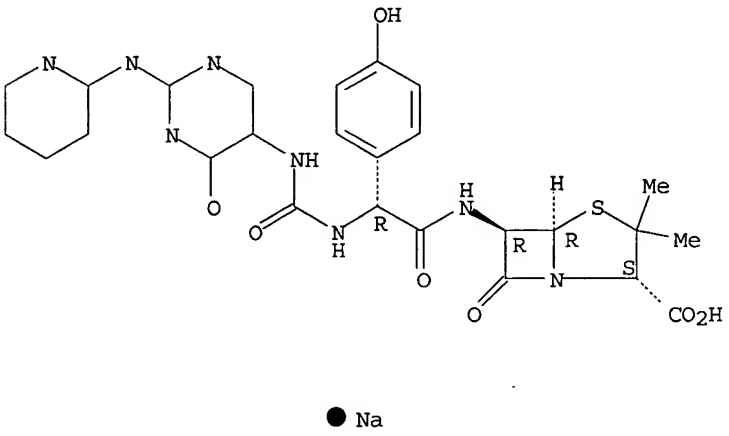
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT **77962-43-7P 77962-51-7P 90129-84-3P**
90129-85-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 77962-43-7 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[[1,4-dihydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



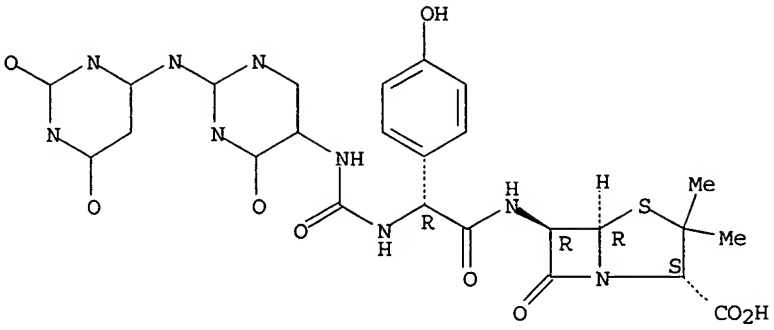
● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 77962-51-7 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

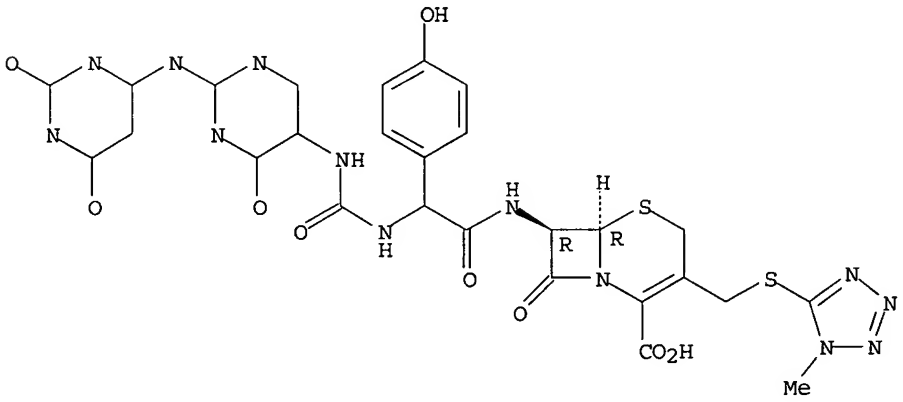
Absolute stereochemistry.



● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 90129-84-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-(6α,7β)]- (9CI) (CA INDEX NAME)

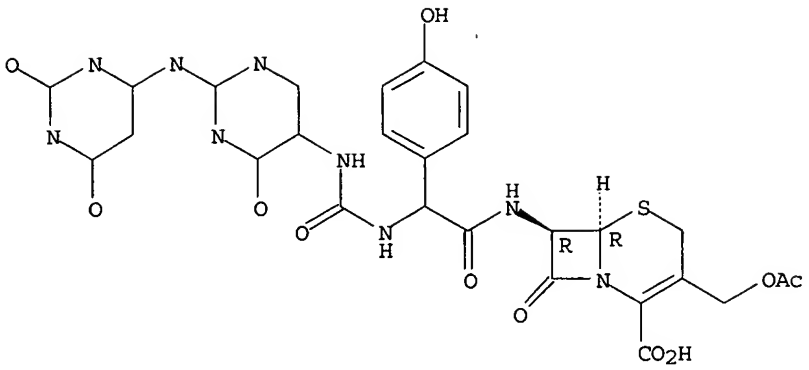
Absolute stereochemistry.



● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 90129-85-4 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-8-oxo-, monosodium salt, [6R-(6α,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L39 ANSWER 58 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1984:120899 HCAPLUS
DN 100:120899
TI N-benzoyl-N'-phenylurea compounds
PA Ishihara Sangyo Kaisha, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP--58185563	A2	19831029	1982JP-0068242	19820423 <--
PRAI 1982JP-0068242		19820423 <--		

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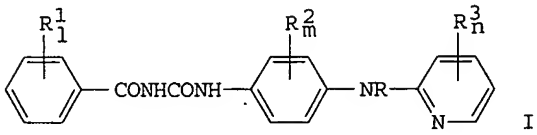

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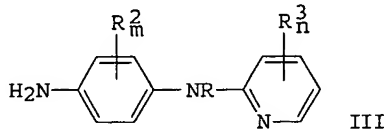


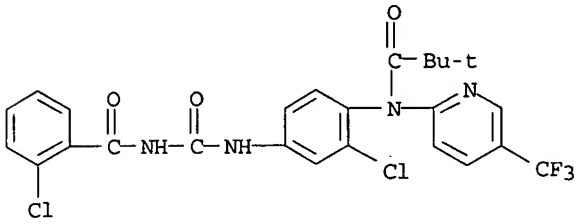
III

AB Fifteen N-benzoyl-N'-phenylurea compds. I (R = H, alkyl, alkenyl, acyl; R1, R2 = halo, alkyl, alkoxy, CF3, alkenyl, cyano, CO2H, alkoxycarbonyl; R3 = halo, CF3; l, m, n = 0-2) were prepared by reaction of R1lC6H5-lCONCO (II) with III. Insecticidal test data of I were shown against Plutella maculipennis, Prodenia litura, and Culex pipiens. Thus, reaction of 0.6 g II (R1l = 2-Cl) with 1.3 g III (R = Me3CCO, R2m = 3-Cl, R3n = 5-F3C) in dioxane 4 h at room temperature gave 1.1 g I (R = Me3CCO, R1l = 2-Cl, R2m = 3-Cl, R3n = 5-F3C).

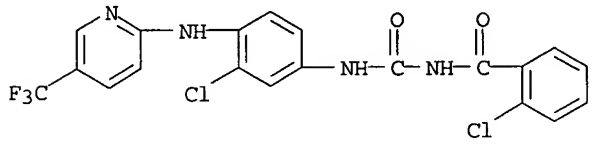
IT **89245-43-2P 89245-44-3P 89245-45-4P**
89245-46-5P 89245-47-6P 89245-48-7P
89245-49-8P 89245-50-1P 89245-51-2P

89245-55-6P 89245-56-7P 89245-57-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and insecticidal activity of)

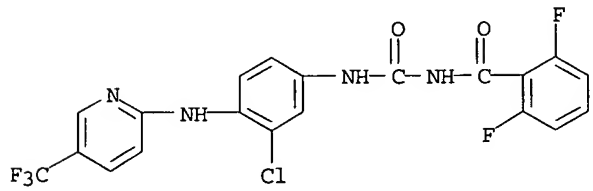
RN 89245-43-2 HCAPLUS
CN Benzamide, 2-chloro-N-[[[3-chloro-4-[(2,2-dimethyl-1-oxopropyl)[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



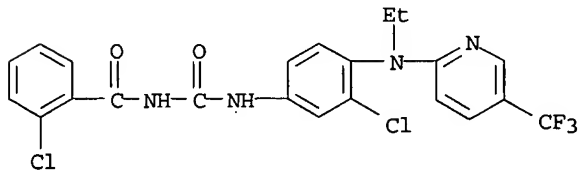
RN 89245-44-3 HCAPLUS
CN Benzamide, 2-chloro-N-[[[3-chloro-4-[[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 89245-45-4 HCAPLUS
CN Benzamide, N-[[[3-chloro-4-[[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl]amino]carbonyl]-2,6-difluoro- (9CI) (CA INDEX NAME)

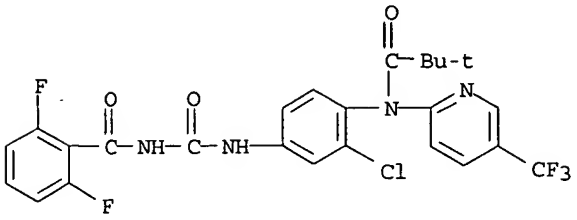


RN 89245-46-5 HCAPLUS
CN Benzamide, 2-chloro-N-[[[3-chloro-4-[ethyl[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

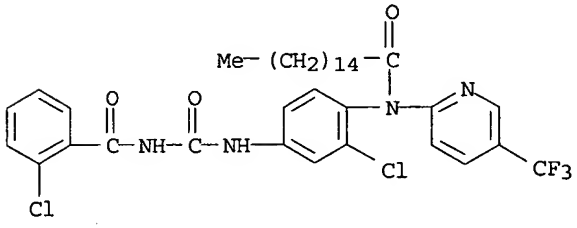


RN 89245-47-6 HCAPLUS
CN Benzamide, N-[[[3-chloro-4-[(2,2-dimethyl-1-oxopropyl)[5-(trifluoromethyl)-

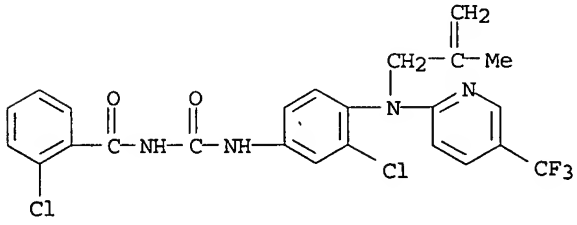
2-pyridinyl]amino]phenyl]amino]carbonyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



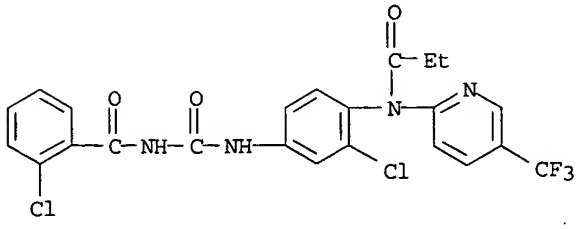
RN 89245-48-7 HCAPLUS
CN Benzamide, 2-chloro-N-[[[3-chloro-4-[(1-oxohexadecyl)[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 89245-49-8 HCAPLUS
CN Benzamide, 2-chloro-N-[[[3-chloro-4-[(2-methyl-2-propenyl)[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

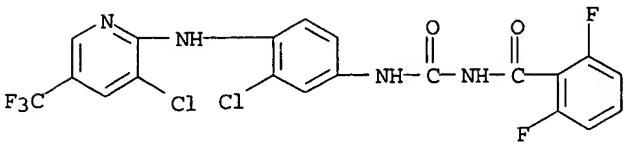


RN 89245-50-1 HCAPLUS
CN Benzamide, 2-chloro-N-[[[3-chloro-4-[(1-oxopropyl)[5-(trifluoromethyl)-2-pyridinyl]amino]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

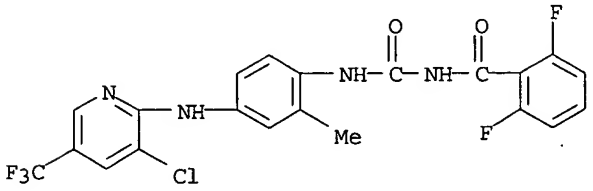


RN 89245-51-2 HCAPLUS
CN Benzamide, N-[[[3-chloro-4-[[3-chloro-5-(trifluoromethyl)-2-

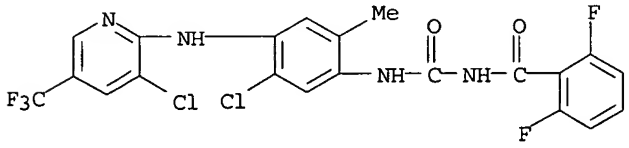
pyridinyl]amino]phenyl]amino]carbonyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



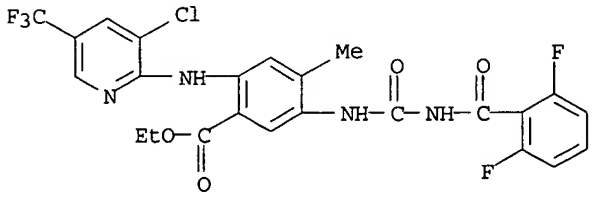
RN 89245-55-6 HCAPLUS
CN Benzamide, N-[[[4-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino]-2-methylphenyl]amino]carbonyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



RN 89245-56-7 HCAPLUS
CN Benzamide, N-[[[5-chloro-4-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino]-2-methylphenyl]amino]carbonyl]-2,6-difluoro- (9CI) (CA INDEX NAME)



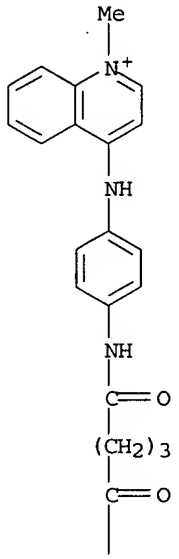
RN 89245-57-8 HCAPLUS
CN Benzoic acid, 2-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]amino]-5-[[[(2,6-difluorobenzoyl)amino]carbonyl]amino]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



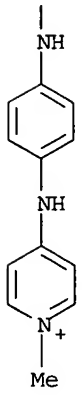
L39 ANSWER 59 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1984:79557 HCAPLUS
DN 100:79557
TI Induction of petite mutants in yeast by nonintercalative DNA-binding antitumor agents
AU Ferguson, Lynnette R.; Baguley, Bruce C.
CS Med. Sch., Univ. Auckland, Auckland, N. Z.
SO European Journal of Cancer & Clinical Oncology (**1983**), 19(11), 1575-83

CODEN: EJCODS; ISSN: 0277-5379
DT Journal
LA English
AB A series of 17 bis-charged nonintercalative DNA-binding antitumor agents and 7 related inactive compds. have been tested for the induction of respiratory deficient (petite) mutants in Saccharomyces cerevisiae D5. Many compds. were strong inducers of petite mutants at concns. which were not toxic to the growth of the yeast cells. Mutagenicity is only weakly correlated with in vitro inhibition of L1210 cell growth; however, mutagenicity, yeast toxicity and in vitro and in vivo antitumor activity are all correlated with selective binding to polydeoxy(adenylic-thymidylic) acid [26966-61-0] rather than polydeoxy(guanylic-cytidylic) acid [36786-90-0]. Thus, A-T-rich DNA may be a target for all the biol. effects measured in this study. Furthermore, the possibility that the target for antitumor action may be tumor cell mitochondrial DNA is supported by these results.
IT **81944-20-9**
RL: BIOL (Biological study)
(petite mutants in Saccharomyces cerevisiae induction by, DNA binding and antitumor activity in relation to)
RN 81944-20-9 HCAPLUS
CN Quinolinium, 1-methyl-4-[[4-[[5-[[4-[(1-methylpyridinium-4-yl)amino]phenyl]amino]-1,5-dioxopentyl]amino]phenyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

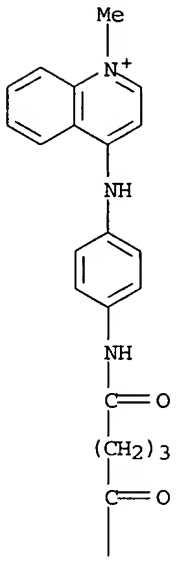


L39 ANSWER 60 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1982:400302 HCAPLUS
DN 97:302
TI A comparison of the requirements for antitumor activity and antibacteriophage lambda activity for a series of nonintercalative DNA-binding agents
AU Robertson, Iain G. C.; Baguley, Bruce C.
CS Dep. Cell Biol., Univ. Auckland, Auckland, N. Z.
SO European Journal of Cancer & Clinical Oncology (**1982**), 18(3), 271-9
CODEN: EJCODS; ISSN: 0277-5379
DT Journal
LA English
AB A series of nonintercalative DNA-binding agents, comprising mainly bisquaternary ammonium heterocyclic compds., inhibit strongly the production of bacteriophage lambda following its induction in Escherichia coli. The inhibition is much greater than that found with a number of DNA intercalating agents, including 9-aminoacridine, ethidium, and Daunorubicin. The inhibition correlated with antitumor effect, as measured in a life extension assay with L1210 leukemia. Activity in both biol. systems demanded the presence of strongly charged groups and a rigid coplanar aromatic skeleton, these requirements being almost identical to those needed to displace ethidium efficiently from DNA in a simple assay system. Biol. activity may be associated with the ability of these agents to bind in the minor groove of the DNA double helix. Previous data on the antibacteriophage action of one of these agents suggests possible models for antitumor activity.
IT **81944-21-0**
RL: BIOL (Biological study)
(bacteriophage λ and neoplasm inhibition by, structure in relation to)
RN 81944-21-0 HCAPLUS
CN Quinolinium, 1-methyl-4-[[4-[[5-[[4-[(1-methylpyridinium-4-yl)amino]phenyl]amino]-1,5-dioxopentyl]amino]phenyl]amino]-, salt with 4-methylbenzenesulfonic acid (1:2) (9CI) (CA INDEX NAME)

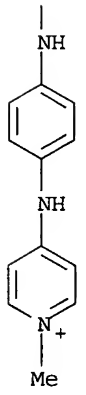
CM 1

CRN 81944-20-9
CMF C33 H34 N6 O2

PAGE 1-A

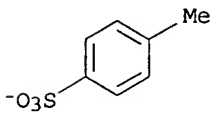


PAGE 2-A



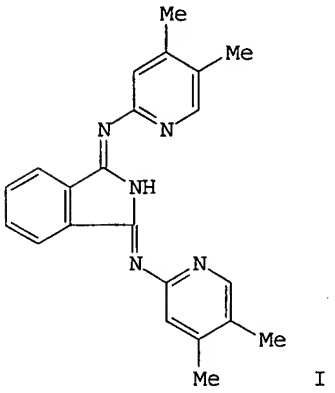
CM 2

CRN 16722-51-3
CMF C7 H7 O3 S



L39 ANSWER 61 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1982:181110 HCAPLUS
DN 96:181110
TI Metal-chelating 1,3-bis(2-pyridylimino)isoindolines
AU Siegl, Walter O.

CS Ford Motor Co., Dearborn, MI, 48121, USA
SO Journal of Heterocyclic Chemistry (**1981**), 18(8), 1613-18
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 96:181110
GI

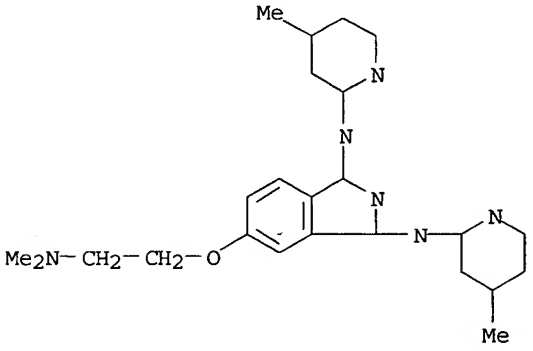


I

AB A variety of novel chelating 1,3-bis(2-pyridylimino)isoindoline ligands, e.g. I, were prepared by reaction of phthalonitriles or 1,3-diiminoisoindolines with 2-aminopyridines and characterized including ligands substituted on both the pyridyl and isoindoline ring systems. Noteworthy are the 1st isoindoline ligands with solubility in aqueous media. A convenient preparation of 4-alkoxyphthalonitriles is reported; these compds. are readily obtained from 4-nitrophthalonitrile and are suitable starting materials for alkoxy-substituted ligands.

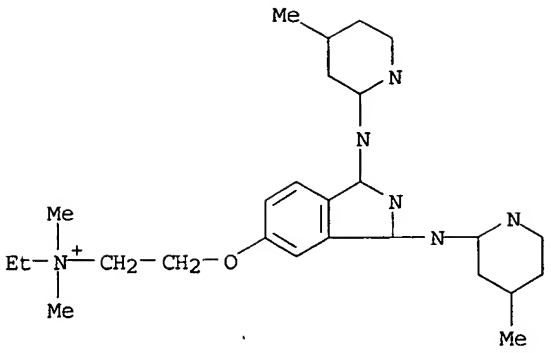
IT **81560-28-3P 81560-29-4P 81560-30-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 81560-28-3 HCAPLUS
CN 1H-Isoindol-3-amine, 5-[2-(dimethylamino)ethoxy]-N-(4-methyl-2-pyridinyl)-1-[(4-methyl-2-pyridinyl)imino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 81560-29-4 HCAPLUS
CN Ethanaminium, N-ethyl-N,N-dimethyl-2-[[3-[(4-methyl-2-pyridinyl)amino]-1-[(4-methyl-2-pyridinyl)imino]-1H-isoindol-5-yl]oxy]-, iodide (9CI) (CA INDEX NAME)

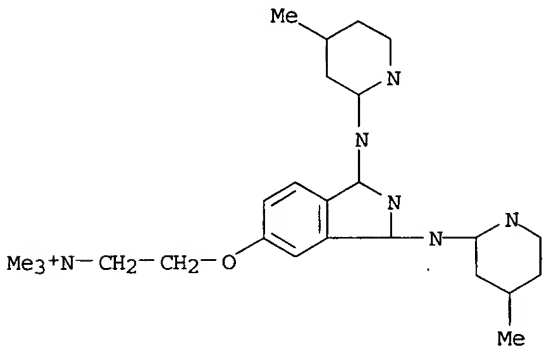


● I⁻

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 81560-30-7 HCAPLUS

CN Ethanaminium, N,N,N-trimethyl-2-[[3-[(4-methyl-2-pyridinyl)amino]-1-[(4-methyl-2-pyridinyl)imino]-1H-isoindol-5-yl]oxy]-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L39 ANSWER 62 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1981:516041 HCAPLUS

DN 95:116041

TI Polymeric chelating ligands derived from 1,3-bis(2'-pyridylimino)isoindolines

AU Siegl, Walter O.

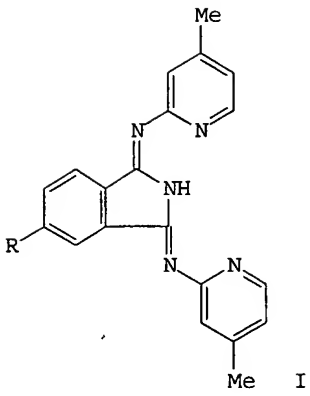
CS Eng. Res. Staff, Ford Motor Co., Dearborn, MI, 48121, USA

SO Chemistry & Industry (London, United Kingdom) (1981), (8), 291-2
CODEN: CHINAG; ISSN: 0009-3068

DT Journal

LA English

GI



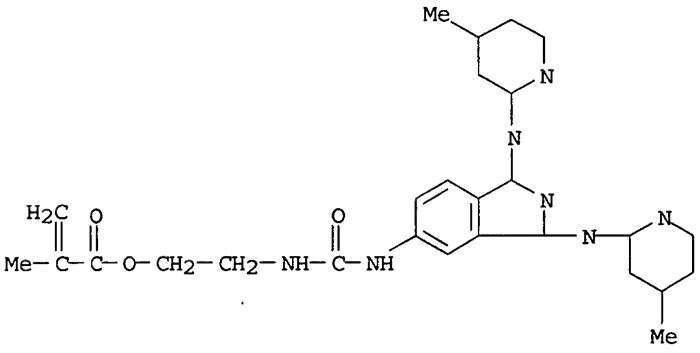
I

AB 4-Nitrophthalonitrile [31643-49-9] underwent CaCl2-facilitated condensation with 2-amino-4-methylpyridine [695-34-1] to give 79% of the isoindoline derivative I (R = NO2) [78696-54-5], which on reduction with H (60 psi H, EtOH, 10% Pd/C, 25°) gave 90% I (R = NH2) (II) [78696-55-6]. Treatment of II with a chlorosulfonated styrene-divinylbenzene copolymer led to a maximum loading of 15% based on the N:S ratio. II with CH2:CMeCOCl [920-46-7] and CH2:CMeCO2(CH2)2NCO [30674-80-7] gave 68% I (R = NHCOCMe:CH2) (III) [78696-56-7] and 67% I [R = NHCONH(CH2)2O2CCMe:CH2] (IV) [**78696-57-8**], resp. III and IV polymerized in DMF at 60-70° to give 65% III homopolymer [78705-29-0] and 86% IV homopolymer [**78705-30-3**], resp. The 2 homopolymers reacted with Cu2+ to give complexes in which 94 and 77% of the chelating sites, resp., were occupied by Cu2+.

IT **78696-57-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and polymerization of)

RN 78696-57-8 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[[[[3-[(4-methyl-2-pyridinyl)amino]-1-[(4-methyl-2-pyridinyl)imino]-1H-isoindol-5-yl]amino]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

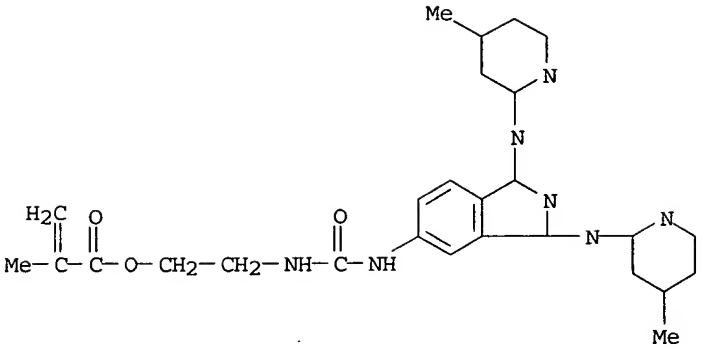
IT **78705-30-3DP**, copper complexes **78705-30-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 78705-30-3 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[[[[3-[(4-methyl-2-pyridinyl)amino]-1-[(4-methyl-2-pyridinyl)imino]-1H-isoindol-5-yl]amino]carbonyl]amino]ethyl ester, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 78696-57-8
CMF C27 H27 N7 O3

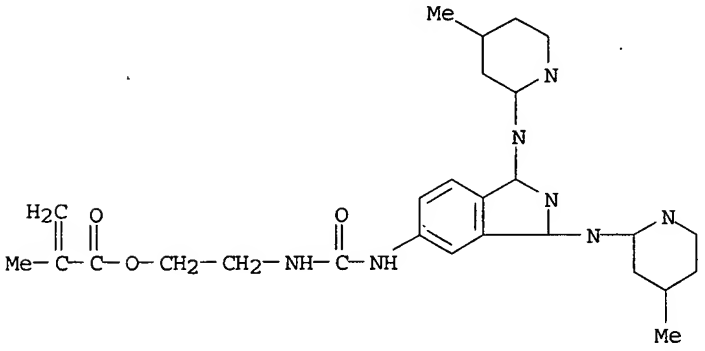


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 78705-30-3 HCAPLUS
CN 2-Propenoic acid, 2-methyl-, 2-[[[[3-[(4-methyl-2-pyridinyl)amino]-1-[(4-methyl-2-pyridinyl)imino]-1H-isoindol-5-yl]amino]carbonyl]amino]ethyl ester, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 78696-57-8
CMF C27 H27 N7 O3



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L39 ANSWER 63 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1981:425097 HCAPLUS
DN 95:25097
TI Beta-lactam compounds and therapeutic agents containing them
IN Wetzel, Bernd; Woitun, Eberhard; Reuter, Wolfgang; Maier, Roland; Lechner, Uwe; Goeth, Hanns
PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SO Eur. Pat. Appl., 61 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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	EP-----22494	B1	19830223		
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	AT------2621	E	19830315	1980AT-0103612	19800626 <--
	DD----151941	C	19811111	1980DD-0222305	19800701 <--
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	FI---8002227	A	19810114	1980FI-0002227	19800711 <--
	NO---8002086	A	19810114	1980NO-0002086	19800711 <--
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	AU----539009	B2	19840906		
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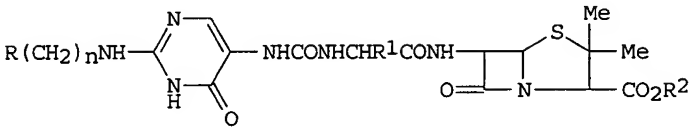
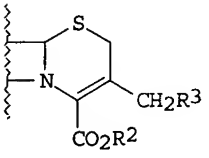
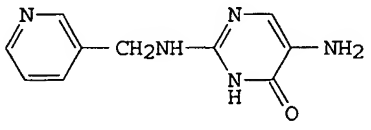


I



II



III

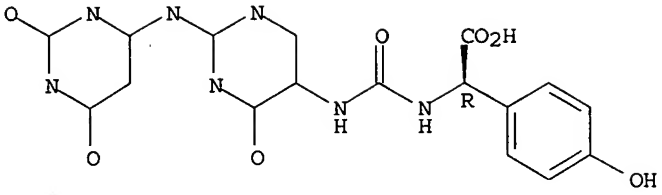
AB Lactams I and II (R = optionally substituted heterocyclic; R1 = optionally substituted Ph, thienyl, furyl, optionally unsatd. cyclohexyl; R2 = H, protective group; R3 = H, OH, OAc, O2CNH2, pyridinium, 4-carbamoylpyridinium, heterocyclylthio; n = 0, 1) were prepared Thus amoxycillin was treated with COCl2 and the aminopyrimidine III to give 79% I (R = 3-pyridyl, R1 = 4-HOC6H4, R2 = Na, n = 1) which had a min. inhibitory concentration against Escherichia coli ATCC 9637 of 0.5 μg/mL.

IT **77962-13-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and acylation of aminocephem and aminopenam by)

RN 77962-13-1 HCAPLUS

CN Benzeneacetic acid, α-[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino]-4-hydroxy-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



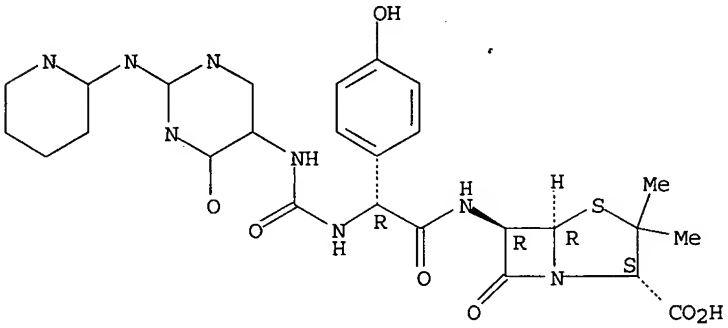
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT **77962-43-7P 77962-45-9P 77962-51-7P**
77968-92-4P 77968-93-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 77962-43-7 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[[1,4-dihydro-4-oxo-2-(2-pyridinylamino)-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



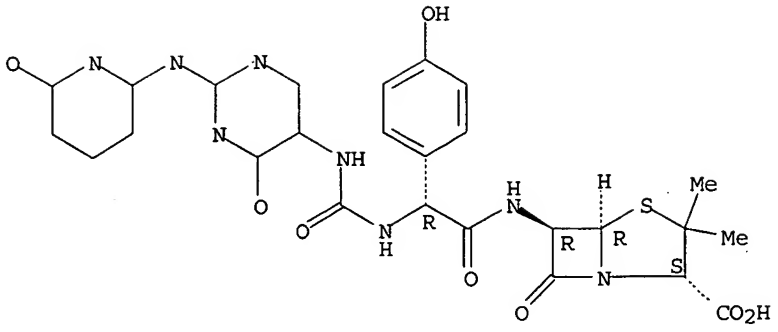
● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 77962-45-9 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[[2-[(1,6-dihydro-6-oxo-2-pyridinyl)amino]-1,4-dihydro-4-oxo-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

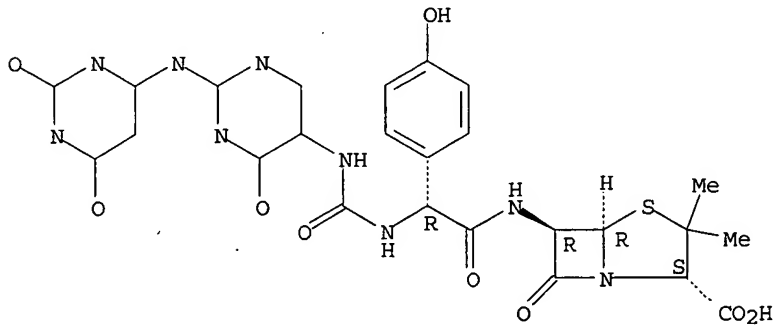
Absolute stereochemistry.



● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 77962-51-7 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

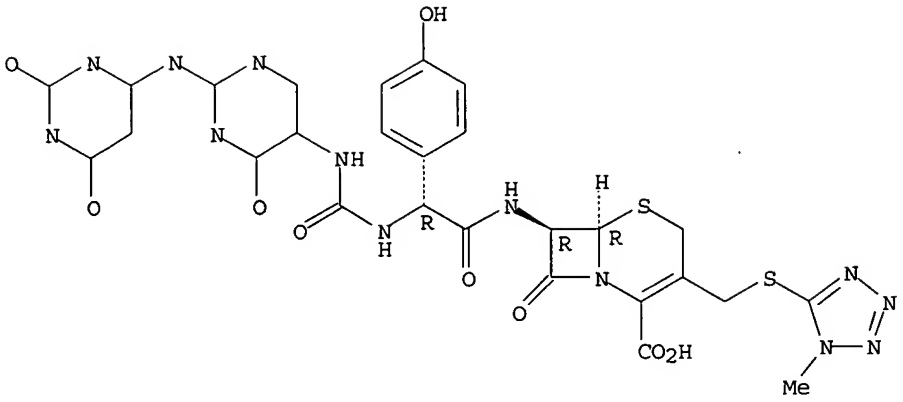
Absolute stereochemistry.



● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 77968-92-4 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-[6α,7β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



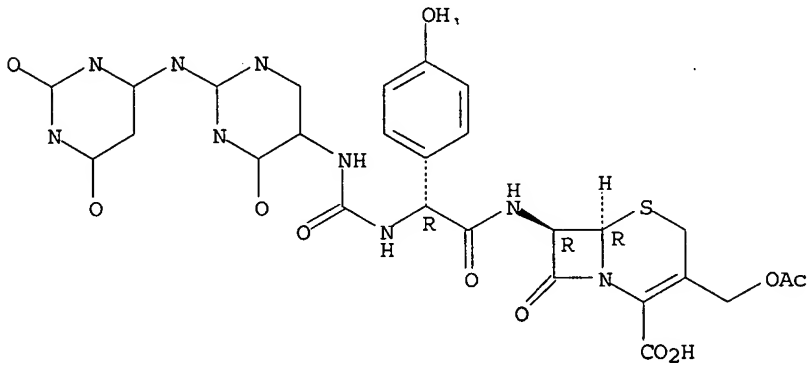
● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 77968-93-5 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[[[[[1,4-dihydro-4-oxo-2-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)amino]-5-pyrimidinyl]amino]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-8-oxo-, monosodium salt, [6R-[6α,7β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L39 ANSWER 64 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1981:57955 HCAPLUS

DN 94:57955

TI Synthesis and antimalarial effects of N2-aryl-N4-[(dialkylamino)alkyl]- and N4-aryl-N2-[(dialkylamino)alkyl]-2,4-quinazolinediamines

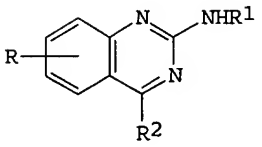
AU Elslager, Edward F.; Hess, Carolyn; Johnson, Judith; Ortwine, Daniel; Chu, Vera; Werbel, Leslie M.

CS Pharm. Res. Div., Warner-Lambert/Parke Davis, Ann Arbor, MI, 48106, USA

SO Journal of Medicinal Chemistry (1981), 24(2), 127-40
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English
OS CASREACT 94:57955
GI

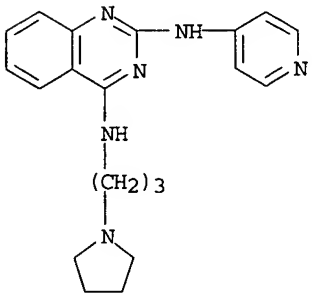


I

AB The title compds. I (R = H, Cl, NH2, NO2, etc.; R1 = substituted Ph, heterocyclic, or dialkylaminoalkyl; R2 = dialkylaminoalkyl, substituted heterocyclic, or substituted Ph) were prepared by stepwise reactions from either 2,4-dichloroquinazoline [607-68-1] or 2-chloro-4-quinazolinol [607-69-2], and tested in mice for antimalarial activity. N2-(3,4-Dichlorophenyl)-N4-[2-(1-methyl-2-pyrrolidinyl)ethyl]-2,4-quinazolinediamine-2HCl [76004-48-3] was among the more active compds. Structure-activity relations are discussed.

IT **76005-07-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antimalarial activity of)

RN 76005-07-7 HCAPLUS
CN 2,4-Quinazolinediamine, N2-4-pyridinyl-N4-[3-(1-pyrrolidinyl)propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L39 ANSWER 65 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1979:66509 HCAPLUS
DN 90:66509
TI Potential antitumor agents. 29. Quantitative structure-activity relationships for the antileukemic bisquaternary ammonium heterocycles
AU Denny, William A.; Atwell, Graham J.; Baguley, Bruce C.; Cain, Bruce F.
CS Exp. Chemother. Res. Lab., Pew Zealand Cancer Soc., Auckland, N. Z.
SO Journal of Medicinal Chemistry (1979), 22(2), 134-50
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Quant. relations between physicochem. drug properties and antileukemic (L1210) efficacy were examined for a series of bisquaternary ammonium heterocycles employing multiple variable regression anal. The synthesis of these compds. is described. The drug dose necessary to provide a 40%

increase in life span and the chemotherapeutic index were independent of toxicity. There was a parabolic relation between agent lipophilic-hydrophilic balance and the percentage increase in mean life span of leukemic animals at the LD10 dose. Relative levels of drug-DNA interaction were obtained by spectrofluorimetric quantitation of drug displacement of DNA-bound ethidium. Extensive quant. structure-activity relations are discussed.

IT **50309-29-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antileukemic activity of)

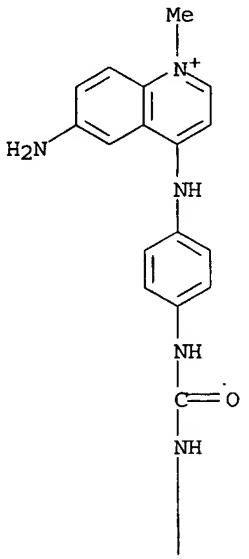
RN 50309-29-0 HCAPLUS

CN Quinolinium, 6-amino-1-methyl-4-[[4-[[[[4-[(1-methylpyridinium-4-yl)amino]phenyl]amino]carbonyl]amino]phenyl]amino]-, salt with 4-methylbenzenesulfonic acid (1:2) (9CI) (CA INDEX NAME)

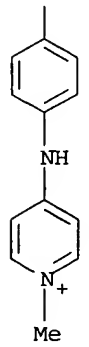
CM 1

CRN 50576-42-6
CMF C29 H29 N7 O

PAGE 1-A

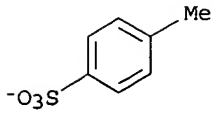


PAGE 2-A



CM 2

CRN 16722-51-3
CMF C7 H7 O3 S



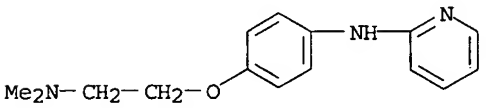
L39 ANSWER 66 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1976:542784 HCAPLUS
DN 85:142784
TI Substituted N-arylanilines
IN Schulenberg, John W.
PA Sterling Drug Inc., USA
SO U.S., 14 pp. Division of U.S. 3,625,972.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US---3960886	A	19760601	1970US-0091515	19701120 <--
US---3625972	A	19711207	1968US-0742161	19680703 <--
PRAI 1968US-0742161	A3	19680703 <--		

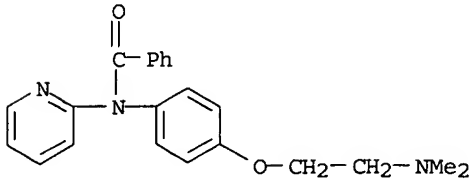
AB PhNR1R2 [R1, R2 = e.g., Ph, 4-(2-morpholinoethoxy)phenyl, 4-MeOC6H4, 4-[Me2N(CH2)3O]C6H4, 2-MeC6H4CO, 2,4-(MeO)2C6H3CO, R3C6H4CO, R3 = 4-Me2N(CH2)2O, 4-[2-(1-pyrrolidinyl)ethoxy]] (.apprx.70 compds.), with hypocholesteremic activity in doses of 100 mg/kg/day, were prepared via alkylation, acylation, and reduction reactions. Thus, 4-(Me2NCH2CH2)C6H4NPhCOC6H4Cl-4, prepared by acylation of 4-(Me2NCH2CH2)C6H4NHPh with 4-ClC6H4COX (X = Cl or Br), was reduced with diborane in THF to give PhN(CH2C6H4Cl-4)C6H4(OCH2CH2NMe2)-4.

IT **60709-37-7P 60709-75-3P 60710-27-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

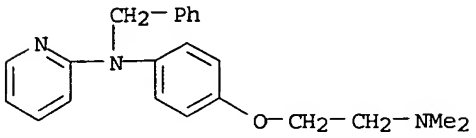
RN 60709-37-7 HCAPLUS
CN 2-Pyridinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 60709-75-3 HCAPLUS
CN Benzamide, N-[4-[2-(dimethylamino)ethoxy]phenyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 60710-27-2 HCAPLUS
CN 2-Pyridinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

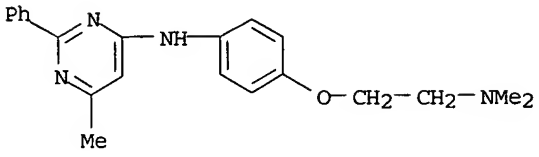


L39 ANSWER 67 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1975:443373 HCAPLUS
DN 83:43373
TI (Phenylamino)pyrimidine pharmaceuticals
IN Fauran, Claude; Bourgery, Guy; Raynaud, Guy; Gouret, Claude
PA Delalande S. A., Fr.
SO Ger. Offen., 49 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

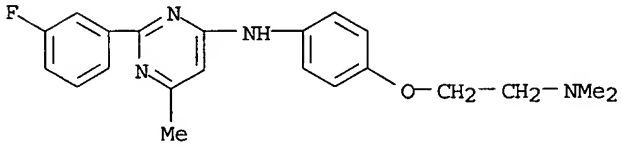
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE---2444426	A1	19750327	1974DE-2444426	19740917 <--
	FR---2244459	A1	19750418	1973FR-0033831	19730920 <--
	FR---2265386	A2	19751024	1974FR-0010327	19740326 <--
	FR---2265386	B2	19780929		
	BE----819057	A1	19750221	1974BE-0147794	19740821 <--
	CH----593266	A	19771130	1974CH-0011401	19740821 <--
	GB---1430729	A	19760407	1974GB-0037550	19740828 <--
	US---3978055	A	19760831	1974US-0502285	19740903 <--
	ES----429869	A1	19761001	1974ES-0429869	19740907 <--
	ZA---7405741	A	19751029	1974ZA-0005741	19740910 <--
	JP--50088079	A2	19750715	1974JP-0105900	19740913 <--
	AU---7473441	A1	19760325	1974AU-0073441	19740918 <--
	CA---1008074	A1	19770405	1974CA-0209631	19740918 <--
	SE---7411806	A	19750321	1974SE-0011806	19740919 <--
	SE----410600	B	19791022		
	NL---7412494	A	19750324	1974NL-0012494	19740920 <--
	US---4025514	A	19770524	1976US-0714472	19760816 <--
	US---4041030	A	19770809	1976US-0714473	19760816 <--
	SU----698531	D	19791115	1977SU-2558803	19771228 <--
PRAI	1973FR-0033831	A	19730920 <--		
	1974FR-0010327	A	19740326 <--		
	1974US-0502285	A2	19740903 <--		
	1976FR-0020775	A	19760707 <--		

GI For diagram(s), see printed CA Issue.
AB Pyrimidines I (R = Ph, 4-ClC6H4, 3-FC6H4, 3-F3CC6H4, 3,4-methylenedioxyphenyl, 3,4,5-(MeO)3C6H2; R1 = 4-CONH2, 4-substituted carbamoyl, 2-carboxylic ester, 2-CONH2, 4-CO2Et, 4-aminoethoxy) (77 compds.) were prepared Thus, I [R = 3,4,5-(MeO)3C6H2, R1 = 4-pyrrolidinylcarbonyl] was obtained by treating the 4-chloropyrimidine with 4-pyrrolidinocarbonylaniline. Various I demonstrated sedative, antihypotesive, antiulcer, vasodilator, bronchodilator, diuretic, antihypertensive, pos. inotropic, analgesic, muscle relaxant, and antiinflammatory activities.
IT **56302-92-2P 56303-02-7P 56303-03-8P**
56303-05-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and analgesic activity of)
RN 56302-92-2 HCAPLUS

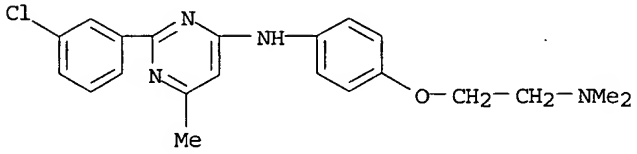
CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-2-phenyl- (9CI) (CA INDEX NAME)



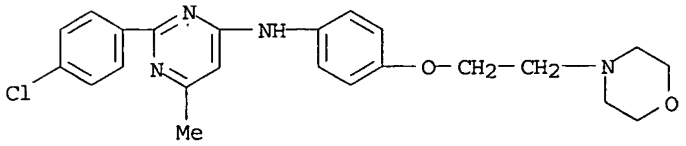
RN 56303-02-7 HCAPLUS
CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-2-(3-fluorophenyl)-6-methyl- (9CI) (CA INDEX NAME)



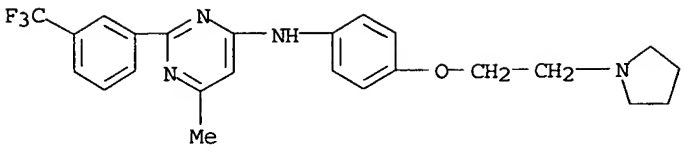
RN 56303-03-8 HCAPLUS
CN 4-Pyrimidinamine, 2-(3-chlorophenyl)-N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl- (9CI) (CA INDEX NAME)



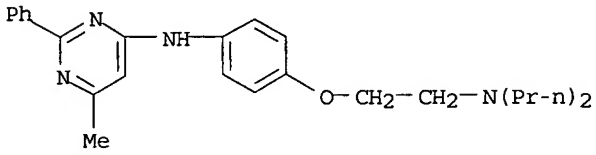
RN 56303-05-0 HCAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



IT **56303-01-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory activity of)
RN 56303-01-6 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

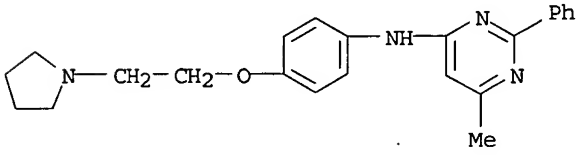


IT **56302-93-3P 56302-94-4P 56302-96-6P**
56302-97-7P 56302-99-9P 56303-06-1P
56303-07-2P 56303-08-3P 56328-03-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and pharmacological activity of)
RN 56302-93-3 HCAPLUS
CN 4-Pyrimidinamine, N-[4-[2-(dipropylamino)ethoxy]phenyl]-6-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

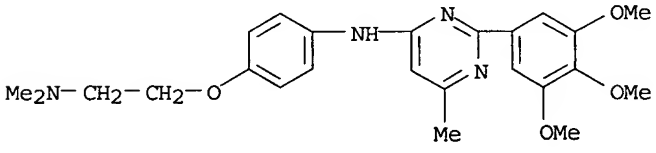


● HCl

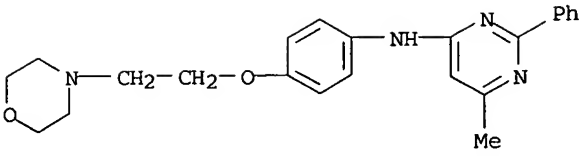
RN 56302-94-4 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-2-phenyl-N-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



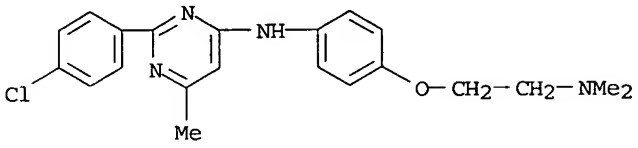
RN 56302-96-6 HCAPLUS
CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-2-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



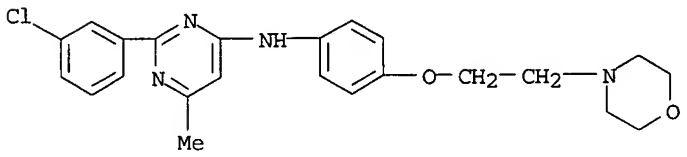
RN 56302-97-7 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



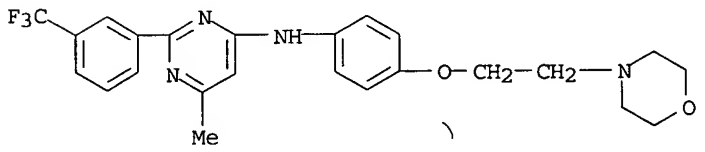
RN 56302-99-9 HCAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl- (9CI) (CA INDEX NAME)



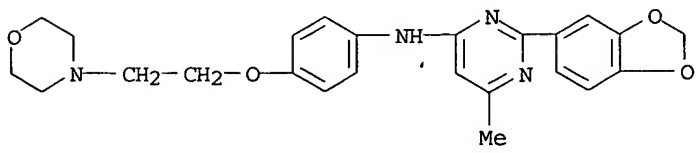
RN 56303-06-1 HCAPLUS
CN 4-Pyrimidinamine, 2-(3-chlorophenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



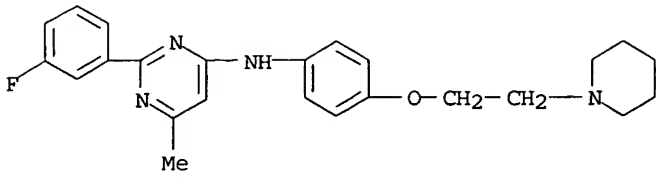
RN 56303-07-2 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



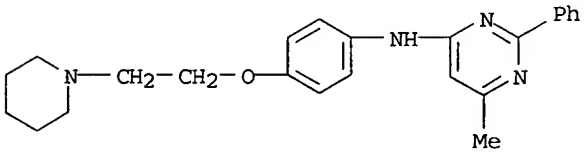
RN 56303-08-3 HCAPLUS
CN 4-Pyrimidinamine, 2-(1,3-benzodioxol-5-yl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



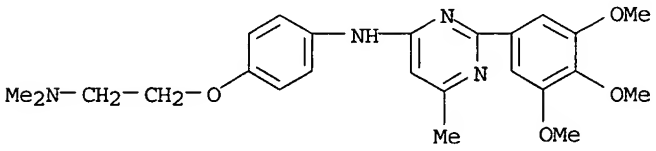
RN 56328-03-1 HCAPLUS
CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



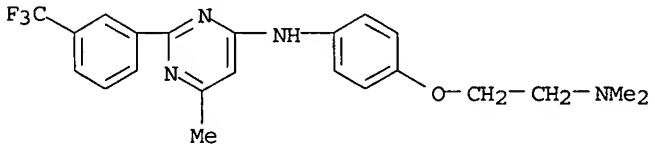
IT **56302-95-5P 56302-96-6P 56303-00-5P**
56303-04-9P 56303-09-4P 56303-10-7P
56303-11-8P 56303-12-9P 56303-13-0P
56303-14-1P 56303-15-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 56302-95-5 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-2-phenyl-N-[4-[2-(1-piperidinyl)ethoxy]phenyl]-(9CI) (CA INDEX NAME)



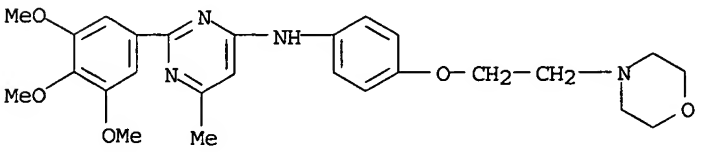
RN 56302-96-6 HCAPLUS
CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-2-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



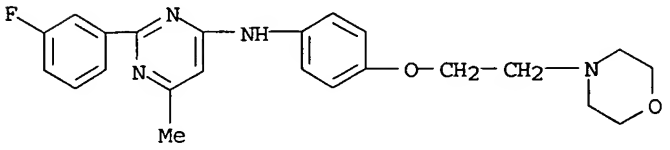
RN 56303-00-5 HCAPLUS
CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



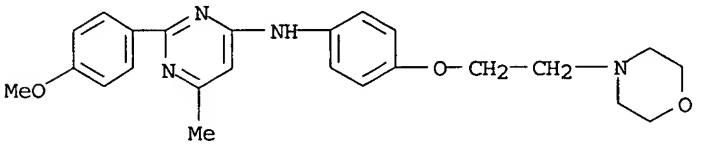
RN 56303-04-9 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



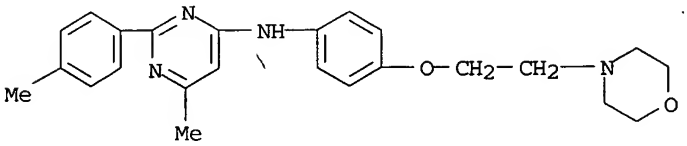
RN 56303-09-4 HCAPLUS
CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



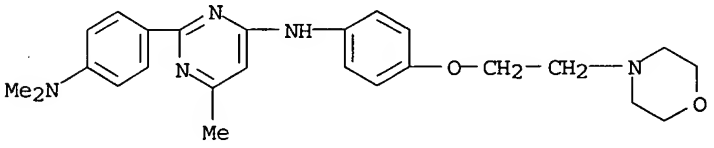
RN 56303-10-7 HCAPLUS
CN 4-Pyrimidinamine, 2-(4-methoxyphenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



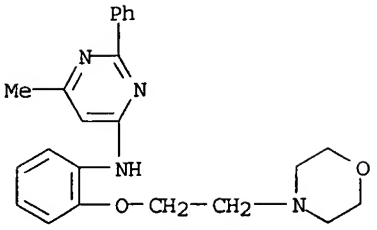
RN 56303-11-8 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



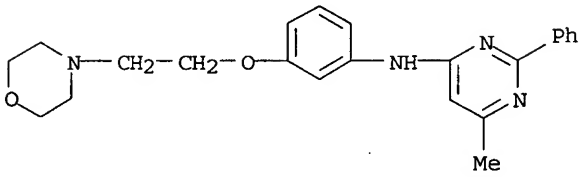
RN 56303-12-9 HCAPLUS
CN 4-Pyrimidinamine, 2-[4-(dimethylamino)phenyl]-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



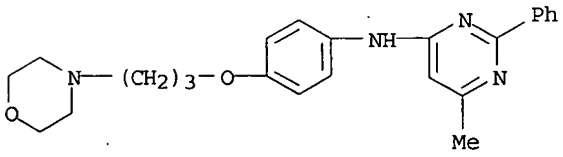
RN 56303-13-0 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-[2-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 56303-14-1 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl-(9CI) (CA INDEX NAME)



RN 56303-15-2 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-[4-[3-(4-morpholinyl)propoxy]phenyl]-2-phenyl-(9CI) (CA INDEX NAME)



L39 ANSWER 68 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1973:542776 HCAPLUS
DN 79:142776
TI Potential antitumor agents. 13. Bisquaternary salts
AU Atwell, G. J.; Cain, B. F.
CS Cancer Chemother. Lab., Cornwall Geriatr. Hosp., Auckland, N. Z.
SO Journal of Medicinal Chemistry (**1973**), 16(6), 673-8
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB 4-Anilinoquinolinium compounds bearing any of a number of quaternary N substituents on the phenyl ring were active in mice against L1210 leukemia. Activity was enhanced by the electron-donor substituents such as an amino group on the quinoline nucleus, as in 6-amino-1-ethyl-4-[p-[p-[(1-ethylpyridinium-4-yl)amino]phenylcarbamoyl]anilino]quinolinium dibromide (I) [42013-69-4], or by a 7-nitro group. I at 0.67 mg/kg/day i.p. for 5 days, given to mice inoculated i.p. with 105 L1210 cells 1 day previously, increased the life span by 40% and 2 out of 6 inoculated mice survived for 100 days when treated with 6.7 mg I/kg/day for 5 days. This survival indicated a lower toxicity of I (and of several related compds. tested) and of some bisquaternary antileukemics reported previously. I was prepared by condensation of 4-chloro-1-ethyl-6-nitroquinolinium [42013-70-7], prepared from 6-nitro-4-hydroxyquinoline [23432-42-0], with 1-ethyl-4-[4-(4-aminobenzamido)anilino]pyridinium [42013-72-9], followed by a reduction of the NO2 group to NH2.
IT **50309-28-9P 50309-29-0P 50440-45-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of)

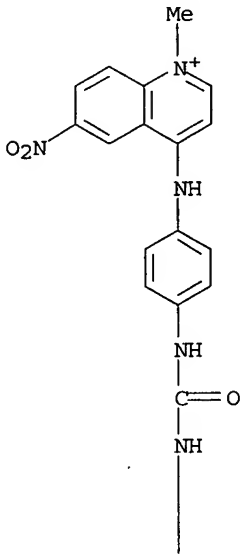
RN 50309-28-9 HCAPLUS

CN Quinolinium, 1-methyl-4-[[4-[[[4-[(1-methylpyridinium-4-yl)amino]phenyl]amino]carbonyl]phenyl]amino]-6-nitro-, salt with 4-methylbenzenesulfonic acid (1:2) (9CI) (CA INDEX NAME)

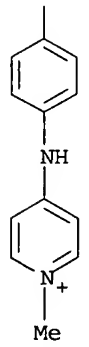
CM 1

CRN 50576-54-0
CMF C29 H27 N7 O3

PAGE 1-A

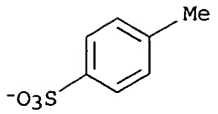


PAGE 2-A



CM 2

CRN 16722-51-3
CMF C7 H7 O3 S

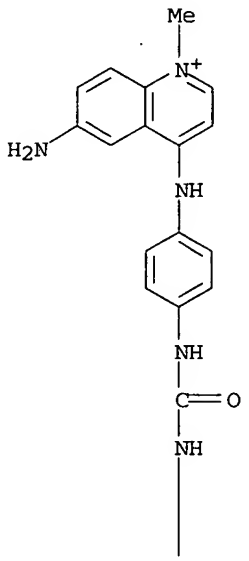


RN 50309-29-0 HCAPLUS
CN Quinolinium, 6-amino-1-methyl-4-[[4-[[[[4-[(1-methylpyridinium-4-yl)amino]phenyl]amino]carbonyl]amino]phenyl]amino]-, salt with 4-methylbenzenesulfonic acid (1:2) (9CI) (CA INDEX NAME)

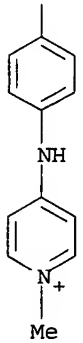
CM 1

CRN 50576-42-6
CMF C29 H29 N7 O

PAGE 1-A

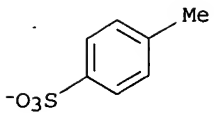


PAGE 2-A



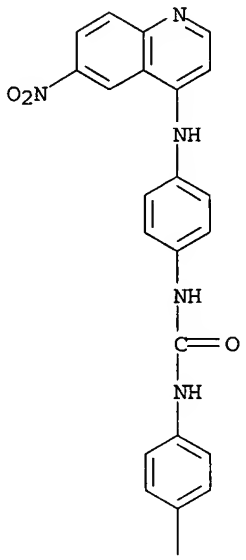
CM 2

CRN 16722-51-3
CMF C7 H7 O3 S

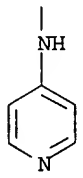


RN 50440-45-4 HCAPLUS
CN Urea, N-[4-[(6-nitro-4-quinolinyl)amino]phenyl]-N'-[4-(4-pyridinylamino)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



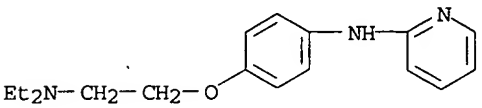
L39 ANSWER 69 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1972:443068 HCAPLUS
DN 77:43068
TI Basic ethers of 2-anilinobenzothiazoles and 2-anilinobenzoxazoles as potential antidepressants
AU Sharpe, C. J.; Palmer, P. J.; Evans, D. E.; Brown, G. R.; King, Gillian; Shadbolt, R. S.; Trigg, R. B.; Ward, R. J.; Ashford, A.; Ross, Janet W.
CS Chem. Dep., Twyford Lab. Ltd., London, UK
SO Journal of Medicinal Chemistry (1972), 15(5), 523-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Some 2-[4-(β-tert-aminoethoxy)anilino]benzothiazoles and the corresponding benzoxazoles reversed reserpine [50-55-5]-induced

hypothermia in mice at low doses. The compds. were classified as antidepressants with a mild stimulant component, with properties intermediate between those of imipramine [50-49-7] and amphetamine [300-62-9]. Several of the more active compds. caused a severe stereotyped behavioral response in cats; the most active compds. not causing this response were 2-[4-[β-(1-pyrrolidinyl)ethoxyanilino]benz othiazole (I) [35189-20-9] and the corresponding benzoxazole (II). The ED50 values for antireserpine activity in mice were 3.7 and 4.3 mg/kg perorally, resp., for I and II. The compds. were prepared by reacting the 2-chlorobenzazoles with substituted anilines in refluxing DMF or Cl2CHCHCl2.

IT **38519-71-0**
RL: BIOL (Biological study)
(antidepressant activity and behavior in response to)

RN 38519-71-0 HCAPLUS

CN 2-Pyridinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 70 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1968:49453 HCAPLUS

DN 68:49453

TI N-Pyridyl-4-dialkylaminoalkoxyanilines

IN English, Jackson P.; Bach, Frederick L., Jr.; Gordon, Samuel

PA American Cyanamid Co.

SO U.S., 2 pp.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US---3330832		19670711	1966US-0597516	19661129 <--

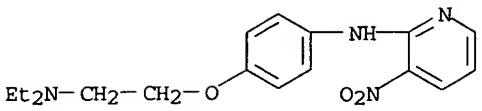
GI For diagram(s), see printed CA Issue.

AB Reaction of halopyridines with 4-dialkylaminoalkoxyanilines yields the title compds. (I). A solution of 9.6 g. 4-BrC5H4N.HBr and excess p-H2NC6H4OCH2CH2NEt2 in 100 ml. EtOH was warmed, then concentrated to a semisolid, which was triturated with H2O, dissolved in Et2O, and precipitated by adding petroleum ether to give N-(4-pyridyl)-p-(2-diethylaminoethoxy)aniline, gray-green, m. 125-7°. Also prepared were N-(3-nitro-2-pyridyl)-p-(2-diethylaminoethoxy)aniline, m. 47-8° (Et2O-petroleum ether), and N-(5-nitro-2-pyridyl)-p-(2-diethylaminoethoxy) aniline, m. 143-5° (C6H6-petroleum ether). Et2NCH2CHMeONa, from 7.2 g. NaH and 131 g. of the alc., and 72.3 g. p-FC6H4NO2 gave Et2NCH2CHMeOC6H4NO2-p, yellow oil, b0.3-0.4 130-5°, which was reduced by H and 5% Pd-C to give Et2NCH2CHMeOC6H4NH2-p (II), b1 147-9°. II (5.6 g.) and 3.8 g. 2-chloro-5-nitropyridine gave N-(5-nitro-2-pyridyl)-p-(2-diethylamino-1-methylethoxy)aniline, m. 56-61° (Et2O-petroleum ether). These products have hypocholesteremic activity.

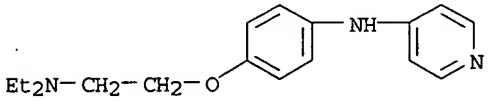
IT **1240-59-1P 2101-60-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 1240-59-1 HCAPLUS

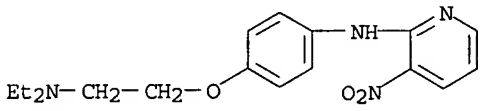
CN 2-Pyridinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-3-nitro- (9CI) (CA INDEX NAME)



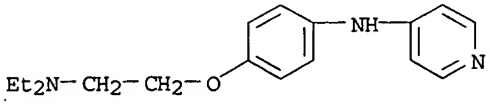
RN 2101-60-2 HCAPLUS
CN Pyridine, 4-[β-(diethylamino)-p-phenetidino]- (7CI, 8CI) (CA INDEX NAME)



L39 ANSWER 71 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1967:499762 HCAPLUS
DN 67:99762
TI Nonsteroidal hypocholesteremic agents. I. Synthesis and serum sterol lowering properties of substituted 4-(2-dialkylaminoethoxy)diphenylamines and related compounds
AU Bach, Frederick L., Jr.; Barclay, John C.; Cohen, Elliott
CS American Cyanamid Co., Pearl River, NY, USA
SO Journal of Medicinal Chemistry (1967), 10(5), 802-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The preparation and serum sterol lowering properties of a series of 4,4'-disubstituted diphenylamines and related compds., e.g. I, are discussed. Initial screening data indicate that several of these compds., synthesized by conventional means, possess oral activity greater than most nonsteroidal hypocholesteremic agents reported to date.
IT **1240-59-1P 2101-60-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 1240-59-1 HCAPLUS
CN 2-Pyridinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-3-nitro- (9CI) (CA INDEX NAME)



RN 2101-60-2 HCAPLUS
CN Pyridine, 4-[β-(diethylamino)-p-phenetidino]- (7CI, 8CI) (CA INDEX NAME)

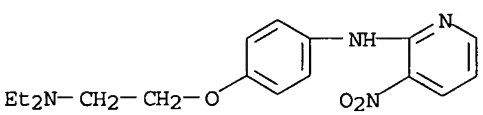


L39 ANSWER 72 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1967:443822 HCAPLUS
DN 67:43822

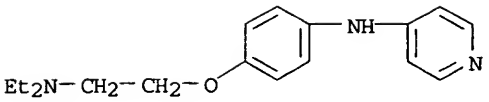
TI Aminoethoxyphenyl amine, ether, and sulfide derivatives of pyrimidine
IN English, Jackson P.; Bach, Frederick L., Jr.; Gordon, Samuel
PA American Cyanamid Co.
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US---3321478		19670523	1964US-0382383	19630920 <--

GI For diagram(s), see printed CA Issue.
AB Pyrimidine derivs. (I) where NR5R6 is pyrrolidino, piperidino, morpholino, or 4-lower alkyl-1-piperazino, are prepared The disubstituted aminoethoxyphenyl amines, ethers, and sulfides are hypocholesteremic agents. Thus, 6.2 g. p-(2-diethylaminoethoxy)aniline and 4.4 g. 2,4-dichloropyrimidine in 75 ml. EtOH was warmed to 70°, then kept overnight. The volatile material was distilled to leave a dark brown oil which was warmed with 250 ml. water, the aqueous phase was separated and extracted twice with 50 ml. portions of ether, then neutralized with dilute NH4OH to give N-(2-chloro-4-pyrimidyl)-p-(2-diethylaminoethoxy)aniline (II), m. 75-7°. Similarly prepared were the following N-substituted II (N-substituent and m.p. given): 2,6-dichloro-4-pyrimidyl, 104-6° (C6H6); 5-chloro-2-pyrimidyl, 92-4° (ether-petroleum ether); 5-nitro-2-pyridyl, 143-5°. Alternatively, 6.3 g. p-[(2-(dimethylamino)-2,2-dimethylethoxy]aniline and 3.9 g. 2,5-dichloropyrimidine was sealed in a tube flushed with argon, heated 15 hrs., dissolved in water, decolorized with C, and made basic with dilute NaOH. The insol. material in benzene was chromatographed on Florisil and eluted with C6H6-petroleum ether. The eluant was concentrated to give N-(5-chloro-2-pyrimidyl)-p-[2-(dimethylamino)-2,2-dimethylethoxy]aniline, m. 120-1° (C6H6-petroleum ether). Similarly prepared was N-(5-chloro-2-pyrimidyl)-p-(2-pyrrolidinoethoxy)aniline. Also prepared were 4-(2-diethylaminoethoxy)-4-nitrodiphenylamine, m. 86-8° (EtOH), 4-(2-diethylaminoethoxy)-2,4-dinitrodiphenylamine, m. 70-1° (EtOH), 2-(2-diethylaminoethoxy)-2-amino-4-nitrodiphenylamine hydrochloride, m. 179-81°, N-(4-pyridyl)-p-[2-(diethylamino)ethoxy]aniline, m. 125-7° (Et2O-petroleum ether), N-(3-nitro-2-pyridyl)-p-[2-(diethylamino)ethoxy]aniline, m. 47-8° (Et2O-petroleum ether), 4'-[2-(diethylamino)ethoxy]-4-nitrodiphenyl ether, b0.2 170-5°, 4'-(2-diethylaminoethoxy)-4-nitrodiphenyl sulfide, 2 - [p - (2-diethylaminoethoxy)anilino]benzothiazole, m. 92-4° (ether-petroleum ether), and N-(5-nitro-2-pyridyl)-p-[2-(diethylamino)-1-methylethoxy]aniline, m. 59-61° (Et2O-petroleum ether).
IT **1240-59-1P 2101-60-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 1240-59-1 HCAPLUS
CN 2-Pyridinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-3-nitro- (9CI) (CA INDEX NAME)



RN 2101-60-2 HCAPLUS
CN Pyridine, 4-[β-(diethylamino)-p-phenetidino]- (7CI, 8CI) (CA INDEX NAME)



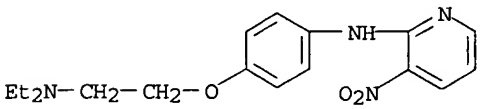
L39 ANSWER 73 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1966:482319 HCAPLUS
DN 65:82319
OREF 65:15397a-d
TI (Disubstituted-amino) ethoxyphenylamines, ethers, and sulfides
IN English, Jackson P.; Bach, Frederick L., Jr.; Gordon, Samuel
PA American Cyanamid Co.
SO 9 pp.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB---1034538		19660629	GB	19640904 <--

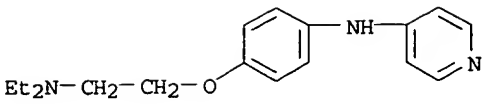
AB The title compds. p-RZC6H4OCHR1CR2R3X (I) and their salts are hypocholesteremic agents. Thus a solution of p-(2-diethylaminoethoxy)aniline (II) (4.2 g.) and K 2-chloro-5-nitrobenzoate (3.6 g.) in 50 ml. H2O and 50 ml. EtOH was refluxed 15 hrs. and extracted with CHCl3 (2 + 100 ml.). The aqueous raffinate acidified precipitated crude 4'-(2-diethylaminoethoxy)-2-carboxy-4-nitrodiphenylamine, which was recovered and decarboxylated at 180°/0.1 mm. to afford III. Similarly prepared, without the latter decarboxylation stage, were the tabulated I. With the exception of IV, in which the OCHR1CR2R3X group is ortho to the RZ group, all the others are para. R, Z, R1 R2R3, X, m.p. or b.p./mm.;4-O2NC6H4, NH, H, Et2N (III), 86-8°; 2,4(O2 N)2C6H3, NH, H, Et2N, 70-1°; 2,4-(H2N)2C6H3, NH, H, Et2N, 90-1°; 2,4-H2N(O2N) C6H3, NH, H, Et2N, 179-81°; 4-pyridyl, NH, H, Et2N, 125-7°; 3-nitro-2-pyridyl, NH, H, Et2N, 47-8°; 4-O2 NC6H4, O, H, Et2N, 170-5°/0.2; 4-O2NC6H4, S, H, Et2N (IV), oil; 2,6-dichloro-4-pyrimidinyl, NH, H, Et2N, 104-6°; 2-chloro-4-pyrimidinyl, NH, H, Et2N, 75-6°; 5-chloro-2-pyrimidinyl, NH, H, Et2N, 92-4°; 5-nitro-2-pyridyl, NH, H, Et2N, 143-5°; 2-benzothiazole, NH, H, Et2N, 92-4°; 5-nitro-2-pyridyl, NH, R1 = Me, Et2N 59-61°; , , R2 = R3 = H, , ; 5-chloro-2-pyrimidinyl, NH, R1 = H, Me2N, 120-1°; , , R2 = R3 = Me, , ; 5-chloro-2-pyrimidinyl, NH, H, 1-pyrrolidinyl, --.

IT **1240-59-1**, Pyridine, 2-[β-(diethylamino)-p-phenetidino]-3-nitro- **2101-60-2**, Pyridine, 4-[β-(diethylamino)-p-phenetidino]-
(preparation of)

RN 1240-59-1 HCAPLUS
CN 2-Pyridinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-3-nitro- (9CI) (CA INDEX NAME)



RN 2101-60-2 HCAPLUS
CN Pyridine, 4-[β-(diethylamino)-p-phenetidino]- (7CI, 8CI) (CA INDEX NAME)



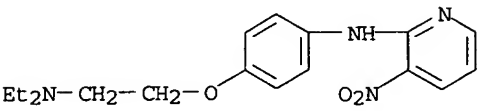
L39 ANSWER 74 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1965:82617 HCAPLUS
DN 62:82617
OREF 62:14692e-h,14693a-b
TI Preparation of disubstituted aminoethoxyphenyl derivatives
PA American Cyanamid Co.
SO 13 pp.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI NL---6410914		19641125	1964NL-0010914	19640918 <--
PRAI US		19630920 <--		

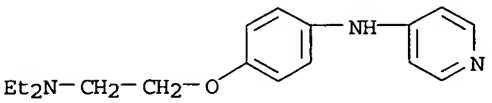
AB Disubstituted aminoethoxyphenylamines, ethers, and sulfides, useful as oral hypocholesteremic agents (active with 3-30 mg./kg./day) are prepared by standard procedures. A solution of 4.2 g. p-(2-diethylaminoethoxy)aniline (I), 3.6 g. K 2-chloro-5-nitrobenzoate, 50 ml., H2O, and 50 ml. EtOH is refluxed 15 hrs. to yield after decarboxylation at 180° and 0.1 mm. 4'-(2-diethylaminoethoxy)-4-nitrodiphenylamine, m. 86-8° (EtOH). A solution of 4.2 g. I, and 2.8 g. 2,4-dinitrofluorobenzene in 100 ml. EtOH is refluxed 3 hrs. to yield 4'-(2-diethylaminoethoxy)-2,4-dinitrodiphenylamine (II), m. 70-1° (EtOH). Similarly was prepared the 2'-isomer of II, m. 90-1°. A solution of II in EtOH was reduced at boiling temperature with (NH4)2S in EtOH to yield 4'-(2-diethylaminoethoxy)-2-amino-4-nitrodiphenylamine-2HCl, m. 179-81°. A solution of 6.3 g. 2-chloro-3-nitropyridine, and 8.3 g. I in EtOH was heated 1 hr. on a steam-bath to yield N-(3-nitro-2-pyridyl)-p-(2-diethylaminoethoxy)aniline, m. 47-8° (Et2O-petr. ether b. 30-60°). Similarly are prepared the following p-(2-diethylaminoethoxy)-anilines: N-(4-pyridyl), m. 125-7° (Et2O-petr. ether); N-(2,6-dichloro-4-pyrimidinyl), m. 104-6° (C6H6); N-(2-chloro-4-pyrimidinyl), m. 75-7°; N-(5-chloro-2-pyrimidinyl), m. 92-4° (Et2O-petr. ether); N-(5-nitro-2-pyridyl), m. 143-5° (C6H6-petr. ether); 2-benzothiazolyl, m. 92-4° (Et2O-petr. ether). A mixture of 3.3 g. p-hydroquinone, 1.2 g. NaOH, and 4.2 g. 4-nitrofluorobenzene (III) is refluxed 15 hrs. (solvent is not given) to yield 4'-hydroxy-4-nitrodiphenyl ether, which is converted with 0.7 g. NaH in toluene into the 4'-NaO analog. The latter is reacted with 4.1 g. diethylaminoethyl chloride in toluene to yield 4'-(2-diethylaminoethoxy)-4-nitrodiphenyl ether, b0.2 170-5°. From 4-nitrophenylsulfenyl chloride and phenol was similarly obtained 4'-(2-diethylaminoethoxy)-4-nitrodiphenyl sulfide. To 131 g. 1-diethylamino-2-propanol was added 7.2 g. NaH at 0-10°, followed by 72.3 g. III to yield p-(2-diethylamino-1-methylethoxy)nitrohenzene (IV), b0.3-0.4 130-5°. A solution of 12 g. IV in EtOH was reduced at room temperature with 5% Pd-C and 2.45 atmospheric H to yield p-(2-diethylamino-1-methylethoxy)aniline (V), b1.0 147-9°. A solution of 5.6 g. V and 3.8 g. 2-chloro-5-nitropyridine in 75 ml. EtOH was heated 2 hrs. at 70° to yield N-(5-nitro-2-pyridyl)-p-(2-diethylamino-1-methylethoxy)aniline, m. 59-61° (Et2O-petr. ether). A mixture of 6.3 g. p-(2-dimethylamino-2,2-dimethylethoxy)aniline and 3.9 g. 2,5-dichloropyrimidine was heated 15 hrs. under argon in a closed Pyrex glass tube to yield N-(5-chloro-2-pyrimidinyl)-p-(2-dimethylamino-2,2-dimethylethoxy)aniline, m. 120-1° (C6H6-petr. ether). Similarly. was prepared N-(5-chloro-2-pyrimidinyl)-p-(2-pyrrolidinoethoxy)aniline.

IT **1240-59-1**, Pyridine, 2-[β-(diethylamino)-p-phenetidino]-3-nitro- **2101-60-2**, Pyridine, 4-[β-(diethylamino)-p-phenetidino]-

(preparation of)
RN 1240-59-1 HCAPLUS
CN 2-Pyridinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-3-nitro- (9CI) (CA INDEX NAME)



RN 2101-60-2 HCAPLUS
CN Pyridine, 4-[β-(diethylamino)-p-phenetidino]- (7CI, 8CI) (CA INDEX NAME)



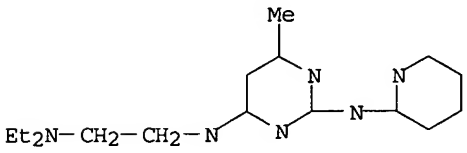
L39 ANSWER 75 OF 75 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1948:32077 HCAPLUS
DN 42:32077
OREF 42:6830e-i,6831a
TI Synthetic antimalarials. XXVI. Pyridyl- and pyrimidylaminopyrimidines
AU Curd, F. H. S.; Graham, W.; Rose, F. L.
CS Imp. Chem. Industries Ltd., Blackley, UK
SO Journal of the Chemical Society (1948) 594-7
CODEN: JCSOA9; ISSN: 0368-1769
DT Journal
LA Unavailable
AB 4-Hydroxy-2-methylmercapto-6-methylpyrimidine (12 g.) and 14 g. 2-aminopyridine (I), heated 100 hrs. at 150-60°, give 2-(2-pyridylamino)-4-hydroxy-6-methylpyrimidine (II), m. 172-3°; after 2 recrystns. from H2O II m. 205-7° but reverts to the lower-melting form after several recrystns. from C6H6. II (11 g.) and 45 cc. POCl3, heated 4 hrs. at 115-20°, give the 4-Cl compound (III), m. 199-201°; 3 g. III and 2.8 cc. Et2NCH2CH2NH2, 10 hrs. at 130°, give 3 g. 2-(2-pyridylamino)-4-(2-diethylaminoethylamino)-6-methylpyrimidine, whose di-HCl salt, with 2.5 mols. H2O, m. 239-41°. III (2.85 g.), 1.78 g. p-O2NC6H4NH2, 1.5 cc. concentrated HCl, and 15 cc. H2O, refluxed 1 hr., 1.5 cc. HCl and 10 cc. H2O added, and the refluxing continued 1 hr., give 4 g. 4-p-nitroanilino-2-(2-pyridylamino)-6-methylpyrimidine, as the di-HCl salt, with 0.5 mol. H2O, yellow, decompose above 320°; 4-p-chloro analog, as the di-HCl salt, m. 268-70° (4 g. from 2.9 g. III). 4-Chloro-2-p-chloroanilino-6-methylpyrimidine (IV) (16 g.) and 7.9 g. I, heated 10 hrs. at 125-35°, give 4.5 g. of the di-HCl salt, m. 284-7°, of 2-p-chloroanilino-4-(2-pyridylamino)-6-methylpyrimidine, m. 143°. 4-Chloro-2-(2-diethylaminoethylamino)-6-methylpyrimidine (V) (5.5 g.), I, 25 cc. 10 N HCl, and 25 cc. H2O, refluxed 4 hrs., give 2.5 g. 4-(2-pyridylamino)-2-(2-diethylaminoethylamino)-6-methylpyrimidine as the di-HCl salt (with 3.5 mols. H2O), m. 150° (decomposition). IV and V could not be condensed with 2-amino-4,6-dimethylpyrimidine. Diguanidine sulfate (43.4 g.) in 50 cc. EtOH and 40 cc. 11 N NaOH, treated with 28.6 g. AcCH2CO2Et and kept 48 hrs. at room temperature, gives 26 g. 4-hydroxy-2-guanidino-6-methylpyrimidine (VI); 11.6 g. VI and 15 cc. Ac2CH2 in 60 cc. AcOH, refluxed 8 hrs., yield 13.8 g. 6'-hydroxy-4,4',6-trimethyl-2,2'-dipyrimidylamine (VII), m. 266-7°; 8 g. VII and 16 cc. POCl3, heated 0.5 hr. at 100°, give 7 g. of the 6'-Cl compound (VIII), m. 172-3°; 4.5 g. VIII and 10 cc. Et2NCH2CH2NH2, heated 15 hrs. at 130-40°, give 4.3 g. of the di-HI salt, with 1.5 mols. H2O,

pale yellow, m. 247-50°, of the 6'-(2-diethylaminoethylamino) compound; the 6'-dimethylamino analog of VII forms a HBr salt, with 1.5 mols. H_2O, m. 288-90°. VI (8.4 g.), 9.6 g. $AcCH_2CO_2Et$, and 150 cc. MeOH containing 4.6 g. Na, refluxed 16 hrs., give 10.3 g. 6,6'-dihydroxy-4,4'-dimethyl-2,2'-dipyrimidylamine, m. above 330° (decomposition); 12 g. of the crude product yields 9.4 g. of the 6,6'-di-Cl compound, pale yellow, m. 178-9°; 6,6'-bis(dimethylamino) compound, with 0.25 mol. PhMe, m. 155-6°; 6,6'-bis(isopropylamino) compound, m. 226-7°. The amino derivs. are devoid of antimalarial activity.

IT **856851-88-2**, Pyrimidine, 4-(2-diethylaminoethylamino)-6-methyl-2-(2-pyridylamino)-, dihydrochloride
(preparation of)

RN 856851-88-2 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



●2 HCl

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L40 ANSWER 1 OF 2 HCAOLD COPYRIGHT 2006 ACS on STN
AN CA65:15397b CAOLD
TI (disubstituted-amino)ethoxyphenylamines, ethers, and sulfides
AU English, Jackson P.; Bach, F. L., Jr.; Gordon, S.
PA American Cyanamid Co.
DT Patent
IT 1095-05-2 1099-26-9 1100-66-9 1103-54-4 1234-24-8 1234-25-9
1238-12-6 **1240-59-1** 1241-12-9 1444-23-1 1444-24-2
1795-72-8 1797-51-9 **2101-60-2** 7628-72-0 7678-22-0

L40 ANSWER 2 OF 2 HCAOLD COPYRIGHT 2006 ACS on STN
AN CA62:14692e CAOLD
TI S-carbothioalkylthiamine
AU Takamizawa, Akira; Hirai, K.
PA Shionogi & Co., Ltd.
DT Patent
TI aminoethoxyphenyl derivs. (disubstituted)
PA American Cyanamid Co.
DT Patent

PATENT NO.	KIND	DATE
JP--64019812		1964
NL---6410914		
BE----653274		
FR---1436566		

IT 1083-49-4 1095-05-2 1099-26-9 1100-66-9 1103-54-4 1219-79-0
1234-24-8 1238-12-6 **1240-59-1** 1241-11-8 1241-12-9
1243-61-4 1444-23-1 1444-24-2 1795-72-8 1797-51-9 2086-14-8
2101-60-2 2101-61-3 97833-57-3

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L41 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN **2101-60-2** REGISTRY
ED Entered STN: 16 Nov 1984
CN Pyridine, 4-[β-(diethylamino)-p-phenetidino]- (7CI, 8CI) (CA INDEX NAME)
OTHER NAMES:
CN Pyridine, 4-[p-[2-(diethylamino)ethoxy]anilino]-
FS 3D CONCORD
MF C17 H23 N3 O
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, IFICDB, IFIPAT, IFIUDB
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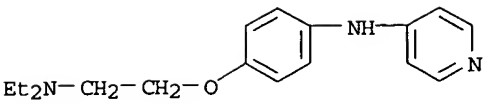


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 68:49453

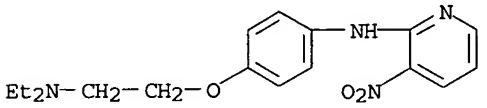
REFERENCE 2: 67:99762

REFERENCE 3: 67:43822

REFERENCE 4: 65:82319

REFERENCE 5: 62:82617

L41 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN **1240-59-1** REGISTRY
ED Entered STN: 16 Nov 1984
CN 2-Pyridinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-3-nitro- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Pyridine, 2-[β-(diethylamino)-p-phenetidino]-3-nitro- (7CI, 8CI)
FS 3D CONCORD
MF C17 H22 N4 O3
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, IFICDB, IFIPAT, IFIUDB
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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5 REFERENCES IN FILE CAPLUS (1907 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 68:49453

REFERENCE 2: 67:99762

REFERENCE 3: 67:43822

REFERENCE 4: 65:82319

REFERENCE 5: 62:82617

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FILE COVERS 1907 - 16 Aug 2006 VOL 145 ISS 8
FILE LAST UPDATED: 15 Aug 2006 (20060815/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L42 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1966:482319 HCAPLUS
DN 65:82319
OREF 65:**15397a-d**
TI (Disubstituted-amino) ethoxyphenylamines, ethers, and sulfides
IN English, Jackson P.; Bach, Frederick L., Jr.; Gordon, Samuel
PA American Cyanamid Co.
SO 9 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB---1034538		19660629	GB	19640904

AB The title compds. p-RZC6H4OCHR1CR2R3X (I) and their salts are hypocholesteremic agents. Thus a solution of p-(2-diethylaminoethoxy)aniline (II) (4.2 g.) and K 2-chloro-5-nitrobenzoate (3.6 g.) in 50 ml. H2O and 50 ml. EtOH was refluxed 15 hrs. and extracted with CHCl3 (2 + 100 ml.). The aqueous raffinate acidified precipitated crude 4'-(2-diethylaminoethoxy)-2-carboxy-4-nitrodiphenylamine, which was recovered and decarboxylated at 180°/0.1 mm. to afford III. Similarly prepared, without the latter decarboxylation stage, were the tabulated I. With the exception of IV, in which the OCHR1CR2R3X group is ortho to the RZ group, all the others are para. R, Z, R1 R2R3, X, m.p. or b.p./mm.;4-O2NC6H4, NH, H, Et2N (III), 86-8°; 2,4(O2 N)2C6H3, NH, H, Et2N, 70-1°; 2,4-(H2N)2C6H3, NH, H, Et2N, 90-1°; 2,4-H2N(O2N) C6H3, NH, H, Et2N, 179-81°; 4-pyridyl, NH, H, Et2N, 125-7°; 3-nitro-2-pyridyl, NH, H, Et2N, 47-8°; 4-O2 NC6H4, O, H, Et2N, 170-5°/0.2; 4-O2NC6H4, S, H, Et2N (IV), oil; 2,6-dichloro-4-pyrimidinyl, NH, H, Et2N, 104-6°; 2-chloro-4-pyrimidinyl, NH, H, Et2N, 75-6°; 5-chloro-2-pyrimidinyl, NH, H, Et2N, 92-4°; 5-nitro-2-pyridyl, NH, H, Et2N, 143-5°; 2-benzothiazole, NH, H, Et2N, 92-4°; 5-nitro-2-pyridyl, NH, R1 = Me, Et2N 59-61°; , , R2 = R3 = H, , ; 5-chloro-2-pyrimidinyl, NH, R1 = H, Me2N, 120-1°; , , R2 = R3 = Me, , ; 5-chloro-2-pyrimidinyl, NH, H, 1-pyrrolidinyl, --.

L42 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1965:82617 HCAPLUS
DN 62:82617
OREF 62:**14692e-h,14693a-b**
TI Preparation of disubstituted aminoethoxyphenyl derivatives
PA American Cyanamid Co.
SO 13 pp.
DT Patent

LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL---6410914		19641125	1964NL-0010914	19640918
PRAI	US		19630920		

AB Disubstituted aminoethoxyphenylamines, ethers, and sulfides, useful as oral hypocholesteremic agents (active with 3-30 mg./kg./day) are prepared by standard procedures. A solution of 4.2 g. p-(2-diethylaminoethoxy)aniline (I), 3.6 g. K 2-chloro-5-nitrobenzoate, 50 ml., H2O, and 50 ml. EtOH is refluxed 15 hrs. to yield after decarboxylation at 180° and 0.1 mm. 4'-(2-diethylaminoethoxy)-4-nitrodiphenylamine, m. 86-8° (EtOH). A solution of 4.2 g. I, and 2.8 g. 2,4-dinitrofluorobenzene in 100 ml. EtOH is refluxed 3 hrs. to yield 4'-(2-diethylaminoethoxy)-2,4-dinitrodiphenylamine (II), m. 70-1° (EtOH). Similarly was prepared the 2'-isomer of II, m. 90-1°. A solution of II in EtOH was reduced at boiling temperature with (NH4)2S in EtOH to yield 4'-(2-diethylaminoethoxy)-2-amino-4-nitrodiphenylamine-2HCl, m. 179-81°. A solution of 6.3 g. 2-chloro-3-nitropyridine, and 8.3 g. I in EtOH was heated 1 hr. on a steam-bath to yield N-(3-nitro-2-pyridyl)-p-(2-diethylaminoethoxy)aniline, m. 47-8° (Et2O-petr. ether b. 30-60°). Similarly are prepared the following p-(2-diethylaminoethoxy)-anilines: N-(4-pyridyl), m. 125-7° (Et2O-petr. ether); N-(2,6-dichloro-4-pyrimidinyl), m. 104-6° (C6H6); N-(2-chloro-4-pyrimidinyl), m. 75-7°; N-(5-chloro-2-pyrimidinyl), m. 92-4° (Et2O-petr. ether); N-(5-nitro-2-pyridyl), m. 143-5° (C6H6-petr. ether); 2-benzothiazolyl, m. 92-4° (Et2O-petr. ether). A mixture of 3.3 g. p-hydroquinone, 1.2 g. NaOH, and 4.2 g. 4-nitrofluorobenzene (III) is refluxed 15 hrs. (solvent is not given) to yield 4'-hydroxy-4-nitrodiphenyl ether, which is converted with 0.7 g. NaH in toluene into the 4'-NaO analog. The latter is reacted with 4.1 g. diethylaminoethyl chloride in toluene to yield 4'-(2-diethylaminoethoxy)-4-nitrodiphenyl ether, b0.2 170-5°. From 4-nitrophenylsulfenyl chloride and phenol was similarly obtained 4'-(2-diethylaminoethoxy)-4-nitrodiphenyl sulfide. To 131 g. 1-diethylamino-2-propanol was added 7.2 g. NaH at 0-10°, followed by 72.3 g. III to yield p-(2-diethylamino-1-methylethoxy)nitrohenzene (IV), b0.3-0.4 130-5°. A solution of 12 g. IV in EtOH was reduced at room temperature with 5% Pd-C and 2.45 atmospheric H to yield p-(2-diethylamino-1-methylethoxy)aniline (V), b1.0 147-9°. A solution of 5.6 g. V and 3.8 g. 2-chloro-5-nitropyridine in 75 ml. EtOH was heated 2 hrs. at 70° to yield N-(5-nitro-2-pyridyl)-p-(2-diethylamino-1-methylethoxy)aniline, m. 59-61° (Et2O-petr. ether). A mixture of 6.3 g. p-(2-dimethylamino-2,2-dimethylethoxy)aniline and 3.9 g. 2,5-dichloropyrimidine was heated 15 hrs. under argon in a closed Pyrex glass tube to yield N-(5-chloro-2-pyrimidinyl)-p-(2-dimethylamino-2,2-dimethylethoxy)aniline, m. 120-1° (C6H6-petr. ether). Similarly. was prepared N-(5-chloro-2-pyrimidinyl)-p-(2-pyrrolidinoethoxy)aniline.

L42 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1965:82616 HCAPLUS
DN 62:82616
OREF 62:**14692e**
TI S-Carbothioalkylthiamine
IN Takamizawa, Akira; Hirai, Kentaro
PA Shionogi & Co., Ltd.
SO 2 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP--39019812		19640912	JP	19610214

AB To 3 cc. aqueous solution of 3.3 g. thiamine chloride hydrochloride was added 3 cc. aqueous solution of 1.2 g. NaOH and the mixture let stand 30 min. and stirred with 1.1 g. chlorocarbothioethyl to give 2.5 g. S-carbothioethylthiamine, m. 136-7° (decomposition)(C6H6). Similarly was prepared

S-carhothiopropyl- thiamine; hydrochloride m. 173-4° (decomposition)(EtOH). The products were useful as long-acting thiamine derivs.

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     (FILE 'HOME' ENTERED AT 09:41:41 ON 16 AUG 2006)

     FILE 'REGISTRY' ENTERED AT 09:41:57 ON 16 AUG 2006
                ACT DAV916F0/A
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                DEL FRE?/A
                ACT DAV916S1/Q
               ---------
L3              STR
               ---------
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L5           736 L3 FULL SUB=L2
L6          1630 L2 NOT L5
L7              STR L1
L8            50 L7 SAM SUB=L2
L9          2327 L7 FULL SUB=L2
L10             STR L7
L11            0 L10 CSS SAM SUB=L9
L12           24 L10 SAM SUB=L9
L13             STR L10
L14           24 L13 SAM SUB=L9
L15          694 L13 FULL SUB=L9
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                SAV TEM L9 DAV916S2/A
                SAV TEM L15 DAV916S3/A

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                E WU CHENGDE/AU
L20           62 E3
                E ANDERSON C/AU
L21          161 E3,E15-17
                E BUI H/AU
L22           20 E3-8
                E BUI HUONG/AU
L23           13 E3
                E DUPRE B/AU
L24          139 E3,E8
                E GAO DAX/AU
L25           43 E5-8
                E HOLLAND G/AU
L26           41 E3,E15
                E HOLLAND GEORGE/AU
L27           55 E3,E8-9
                E KASSIR J/AU
L28           37 E4-6
                E LI W/AU
L29         1945 E3-33
                E LI WEN/AU
L30          646 LI WEN/AU
                E WANG JUN/AU
L31         2949 E3,E44
L32            1 E43
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L36           91 L17 NOT L35
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L38           69 L37 AND (PD<=20030228 OR AD<=20030228 OR PRD<=20030228)
L39           75 L37-38

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L40            2 L15
               SEL HIT RN

     FILE 'REGISTRY' ENTERED AT 10:32:38 ON 16 AUG 2006
L41            2 E1-2

     FILE 'HCAOLD' ENTERED AT 10:32:49 ON 16 AUG 2006
               SEL AN L40
               EDIT /AN /OREF

     FILE 'HCAPLUS' ENTERED AT 10:33:03 ON 16 AUG 2006
L42            3 E3-4

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